

~~10452288~~ 10-664-165

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NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
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NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	JAN 11	CA/CAPLUS - Expanded patent coverage to include Russia (Federal Institute of Industrial Property)
NEWS EXPRESS			JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
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FILE 'HOME' ENTERED AT 15:14:17 ON 13 JAN 2005

=> file registry	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:14:28 ON 13 JAN 2005  
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STRUCTURE FILE UPDATES: 11 JAN 2005 HIGHEST RN 811782-89-5  
DICTIONARY FILE UPDATES: 11 JAN 2005 HIGHEST RN 811782-89-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

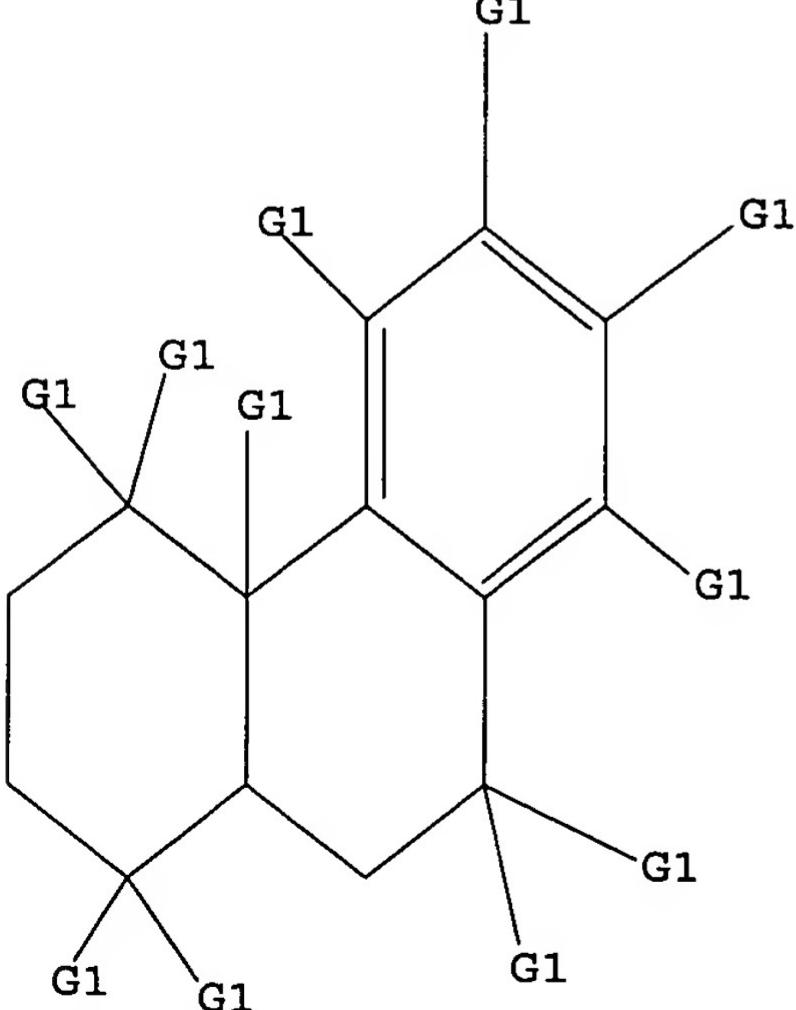
Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading C:\STNEXP4\QUERIES\10664165.str

L1        STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS

L1                    STR



G1 C,H,O,S,N

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Structure attributes must be viewed using STN Express query preparation.

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=> s 11 full
FULL SEARCH INITIATED 15:14:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 14.5% PROCESSED 252338 ITERATIONS ( 1 INCOMPLETE) 3115 ANSWERS
< 20.4% PROCESSED 354173 ITERATIONS ( 1 INCOMPLETE) 4837 ANSWERS
< 23.1% PROCESSED 400000 ITERATIONS ( 1 INCOMPLETE) 5511 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.41

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 23432

L2      5511 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS          SINCE FILE           TOTAL
                                ENTRY                 SESSION
FULL ESTIMATED COST          162.19               162.40
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FILE 'CAPLUS' ENTERED AT 15:16:00 ON 13 JAN 2005  
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FILE COVERS 1907 - 13 Jan 2005 VOL 142 ISS 3  
FILE LAST UPDATED: 12 Jan 2005 (20050112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 12
L3      615 L2

=> s l3 and sodium
      961054 SODIUM
L4      35 L3 AND SODIUM

=> s l3 and channels
      138103 CHANNELS
L5      1 L3 AND CHANNELS

=> s l3 and "cell membrane"
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10458286

1863637 "CELL"  
648064 "MEMBRANE"  
130812 "CELL MEMBRANE"  
("CELL" (W) "MEMBRANE")  
L6 1 L3 AND "CELL MEMBRANE"  
  
=> s 13 and blood vessel  
1167486 BLOOD  
198786 VESSEL  
83587 BLOOD VESSEL  
(BLOOD (W) VESSEL)  
L7 11 L3 AND BLOOD VESSEL  
  
=> s 12 full  
L8 615 L2  
  
=> s 18 and bladder  
29744 BLADDER  
L9 8 L8 AND BLADDER  
  
=> s 18 and bronchial tube  
14877 BRONCHIAL  
292134 TUBE  
36 BRONCHIAL TUBE  
(BRONCHIAL (W) TUBE)  
L10 0 L8 AND BRONCHIAL TUBE  
  
=> s 18 and bronchial tube  
14877 BRONCHIAL  
292134 TUBE  
36 BRONCHIAL TUBE  
(BRONCHIAL (W) TUBE)  
L11 0 L8 AND BRONCHIAL TUBE  
  
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88899 NEURONAL  
1863637 CELL  
7589 NEURONAL CELL  
(NEURONAL (W) CELL)  
L12 0 L8 AND NEURONAL CELL  
  
=> s 18 and gastrointestinal tract  
11 GASTROINTESTINAL  
123036 TRACT  
1 GASTROINTESTINAL TRACT  
(GASTROINTESTINAL (W) TRACT)  
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=> s 15 or 16 or 17 or 19  
L14 19 L5 OR L6 OR L7 OR L9  
  
=> d bib abs l14  
  
L14 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:927010 CAPLUS  
DN 141:376382  
TI Pin1-modulating compounds and methods of use for the treatment of  
Pin1-associated diseases, including cancer  
IN Bao, Lere; Kimzey, Amy  
PA Pintex Pharmaceuticals, Inc., USA  
SO PCT Int. Appl., 189 pp.  
CODEN: PIXXD2

10458286

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004093803	A2	20041104	WO 2004-US11957	20040416
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-463271P P 20030416

AB The invention is directed to modulators, e.g., inhibitors, of Pin1 and Pin1-related proteins and the use of such modulators for treatment of Pin1 associated states, e.g., for the treatment of cancer. The present invention aims to provide photochemotherapeutic compds. with increased specificity as compared with known agents.

=> s bib abs 1-19 hitstr l14

MISSING OPERATOR HITSTR L14

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d bib abs 1-19 hitstr l14

L14 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:927010 CAPLUS

DN 141:376382

TI Pin1-modulating compounds and methods of use for the treatment of Pin1-associated diseases, including cancer

IN Bao, Lere; Kimzey, Amy

PA Pintex Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 189 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004093803	A2	20041104	WO 2004-US11957	20040416
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

NPA

PRAI US 2003-463271P P 20030416

AB The invention is directed to modulators, e.g., inhibitors, of Pin1 and Pin1-related proteins and the use of such modulators for treatment of Pin1 associated states, e.g., for the treatment of cancer. The present invention

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aims to provide photochemotherapeutic compds. with increased specificity as compared with known agents.

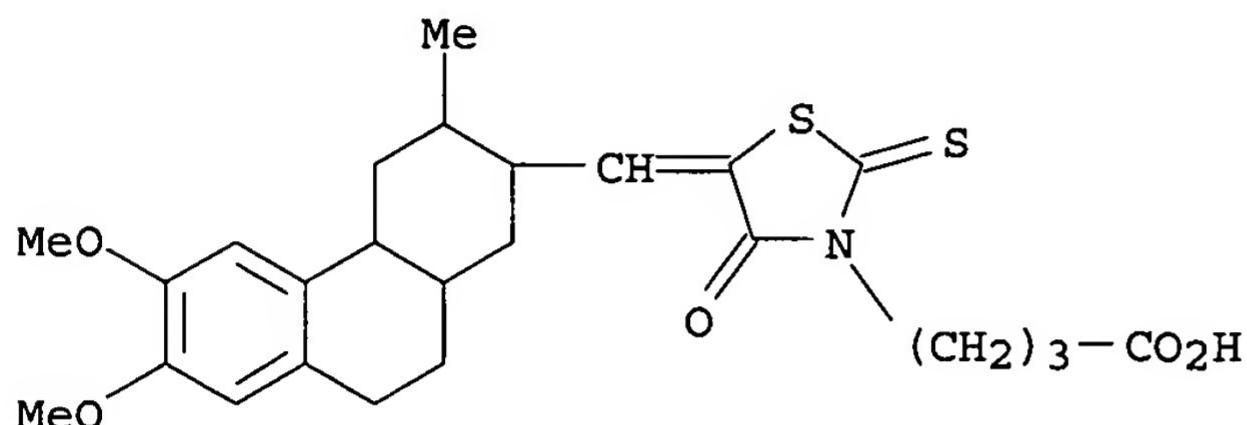
IT 676649-27-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Pin1-modulating compds. for treatment of Pin1-associated diseases, including cancer)

RN 676649-27-7 CAPLUS

CN 3-Thiazolidinebutanoic acid, 5-[(1,2,3,4,4a,9,10,10a-octahydro-6,7-dimethoxy-3-methyl-2-phenanthrenyl)methylene]-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



L14 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:792843 CAPLUS

DN 141:421217

TI Effects of modification at the fifth residue of  $\mu$ -conotoxin GIIIA with bulky tags on the electrically stimulated contraction of the rat diaphragm

AU Nakamura, M.; Ishida, Y.; Kohno, T.; Sato, K.; Oba, Y.; Nakamura, H.

CS Graduate School of Bioagricultural Sciences, Nagoya University, Nagoya, 464-8601, Japan

SO Journal of Peptide Research (2004), 64(3), 110-117

CODEN: JPERFA; ISSN: 1397-002X

PB Blackwell Publishing Ltd.

DT Journal

LA English

AB  $\mu$ -Conotoxin GIIIA, a peptide toxin from the cone snail, blocks muscle-type sodium channels. Thr 5 of  $\mu$ -conotoxin GIIIA, located on the opposite side of the active site in the globular mol., was replaced by Cys to which the bulky tags were attached. The tagged  $\mu$ -conotoxin GIIIA derivs., except for the phospholipid-tagged one, exerted the biol. activity with a potency slightly weaker than natural  $\mu$ -conotoxin GIIIA. When the biotinylated tags of various lengths were added, the presence of avidin suppressed the action of the biotinylated toxins of <4 nm, but not with 5 nm. The bulky biotinylated tags are useful as a caliper to measure the depth of receptor sites in the channels.

IT 795300-38-8P

RL: ADV (Adverse effect, including toxicity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (effects of modification at the fifth residue of  $\mu$ -conotoxin GIIIA with bulky tags on the elec. stimulated contraction of the rat diaphragm)

RN 795300-38-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

NPA

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

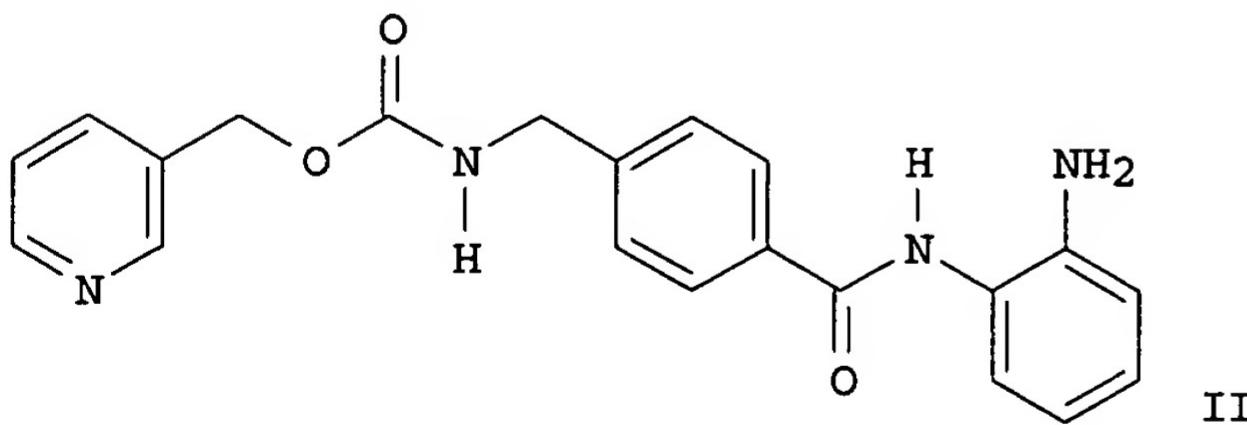
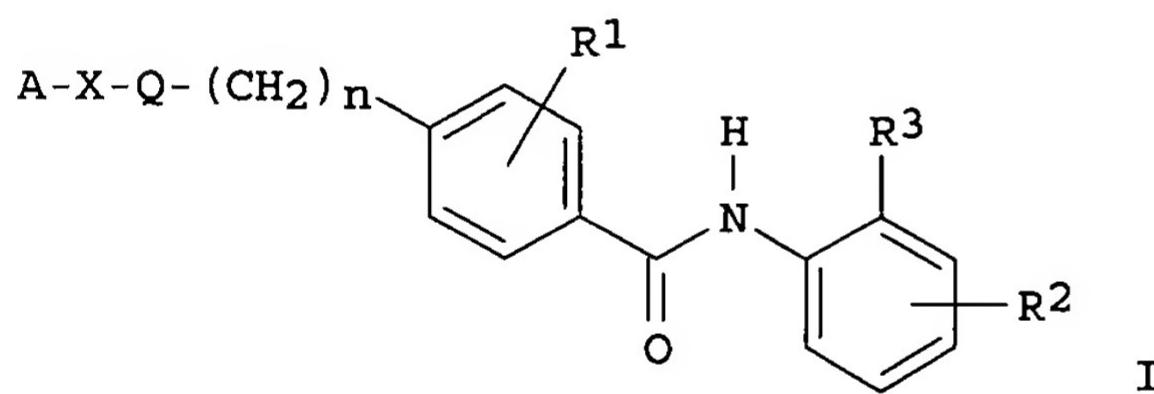
10458286

AN 2004:566563 CAPLUS  
DN 141:123479  
TI Preparation of N-aryl benzamides as histone deacetylase inhibitors  
IN Schuppan, Detlef; Herold, Christoph; Gansmayer, Marion; Ocker, Matthias;  
Thierauch, Karl-Heinz  
PA Schering Aktiengesellschaft, Germany  
SO PCT Int. Appl., 249 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004058234	A2	20040715	WO 2003-EP14071	20031211
			W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
PRAI EP 2002-90431	A	20021227		
EP 2003-90061	A	20030312		

OS MARPAT 141:123479  
GI

NPA



AB Histone deacetylase inhibitors I [A = (un)substituted Ph or heterocycle; X = bond, alkyl, alkyloxyalkyl, alkylthioalkyl, etc.; Q = amide, urea, amidoester, etc.; n = 0-4 provided that when X = bond, n is not 0; R1 and R2 independently = H, halo, OH, amino, alkyl, etc.; R3 = OH or amino] and their pharmaceutically acceptable salts are prepared and disclosed as agents to be used in pharmaceutical combinations with phthalazine or pyridazine derivs. (disclosed in prior patent WO 98/35958) which are known angiogenesis inhibitors. Thus, e.g., II was prepared via coupling reaction of 3-pyridinemethanol, N,N'-carbonyldiimidazole and 4-aminomethyl-N-[2-(N-tert-butoxycarbonyl)aminophenyl]benzamide with subsequent removal of N-BOC

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group. II was combined with tamoxifen and the VEGF receptor antagonist 1-(4-chloroanilino)-4-(pyridylmethyl)phthalazine hydrochloride and this pharmaceutical composition was evaluated in a colorectal carcinoma model in Wag rats. The results of the model study indicated the combination therapy significantly restricted tumor growth relative to the reference (2 ± 0.5% tumor volume) and the individual application of each compound

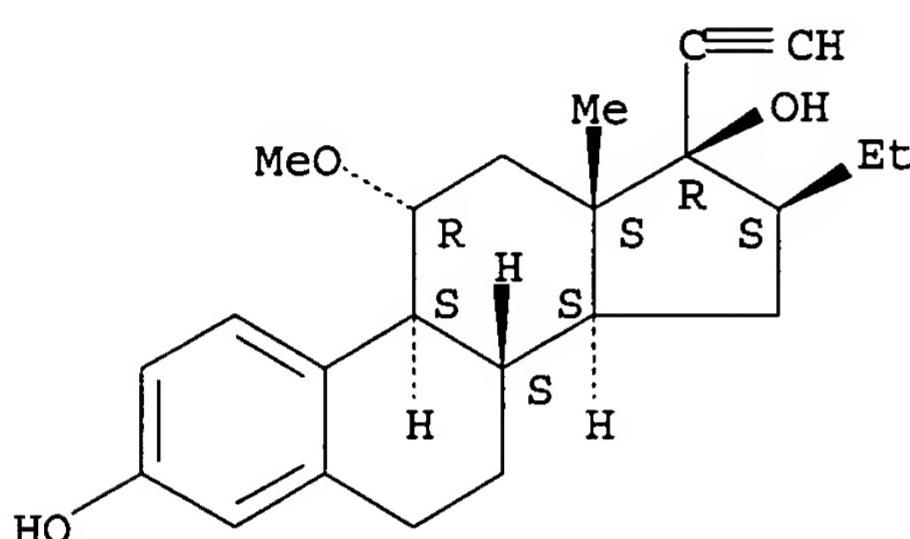
IT 722547-82-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of N-arylbenzamides as histone deacetylase inhibitors)

RN 722547-82-2 CAPLUS

CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,17-diol, 16-ethyl-11-methoxy-, (11 $\alpha$ ,16 $\beta$ ,17 $\alpha$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:513479 CAPLUS

DN 141:71736

TI Isolation and preparation of diterpenoids, compositions thereof, and their use as anticancer or antifungal agents

IN Beauparlant, Pierre; Attardo, Giorgio; Zhang, Zhiying; Stafford, Angela M.; Ubillas, Rosa; Mcalpine, James B.

PA Gemin X Biotechnologies Inc., Can.; Galileo Pharmaceuticals, Inc.; Fortin, Samuel; Tripathy, Sasmita

SO PCT Int. Appl., 185 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

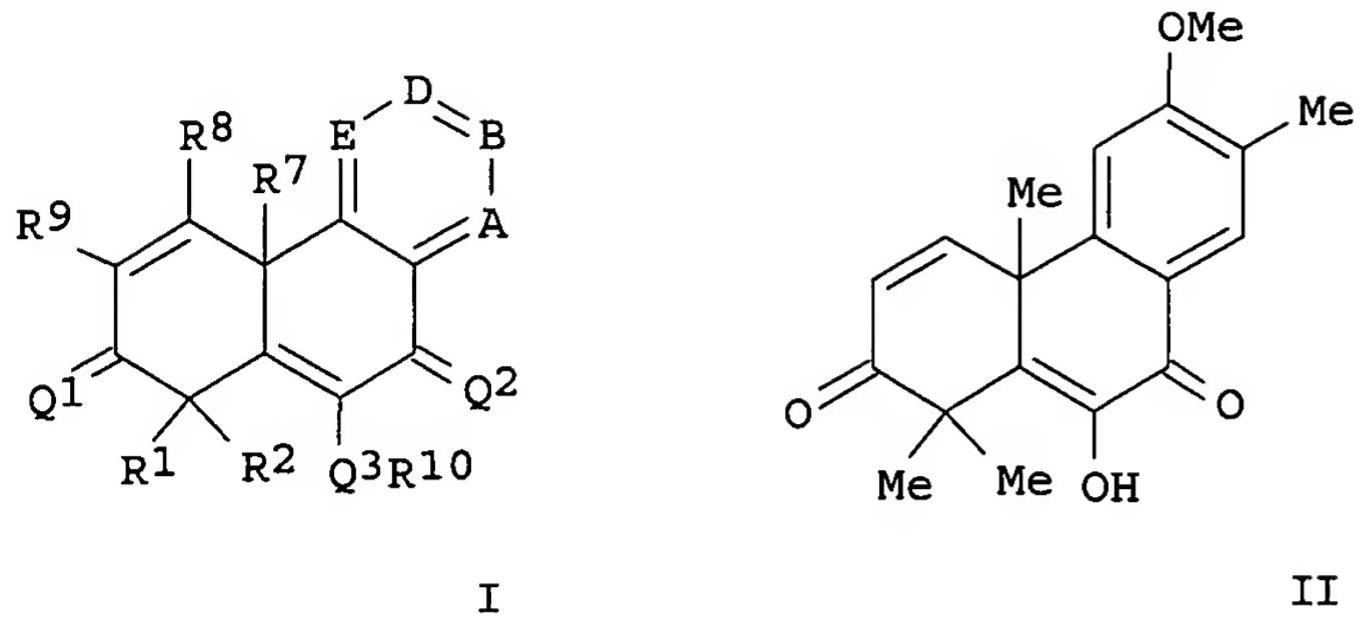
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052282	A2	20040624	WO 2003-US38659	20031204
	WO 2004052282	A3	20041007		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2002-431096P P 20021205

OS MARPAT 141:71736

GI

NPA



**AB** The present invention relates to diterpenoids I [Q1, Q2 = O, S, NH, NNHR; R = H, C1-10-alkyl, aryl; Q3 = O, S, N(H); R1, R2 = H, halogen, NH<sub>2</sub>, C1-10-alkyl, C1-10-alkoxy, C1-10-hydroxyalkyl, C1-10-aminoalkyl, C1-10-haloalkyl, C2-10-alkenyl, C2-10-alkynyl, C1-10-alkyl, C3-7-cycloalkyl, aryl, C1-10-arylalkyl, 3- to 7-membered heterocycle; CR<sub>1</sub>R<sub>2</sub> = C3-7-cycloalkyl; A = N, CR<sub>3</sub>; B = N, CR<sub>4</sub>; D = N, CR<sub>5</sub>; E = N, CR<sub>6</sub> (with the proviso that one of A, B, D, E = CR<sub>3</sub>, CR<sub>4</sub>, CR<sub>5</sub>, CR<sub>6</sub>); R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = H, halo, CN, NH<sub>2</sub>, NO<sub>2</sub>, CO<sub>2</sub>H, CONH<sub>2</sub>, SH, SONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, C1-10-oxyalkyl, C1-10-alkyl, C1-10-alkoxy, C1-10-hydroxyalkyl, C1-10-aminoalkyl, C1-10-haloalkyl, C2-10-alkenyl, C2-10-alkynyl, C3-7-cycloalkyl, aryl, C1-10-arylalkyl, 3- to 7-membered non-aromatic heterocycle, 5- to 7-membered aromatic heterocycle, etc.; R<sub>3</sub>C:CR<sub>4</sub>, R<sub>5</sub>C:CR<sub>6</sub>, R<sub>4</sub>C:CR<sub>5</sub> = C3-7-cycloalkenyl, 5- to 7-membered (non)aromatic heterocycle; R<sub>7</sub> = H, C1-10-alkyl, C1-10-alkoxy; R<sub>8</sub>, R<sub>9</sub> = H, halo, CN, NH<sub>2</sub>, NO<sub>2</sub>, CO<sub>2</sub>H, CONH<sub>2</sub>, SH, SONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, C1-10-oxyalkyl, C1-10-alkyl, C1-10-alkoxy, etc.; R<sub>10</sub> = H, C1-10-alkyl, C3-7-cycloalkyl, CO-(C1-10-alkyl), C1-10-oxyalkyl, CONH<sub>2</sub>, CONHR<sub>12</sub>, aryl; R<sub>12</sub> = C1-10-alkyl; halo = F, Cl, Br, I], compns. comprising an effective amount of I, and methods useful for treating or preventing cancer or a neoplastic disorder comprising administering an effective amount of I. The compds., compns., and methods of the invention are also useful for inhibiting the growth of a cancer cell or neoplastic cell, or for inducing apoptosis in a cancer or neoplastic cell. The compds., compns., and methods of the invention are further useful for treating or preventing a fungal infection. The compds., compns., and methods of the invention are also useful for inhibiting the growth of a fungus. Podocarpane diterpene II was isolated from *Linum arboreum*. The effect of II on cancer-cell viability was studied; caspases were activated in cancer cell lines H1299 and C33A following 16 h incubation with 1.6μM of I showing selective apoptosis in cancer cells.

**IT** 709667-53-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and enol silylation of; isolation and preparation of diterpenoids,

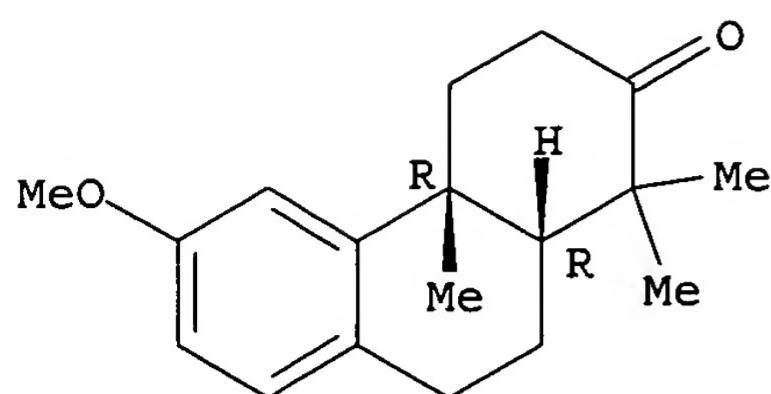
compns. thereof, and their use as anticancer or antifungal agents)

**RN** 709667-53-8 CAPLUS

**CN** 2(1H)-Phenanthrenone, 3,4,4a,9,10,10a-hexahydro-6-methoxy-1,1,4a-trimethyl-, (4aR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

1045826



IT 709667-52-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

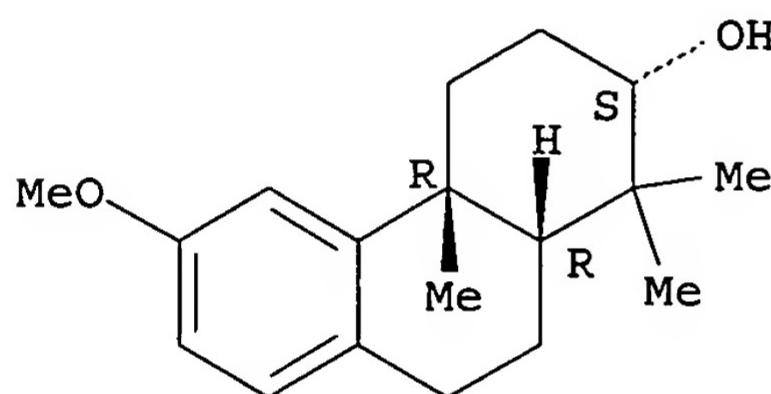
(preparation and oxidation of; isolation and preparation of diterpenoids, compns.

thereof, and their use as anticancer or antifungal agents)

RN 709667-52-7 CAPLUS

CN 2-Phenanthrenol, 1,2,3,4,4a,9,10,10a-octahydro-6-methoxy-1,1,4a-trimethyl-, (2S,4aR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 709667-21-0P

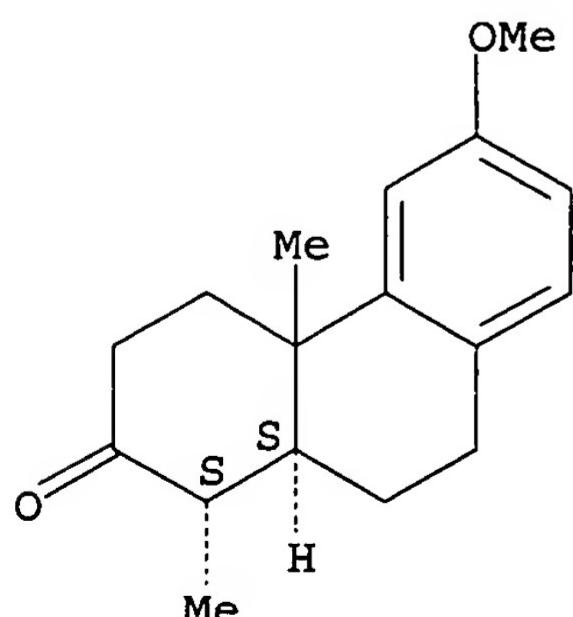
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, selenenylation and oxidative deselenation of; isolation and preparation of diterpenoids, compns. thereof, and their use as anticancer or antifungal agents)

RN 709667-21-0 CAPLUS

CN 2(1H)-Phenanthrenone, 3,4,4a,9,10,10a-hexahydro-6-methoxy-1,4a-dimethyl-, (1R,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L14 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:291950 CAPLUS  
DN 140:315042

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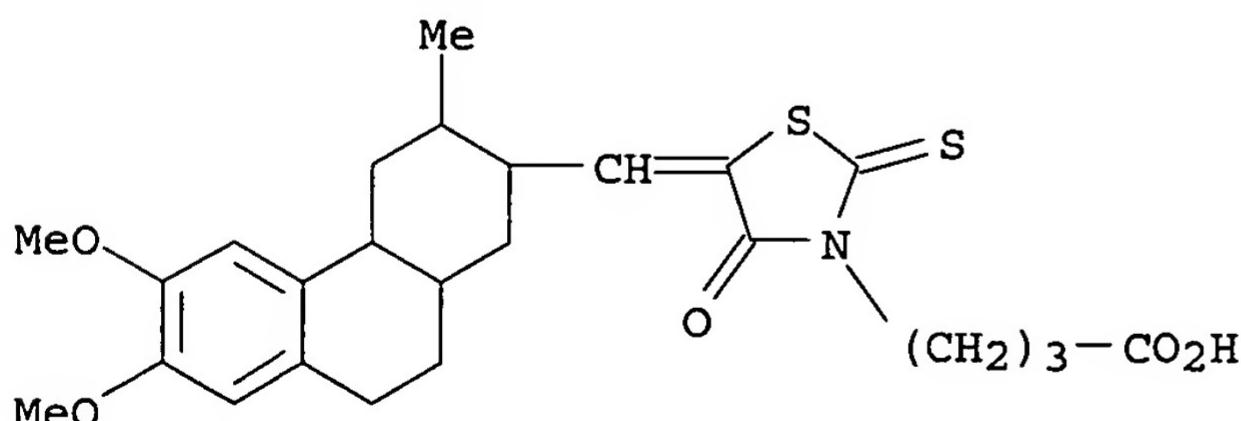
TI Pin1-modulating compounds and methods of use for the treatment of Pin1-associated diseases, including cancer  
IN McKee, Timothy D.; Suto, Robert K.; Tibbitts, Thomas; Sowadski, Janusz  
PA Pintex Pharmaceuticals, Inc., USA  
SO PCT Int. Appl., 166 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004028535	A1	20040408	WO 2003-US306675	20030303
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004214872	A1	20041028	US 2003-379408	20030303
PRAI	US 2002-414077P	P	20020926		
OS	MARPAT 140:315042				
AB	The invention is directed to modulators, e.g., inhibitors, of Pin1 and Pin1-related proteins and the use of such modulators for treatment of Pin1 associated states, e.g., for the treatment of cancer. Synthetic methods are included.				
IT	676649-27-7				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Pin1-modulating compds. for treatment of Pin1-associated diseases, including cancer)				
RN	676649-27-7 CAPLUS				
CN	3-Thiazolidinebutanoic acid, 5-[(1,2,3,4,4a,9,10,10a-octahydro-6,7-dimethoxy-3-methyl-2-phenanthrenyl)methylene]-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)				



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:220882 CAPLUS  
DN 141:289239  
TI Influence of Estrogen Cytostatics on Activity of Plasma Membrane Enzymes 5'-Nucleotidase and N+-K+-ATPase  
AU Mayatskaya, E. E.; Semeikin, A. V.; Rzheznikov, V. M.; Shimanovskii, N. L. ✓  
CS Research Center for Endocrinology, Department of Molecular Pharmacology and Radiobiology, Russian State Medical University, USA  
SO Bulletin of Experimental Biology and Medicine (Translation of Byulleten

10458286

Eksperimental'noi Biologii i Meditsiny) (2003), 136(6), 557-559  
CODEN: BEXBAN; ISSN: 0007-4888

PB Kluwer Academic/Consultants Bureau

DT Journal

LA English

AB The authors studied the effect of four conjugated synthetic derivs. of estrone and ethynodiol and bis-β-chloroethylamine-containing substance on activity of plasma membrane enzymes 5'-nucleotidase and N+-K+-ATPase. As differentiated from precursors, estrogen cytostatics decreased activity of plasma membrane enzymes. Reference preps. chlorophenacyl and estradiol had little effect on activity of 5'-nucleotidase and N+-K+-ATPase. These data suggest that damage to plasma membrane enzymes is related to the effect of estrogen cytostatic mols. Test compds. produced an antiproliferative effect on estrogen-independent tumor cells, which strongly correlated with a decrease in activity of plasma membrane enzymes 5'-nucleotidase and N+-K+-ATPase. The derivative of ethynodiol with the cytostatic residue in the 3-position of the steroid nucleus (Po-714-11a) most significantly modulated enzyme activity.

IT 458569-13-6 458569-15-8 762303-31-1

762303-32-2

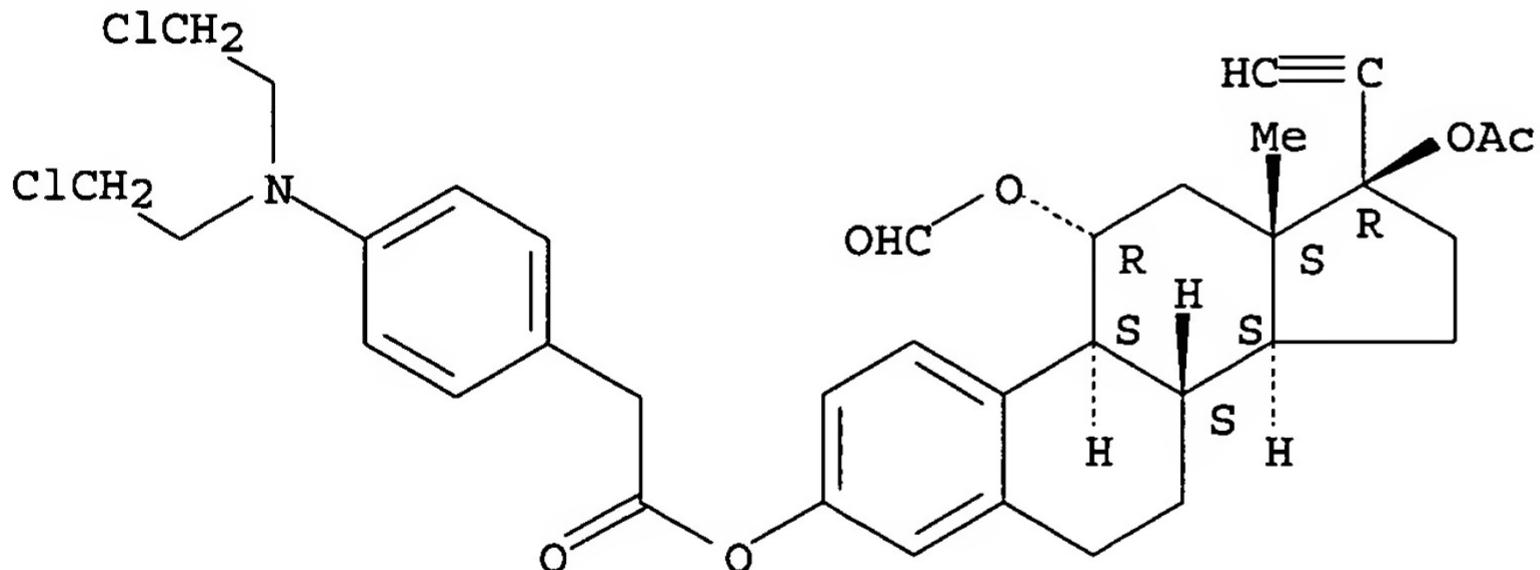
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(influence of estrogen cytostatics on activity of plasma membrane enzymes 5'-nucleotidase and N+-K+-ATPase)

RN 458569-13-6 CAPLUS

CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,11,17-triol, 17-acetate  
3-[[4-[bis(2-chloroethyl)amino]phenyl]acetate] 11-formate,  
(11 $\alpha$ ,17 $\alpha$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

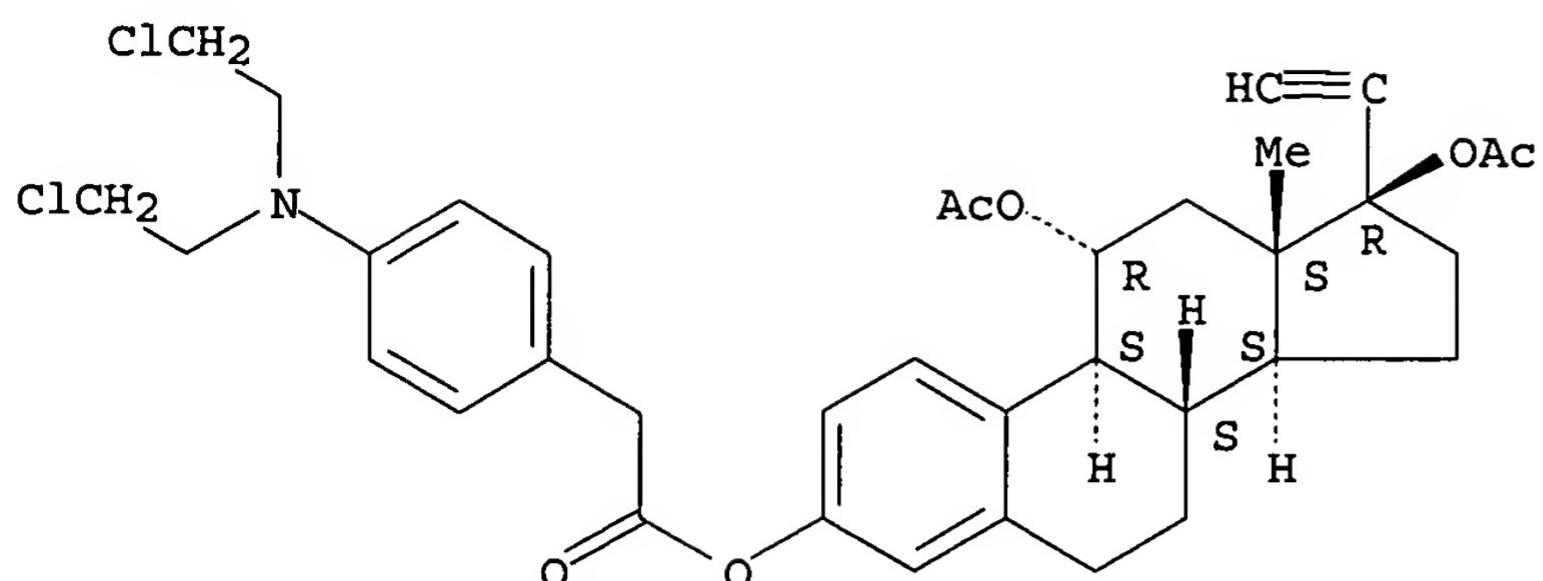


RN 458569-15-8 CAPLUS

CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,11,17-triol, 11,17-diacetate  
3-[[4-[bis(2-chloroethyl)amino]phenyl]acetate], (11 $\alpha$ ,17 $\alpha$ ) -  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

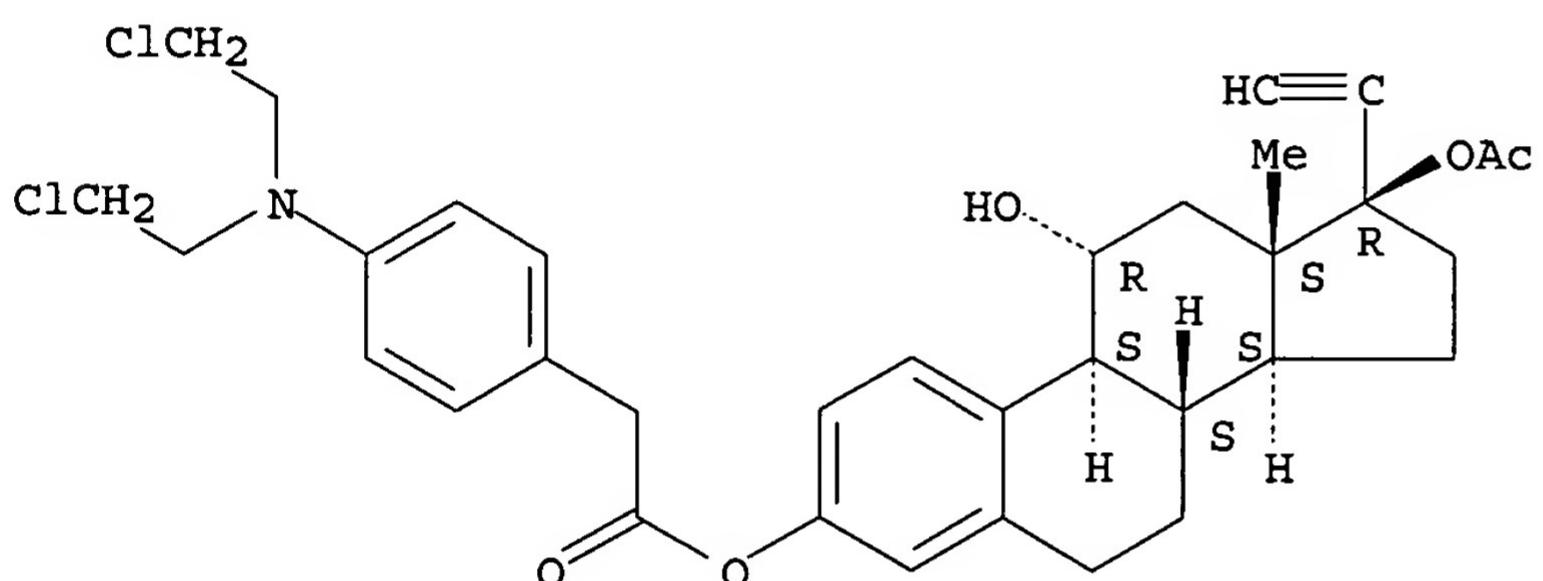
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RN 762303-31-1 CAPLUS

CN 19-Norpregna-1,3,5(10)-trien-20-yne-3,11,17-triol, 17-acetate  
3-[4-[bis(2-chloroethyl)amino]benzeneacetate], (11 $\alpha$ ,17 $\alpha$ )-  
(9CI) (CA INDEX NAME)

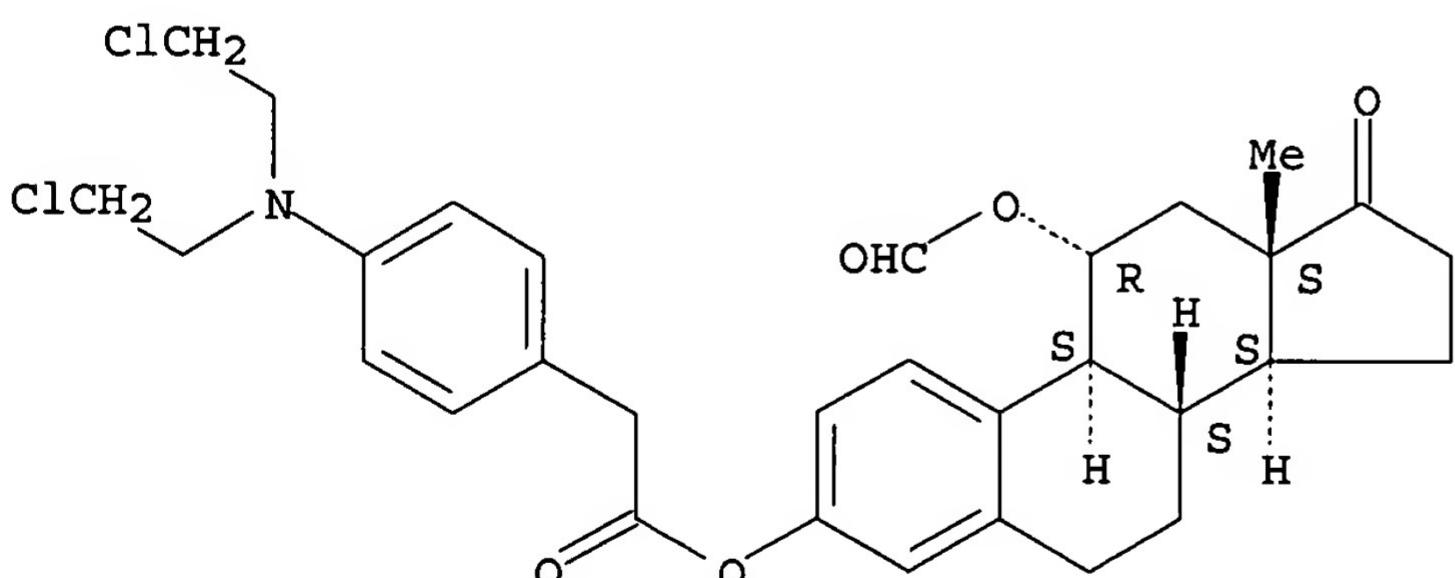
Absolute stereochemistry.



RN 762303-32-2 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 3-[[[4-[bis(2-chloroethyl)amino]phenyl]acetyl]oxy]-11-(formyloxy)-, (11 $\alpha$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:220333 CAPLUS

DN 140:270854

TI Preparation of 1,3,8-triazaspiro[4.5]decan-4-ones for the treatment of ORL-1 receptor mediated disorders

IN Battista, Kathleen; Bignan, Gilles; Connolly, Peter J.; Reitz, Allen B.; Morgan Ross, Tina; Scott, Malcolm; Middleton, Steve A.; Orsini, Michael

NPA

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PA Janssen Pharmaceutica, N.V., Belg.

SO PCT Int. Appl., 249 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022558	A2	20040318	WO 2003-US27956	20030905
	WO 2004022558	A3	20040521		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004142955	A1	20040722	US 2003-656934	20030905
	BR 2003006309	A	20041019	BR 2003-6309	20030905
PRAI	US 2002-409134P	P	20020909		
	WO 2003-US27956	W	20030905		
OS	MARPAT 140:270854				
GI					

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R<sub>0</sub> = CR<sub>a</sub>R<sub>b</sub>COH<sub>a</sub>- (CR<sub>c</sub>R<sub>d</sub>) 1-3X, (CR<sub>c</sub>R<sub>d</sub>) 1-3COH<sub>a</sub>CR<sub>a</sub>R<sub>b</sub>X; R<sub>a</sub>, R<sub>b</sub> = H, alkyl; R<sub>c</sub>, R<sub>d</sub> = H, OH, carboxy, etc.; X = NR<sub>1</sub>R<sub>2</sub>, CONR<sub>1</sub>R<sub>2</sub>, NR<sub>1</sub>, etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkoxy, etc.; R<sub>3</sub> = aryl, arylalkyl, heteroaryl, etc.; A = (R<sub>4</sub>)<sub>n</sub>; R<sub>4</sub> = OH, alkyl, alkyl-OH; n = 0-2; B = (L<sub>1</sub>)<sub>m</sub>; L<sub>1</sub> = alkyl, alkenyl with provisos; m = 0-1; C = (R<sub>5</sub>)<sub>p</sub> and (R<sub>6</sub>)<sub>q</sub> substituted cycloalkyl, partially unsatd. carbocyclyl (sic), aryl, etc.; R<sub>5</sub> = OH, carboxy, halo, etc.; p = 0-5; R<sub>6</sub> = (L<sub>2</sub>)<sub>0-1</sub>R<sub>7</sub>; q = 0-1; L<sub>2</sub> = alkyl, alkenyl, alkynyl, etc.; R<sub>7</sub> = aryl, partially unsatd. carbocyclyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, amination of epoxide II, e.g., prepared from cyclooctanecarboxaldehyde in 2-steps, with 4-aminopyridine afforded amino alc. III. In human ORL-1 receptor binding affinity assays, approx. 470-examples of compds. I exhibited IC<sub>50</sub> values ranging from 0.10 - >10,000 nM, e.g., the IC<sub>50</sub> value of triazaspiro[4.5]decan-4-one III was 8.73 nM. Compds. I are claimed useful for the treatment of anxiety, depression, migraine, etc..

IT 674789-13-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

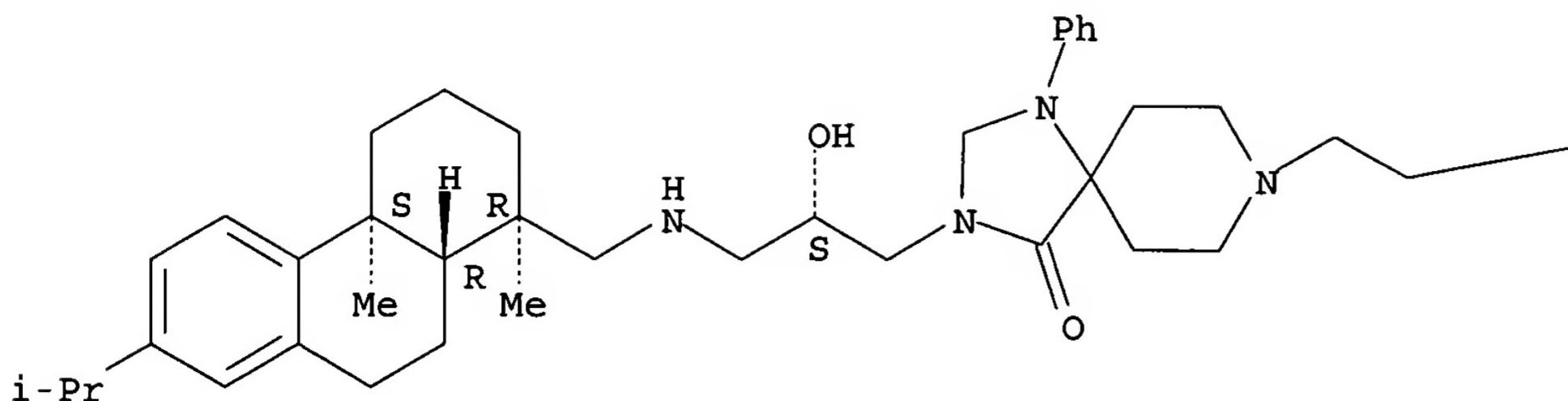
(drug target; preparation of triazaspiro[4.5]decan-4-ones for the treatment of ORL-1 receptor mediated disorders)

RN 674789-13-0 CAPLUS

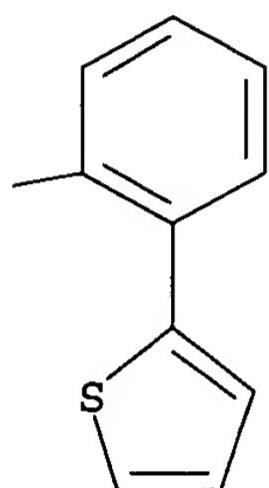
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 3-[(2S)-2-hydroxy-3-[[[(1R,4aS,10aR)-1,2,3,4,4a,9,10,10a-octahydro-1,4a-dimethyl-7-(1-methylethyl)-1-phenanthrenyl]methyl]amino]propyl]-1-phenyl-8-[2-[2-(2-thienyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 674478-64-9P 674789-14-1P

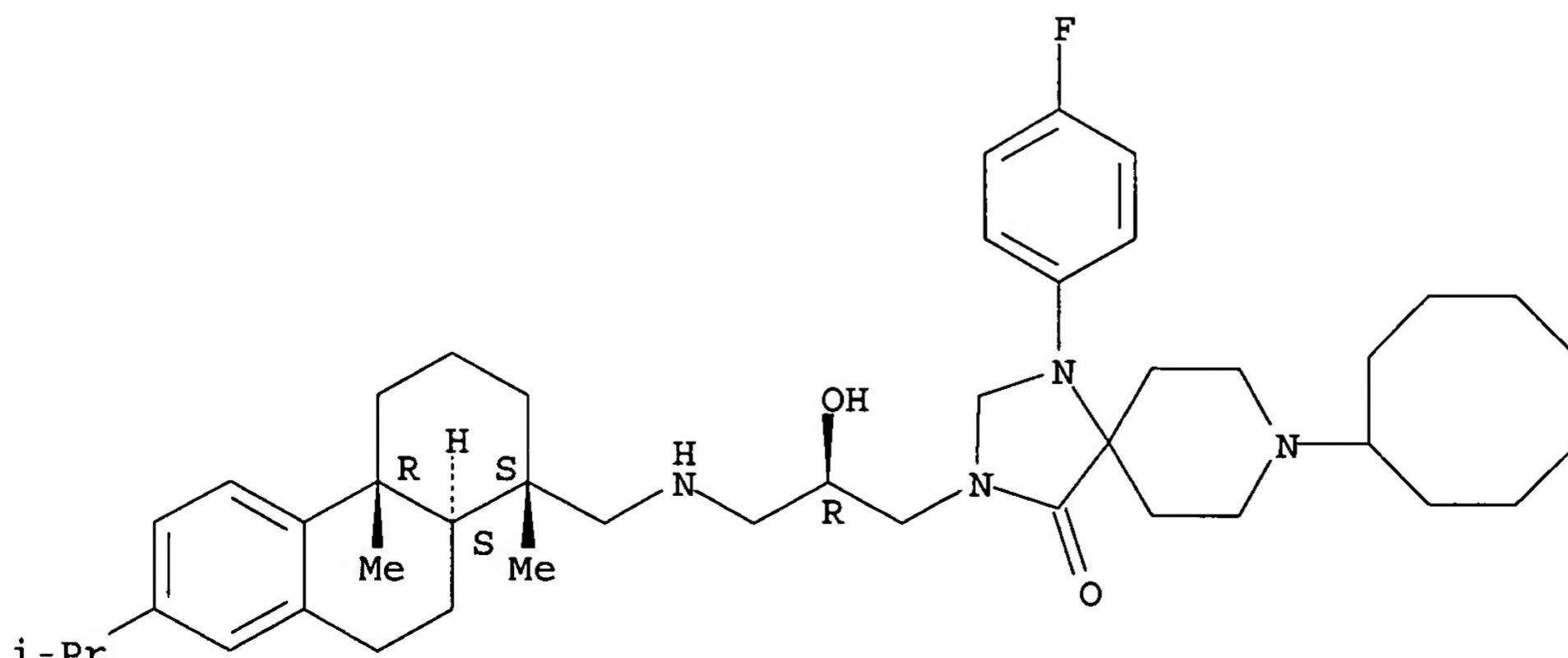
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazaspiro[4.5]decan-4-ones for the treatment of ORL-1 receptor mediated disorders)

RN 674478-64-9 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-cyclooctyl-1-(4-fluorophenyl)-3-[(2R)-2-hydroxy-3-[[[(1S,4aR,10aS)-1,2,3,4,4a,9,10,10a-octahydro-1,4a-dimethyl-7-(1-methylethyl)-1-phenanthrenyl]methyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

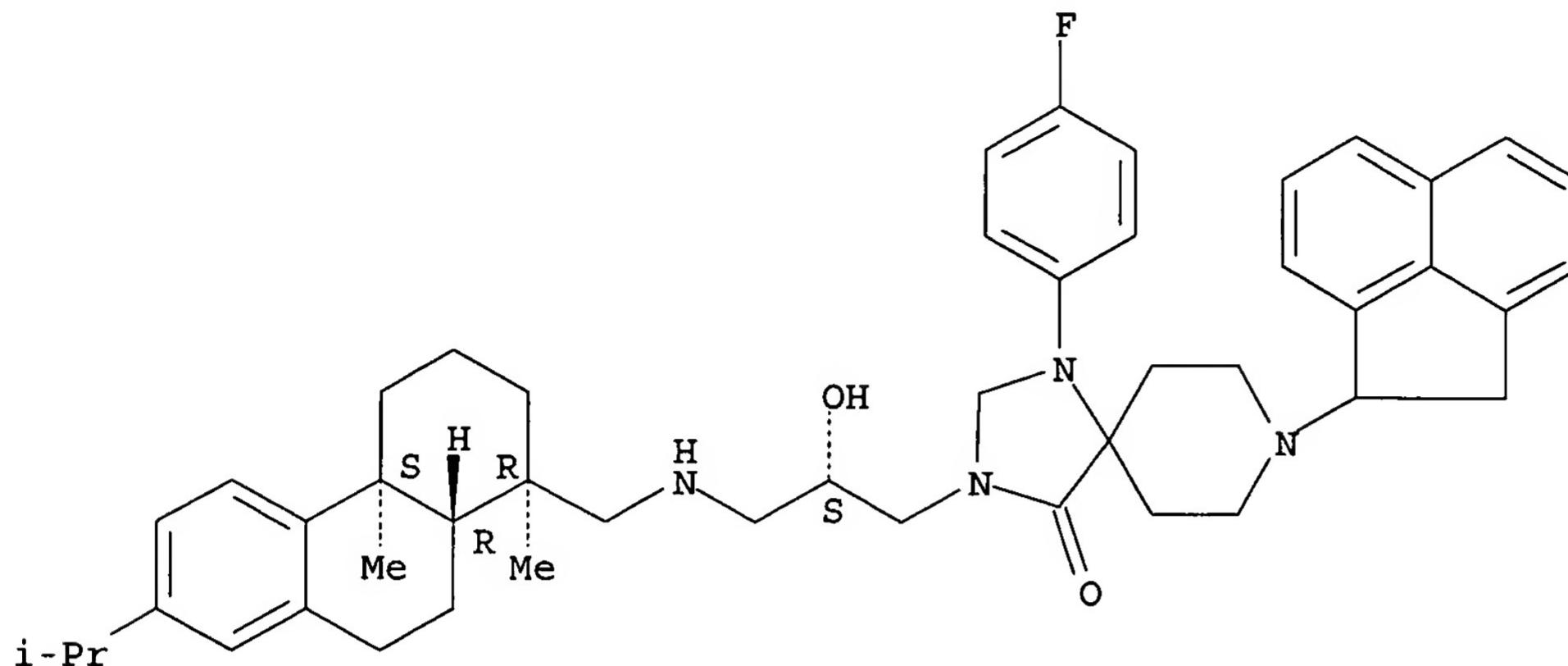


RN 674789-14-1 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-(1,2-dihydro-1-acenaphthylenyl)-1-(4-fluorophenyl)-3-[(2S)-2-hydroxy-3-[[[(1R,4aS,10aR)-1,2,3,4,4a,9,10,10a-

octahydro-1,4a-dimethyl-7-(1-methylethyl)-1-phenanthrenyl]methyl]amino]propyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:182541 CAPLUS

DN 140:229476

TI Treatment of dyspareunia with topically administered nitroglycerin formulations

IN Place, Virgil A.; Wilson, Leland F.; Doherty, Paul C.; Hanamoto, Mark S.; Spivack, Alfred P.; Gesundheit, Neil; Bennett, Sean R.; Doherty, Jane K.

PA USA

SO U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Ser. No. 905,458.  
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004044080	A1	20040304	US 2003-407858	20030404
	US 5877216	A	19990302	US 1997-959064	19971028
	US 6306841	B1	20011023	US 2000-539484	20000330
	US 2001051656	A1	20011213	US 2001-905458	20010713
	US 6593313	B2	20030715		
PRAI	US 1997-959057	B2	19971028		
	US 1997-959064	A2	19971028		
	US 1998-181316	B1	19981027		
	US 2000-539484	A1	20000330		
	US 2001-905458	A2	20010713		

AB Methods and formulations for treating dyspareunia are provided. A pharmaceutical composition formulated so as to contain a therapeutically effective amount of nitroglycerin is administered to the vaginal or vulvar area of the individual undergoing treatment. Preferred formulations are immediate release formulations in which at least 80% of the nitroglycerin in the formulation is released therefrom within 4 h following administration. The formulations may contain one or more addnl. active agents, e.g., agents that are also useful to treat dyspareunia and/or potentiate the action of nitroglycerin. Such addnl. agents include vasoactive agents such as prostaglandins, phosphodiesterase inhibitors, androgens such as testosterone, estrogens such as estradiol, and selective modulators of estrogen and androgen receptors. A kit for a patient to use in the self-administration of the formulation is also provided.

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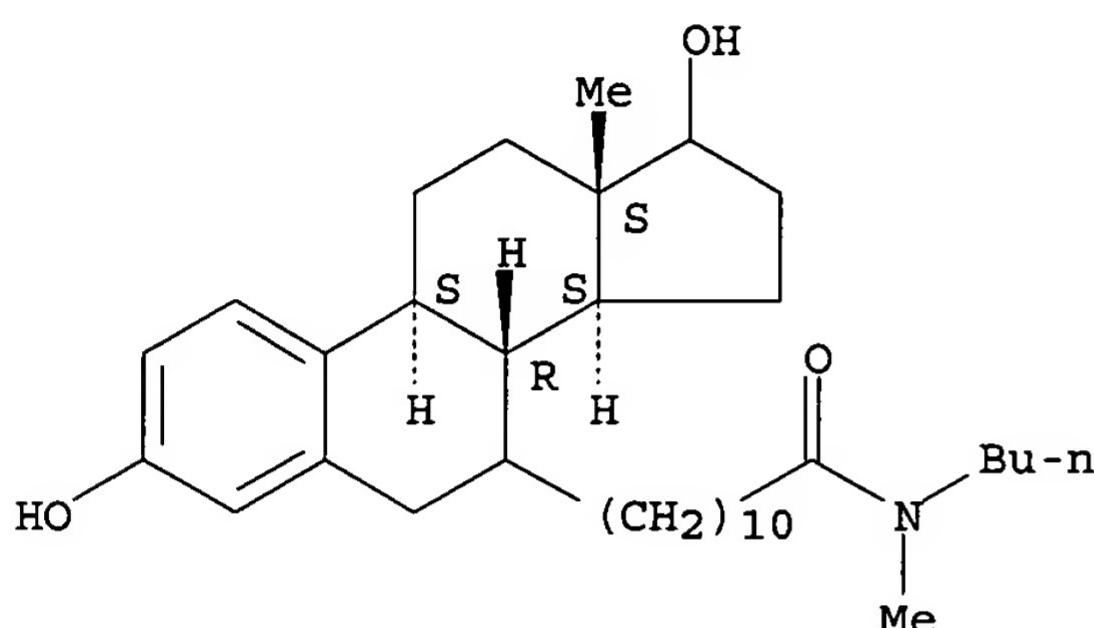
IT 666702-84-7

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(selective estrogen receptor modulator, as addnl. active agent;  
dyspareunia treatment with topically administered nitroglycerin  
formulations)

RN 666702-84-7 CAPLUS

CN Estra-1,3,5(10)-triene-7-undecanamide, N-butyl-3,17-dihydroxy-N-methyl-  
(9CI) (CA INDEX NAME)

## Absolute stereochemistry.



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L14 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:828852 CAPLUS

DN 140:139694

TI The effects of 2-methoxy oestrogens and their sulphamoylated derivatives in conjunction with TNF- $\alpha$  on endothelial and fibroblast cell growth, morphology and apoptosis

AU Ho, Y. T.; Newman, S. P.; Purohit, A.; Leese, M. P.; Potter, B. V. L.; Reed, M. J.

CS Faculty of Medicine, Endocrinology and Metabolic Medicine and Sterix Ltd.,  
Imperial College, St. Mary's Hospital, London, W2 1NY, UK

SO Journal of Steroid Biochemistry and Molecular Biology (2003), 86(2),  
189-196

CODEN: JSBBEZ; ISSN: 0960-0760

PB : Elsevier Science Ltd.

DT Journal

LA English

AB 2-Methoxyoestradiol (2-MeOE2) is a potent anti-angiogenic agent. Its 3-and 17-sulfamoylated derivs. have been demonstrated to induce G2-M cell cycle arrest and apoptosis in breast cancer cells in vitro as well as tumor regression in rats in vivo with greater potency than the parent estrogen. To determine whether the anti-cancer properties of these derivs. can be synergistically enhanced with low-dose TNF- $\alpha$  co-treatment, the authors investigated the effects of these treatments in adult human fibroblasts and human umbilical vein endothelial cells (HUVECs). Treatment of fibroblasts with 0.1  $\mu$ M 2-methoxyoestradiol-3,17-bis sulfamate (2-MeOE2bisMATE) but not 2-MeOE2 caused a reversible morphol. change and induced G2-M arrest (from 12 to 33%) but not subsequent apoptosis. In contrast, treatment of HUVECs did not induce morphol. change or G2-M arrest. Using a nucleosomal ELISA assay, the authors showed that TNF- $\alpha$  (20 ng/mL) combination treatment synergistically increases 0.1  $\mu$ M 2-MeOE2bisMATE-induced but not 0.1  $\mu$ M 2-MeOE2-induced apoptosis in HUVECs. These results suggest that TNF- $\alpha$  co-treatment may be a beneficial method of increasing the potency of 2-substituted estrogens as anti-angiogenic agents through synergistic induction of apoptosis in endothelial cells while maintaining

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low cytotoxicity to fibroblasts.

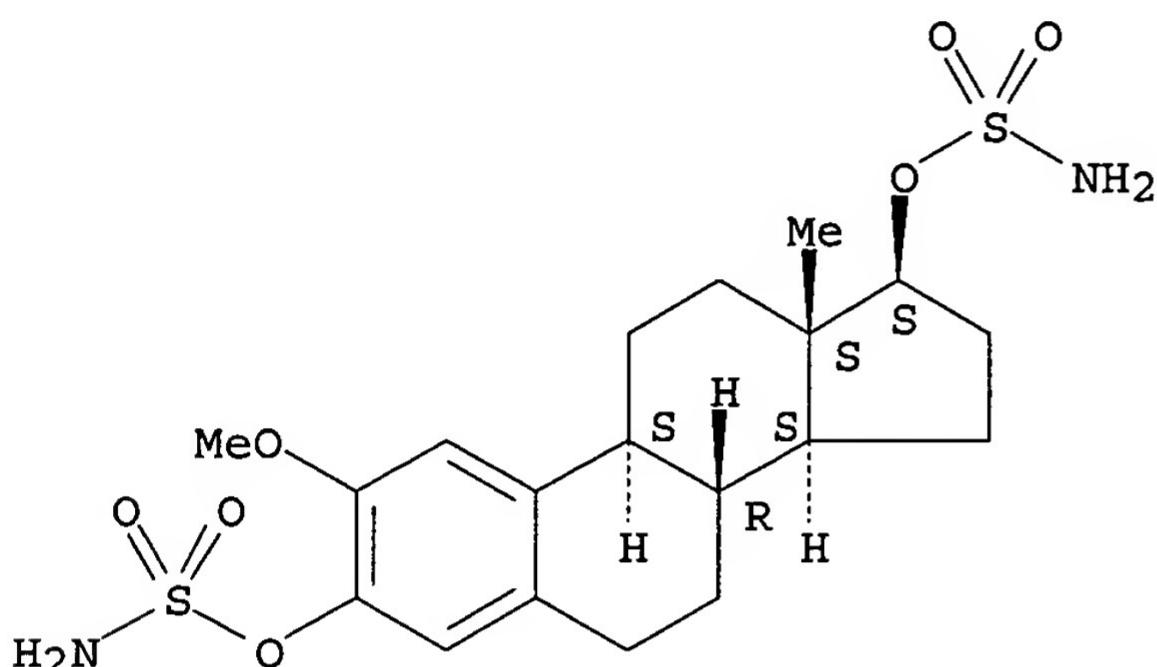
IT 401600-86-0

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effects of 2-methoxy estrogens and their sulfamoylated derivs. in conjunction with TNF- $\alpha$  on human vascular endothelial and dermal fibroblast cell growth, morphol. and apoptosis)

RN 401600-86-0 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-, disulfamate, (17 $\beta$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:719252 CAPLUS

DN 139:224972

TI Synthesis of 2-methoxyestradiol derivatives and uses as antiangiogenic agents

IN Lavallee, Theresa M.; Pribluda, Victor S.; Simons, Jonathan; Mabjeesh, Nicola; Giannakakou, Paraskevi

PA Entremed, Inc., USA

SO PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003073985	A2	20030912	WO 2003-US5898	20030227
	WO 2003073985	A3	20031231		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2002-361267P P 20020301

AB Compns. and methods for treating mammalian disease characterized by undesirable angiogenesis and for controlling a number of angiogenesis-related events, conditions, or substances, by administering derivs. of

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2-methoxyestradiol of general formula (I) wherein the variables are defined in the specification.

IT 431901-79-0 431901-81-4 431901-84-7

431901-85-8 431901-89-2

RL: RCT (Reactant); RACT (Reactant or reagent)

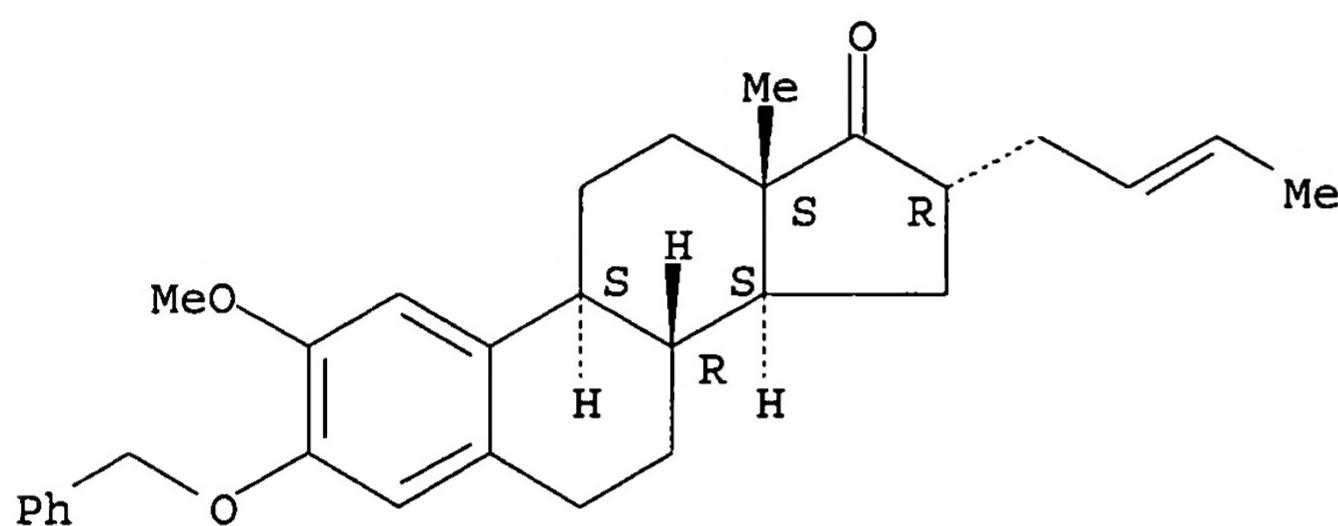
(synthesis of 2-methoxyestradiol derivs. and uses as antiangiogenic agents)

RN 431901-79-0 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 16-(2-butenyl)-2-methoxy-3-(phenylmethoxy)-, (16 $\alpha$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

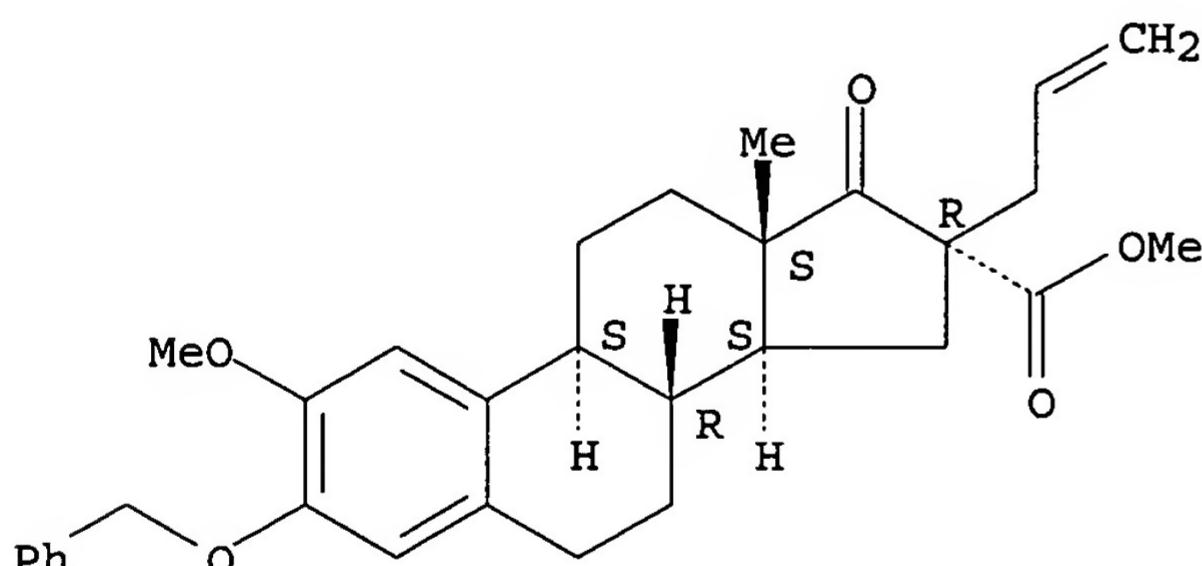
Double bond geometry unknown.



RN 431901-81-4 CAPLUS

CN Estra-1,3,5(10)-triene-16-carboxylic acid, 2-methoxy-17-oxo-3-(phenylmethoxy)-16-(2-propenyl)-, methyl ester, (16 $\alpha$ )- (9CI) (CA INDEX NAME)

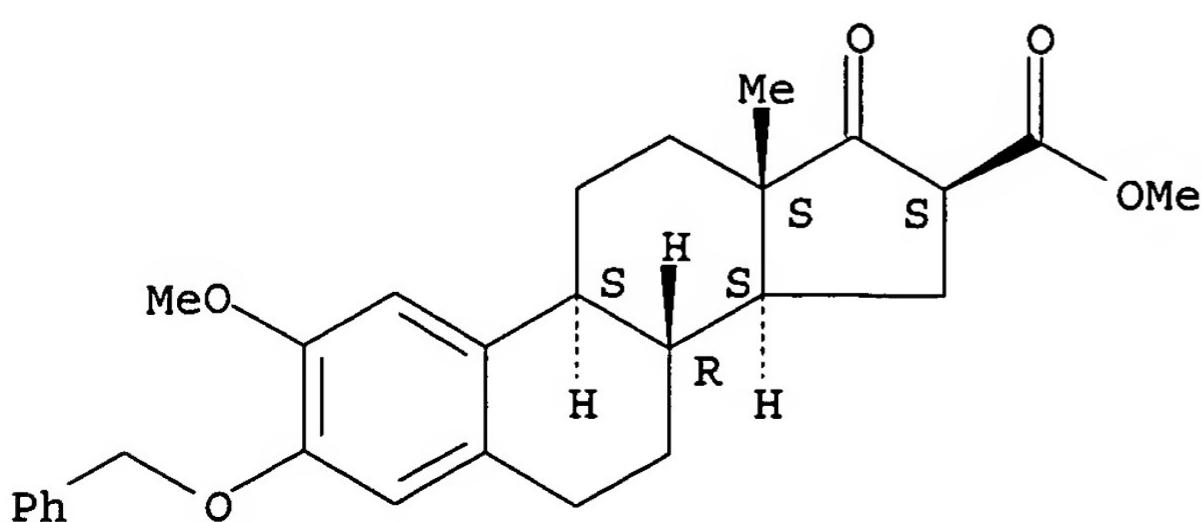
Absolute stereochemistry.



RN 431901-84-7 CAPLUS

CN Estra-1,3,5(10)-triene-16-carboxylic acid, 2-methoxy-17-oxo-3-(phenylmethoxy)-, methyl ester, (16 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

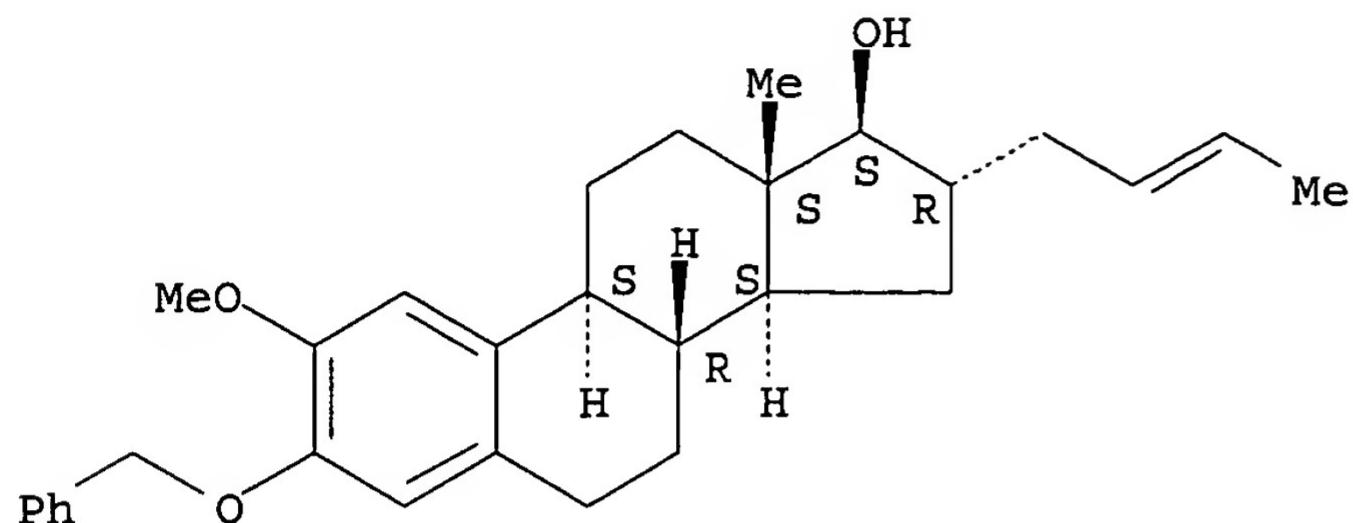


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RN 431901-85-8 CAPLUS

CN Estra-1,3,5(10)-trien-17-ol, 16-(2-butenyl)-2-methoxy-3-(phenylmethoxy)-, (16 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

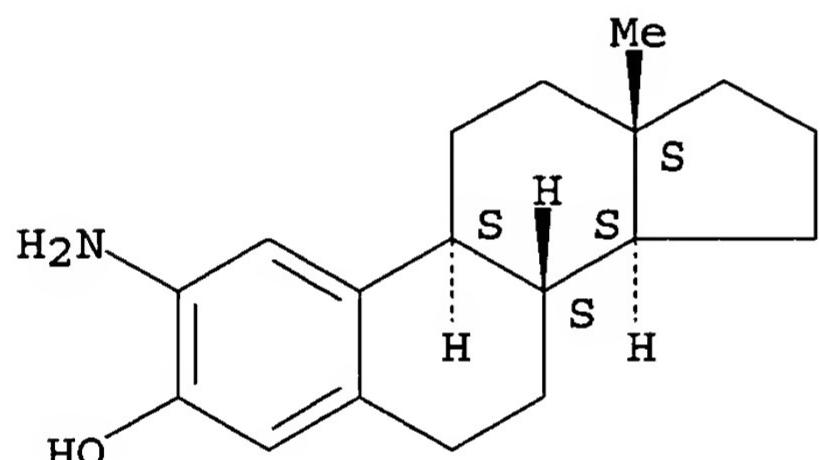
Absolute stereochemistry.  
Double bond geometry unknown.



RN 431901-89-2 CAPLUS

CN Estra-1,3,5(10)-trien-3-ol, 2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 431901-68-7P 431901-69-8P 431901-70-1P

431901-71-2P 431901-72-3P 431901-77-8P

431901-78-9P 431901-80-3DP, alkyl derivs.

431901-89-2DP, alkyl analogs 431901-90-5P

431901-91-6P 431901-92-7P 431901-93-8P

431901-98-3P 431901-99-4P 431902-01-1P

431902-02-2P 431902-03-3P 431902-04-4P

431902-05-5P 431902-06-6P 438044-30-5P

464924-32-1P 594873-85-5P 594873-86-6P

594873-87-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

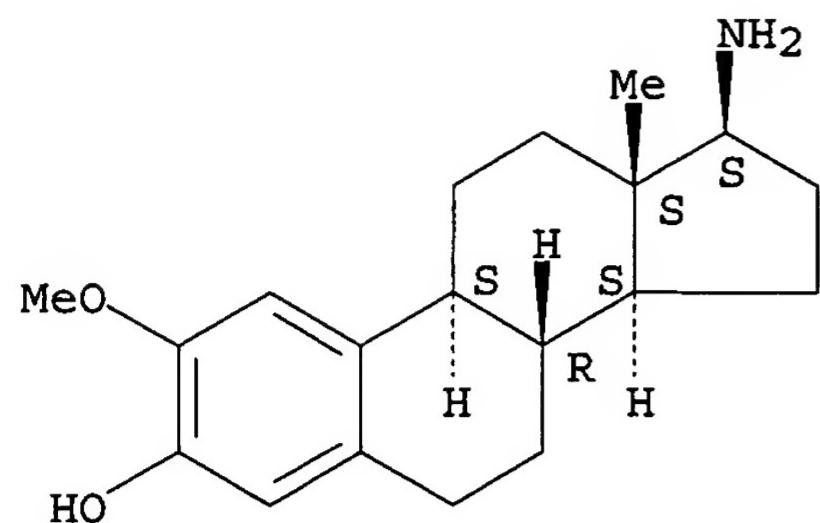
(synthesis of 2-methoxyestradiol derivs. and uses as antiangiogenic agents)

RN 431901-68-7 CAPLUS

CN Estra-1,3,5(10)-trien-3-ol, 17-amino-2-methoxy-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

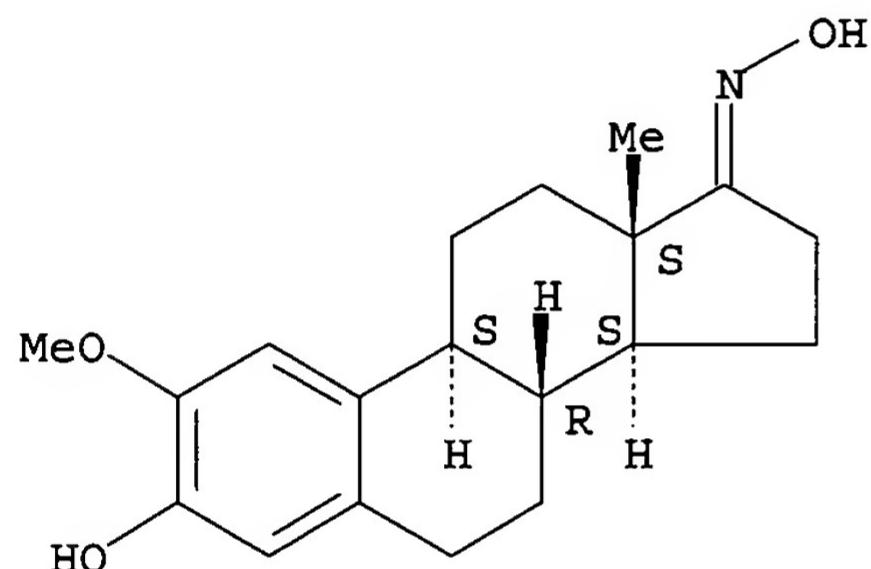
Absolute stereochemistry.

10458286



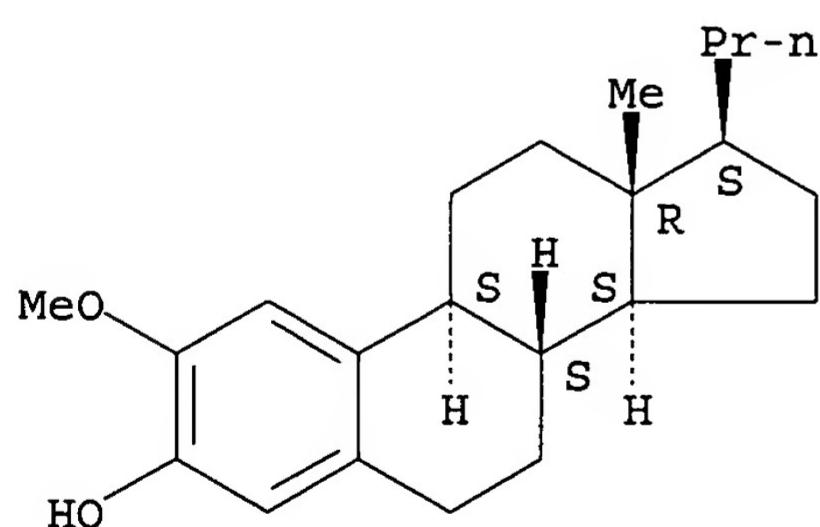
RN 431901-69-8 CAPLUS  
CN Estra-1,3,5(10)-trien-17-one, 3-hydroxy-2-methoxy-, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



RN 431901-70-1 CAPLUS  
CN Estra-1,3,5(10)-trien-3-ol, 2-methoxy-17-propyl-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

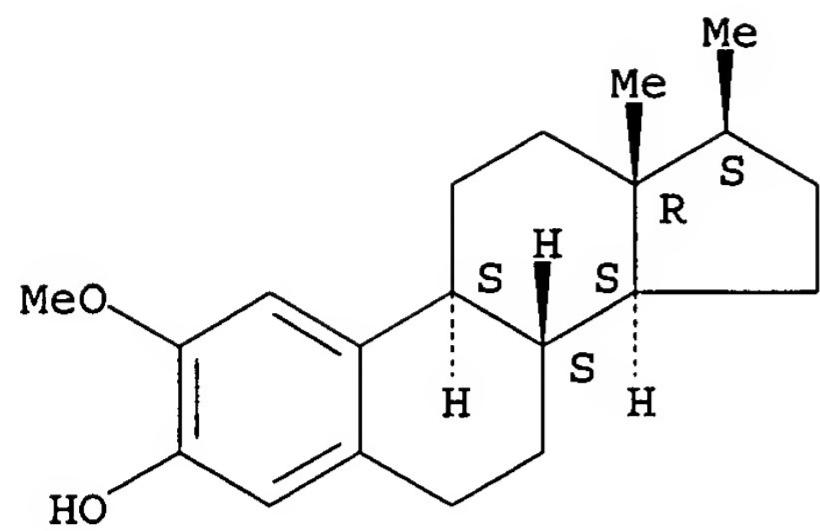
Absolute stereochemistry.



RN 431901-71-2 CAPLUS  
CN Estra-1,3,5(10)-trien-3-ol, 2-methoxy-17-methyl-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10458286

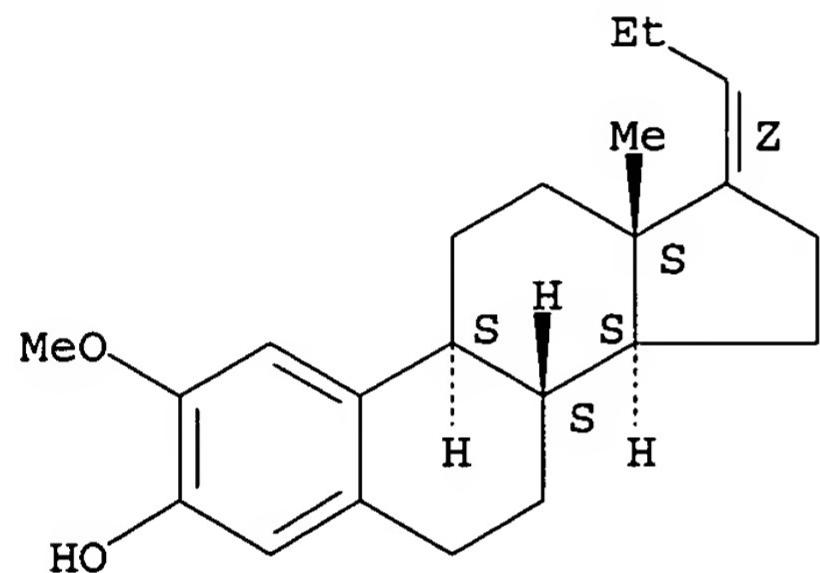


RN 431901-72-3 CAPLUS

CN Estra-1,3,5(10)-trien-3-ol, 2-methoxy-17-propylidene-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

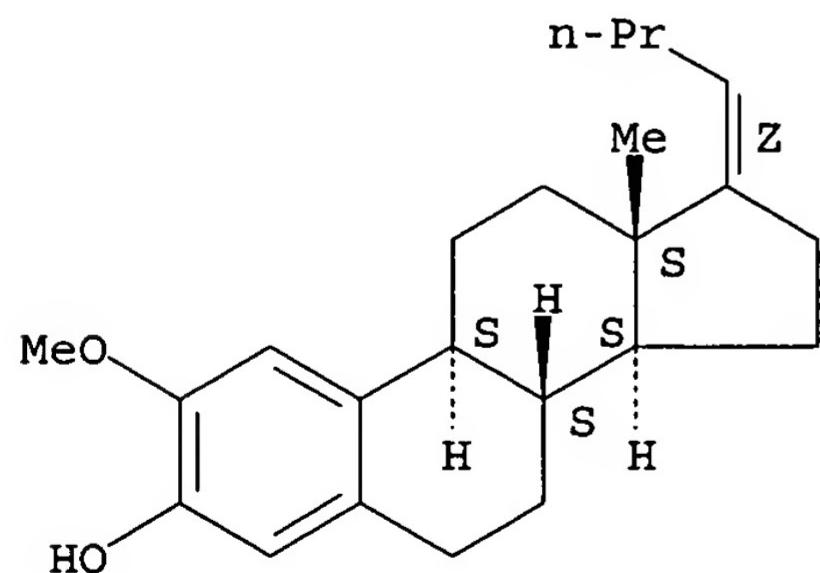


RN 431901-77-8 CAPLUS

CN 19,21-Dinorchola-1,3,5(10),17(20)-tetraen-3-ol, 2-methoxy-, (17Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

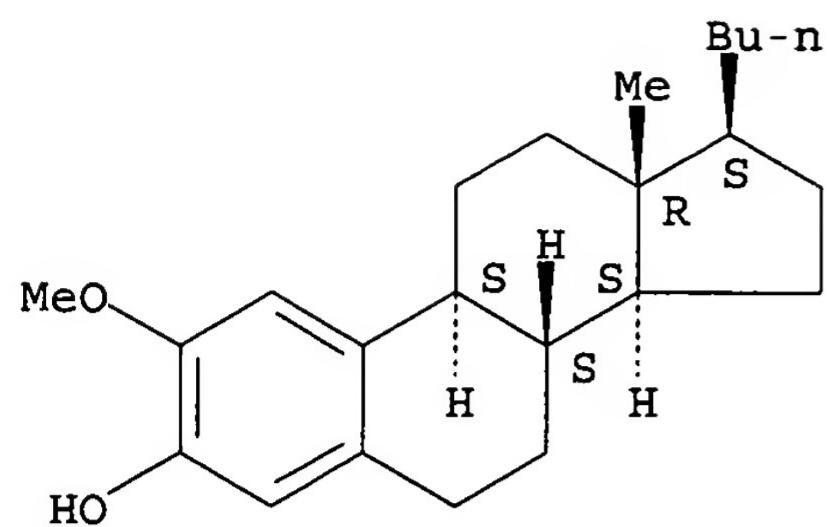


RN 431901-78-9 CAPLUS

CN 19,21-Dinorchola-1,3,5(10)-trien-3-ol, 2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

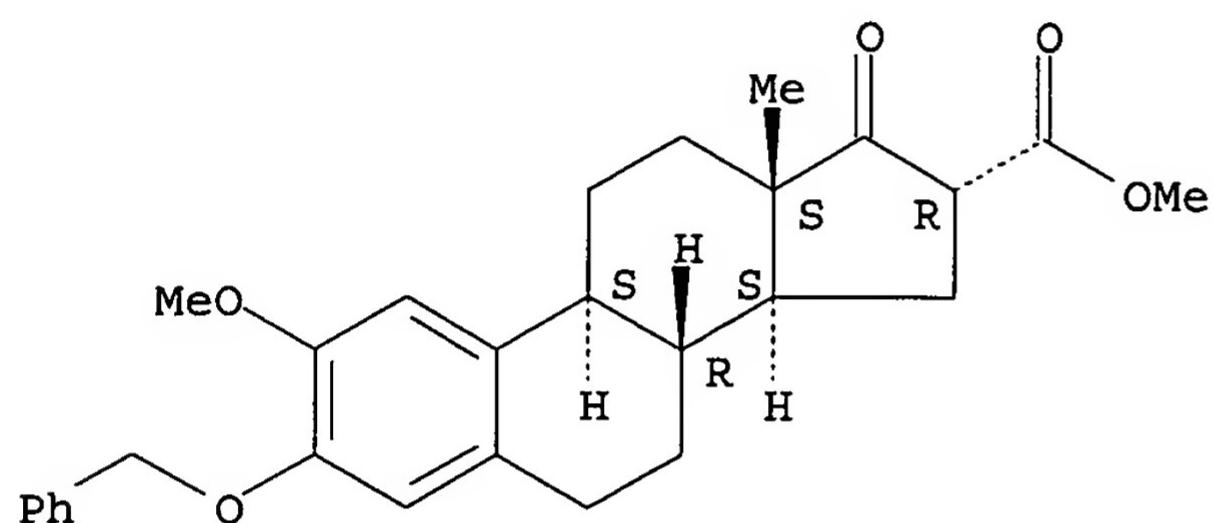
10458286



RN 431901-80-3 CAPLUS

CN Estra-1,3,5(10)-triene-16-carboxylic acid, 2-methoxy-17-oxo-3-(phenylmethoxy)-, methyl ester, (16 $\alpha$ )- (9CI) (CA INDEX NAME)

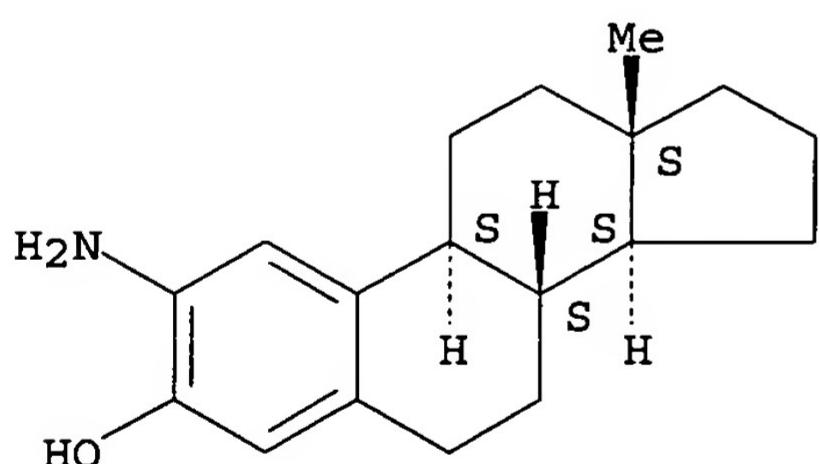
Absolute stereochemistry.



RN 431901-89-2 CAPLUS

CN Estra-1,3,5(10)-trien-3-ol, 2-amino- (9CI) (CA INDEX NAME)

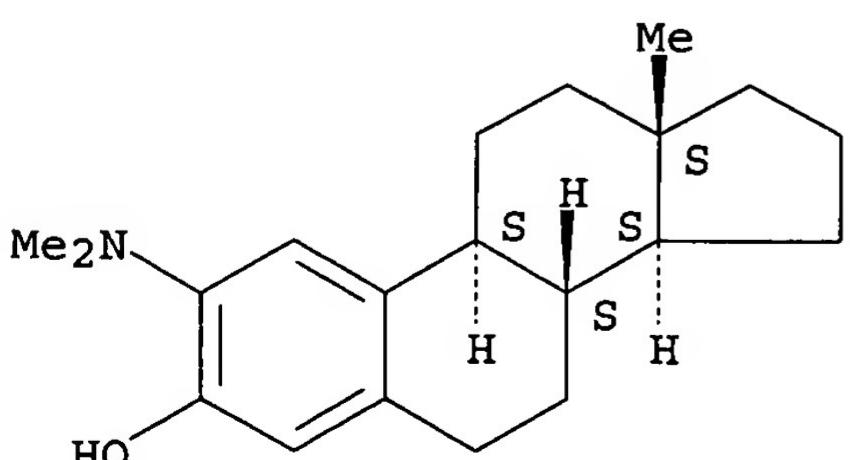
Absolute stereochemistry.



RN 431901-90-5 CAPLUS

CN Estra-1,3,5(10)-trien-3-ol, 2-(dimethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

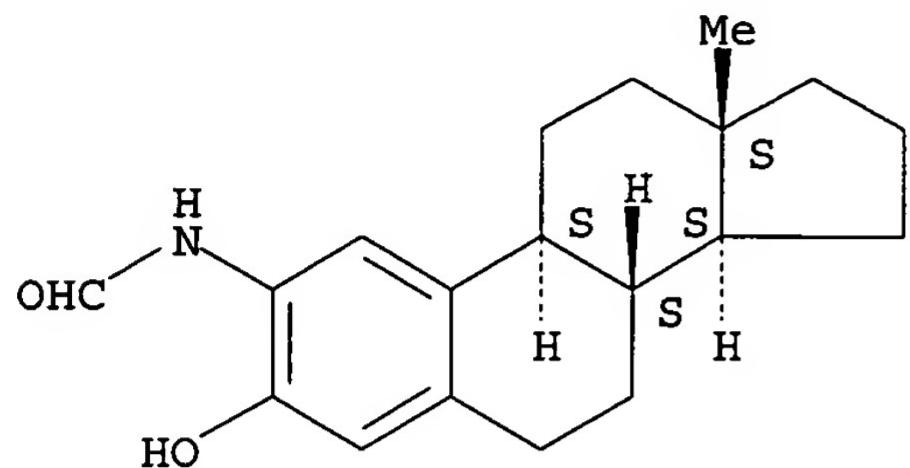


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RN 431901-91-6 CAPLUS

CN Formamide, N-(3-hydroxyestra-1,3,5(10)-trien-2-yl) - (9CI) (CA INDEX NAME)

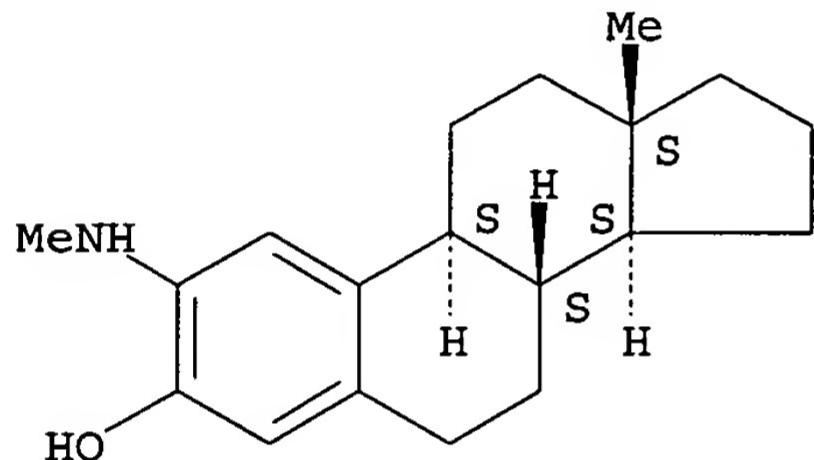
Absolute stereochemistry.



RN 431901-92-7 CAPLUS

CN Estra-1,3,5(10)-trien-3-ol, 2-(methylamino)- (9CI) (CA INDEX NAME)

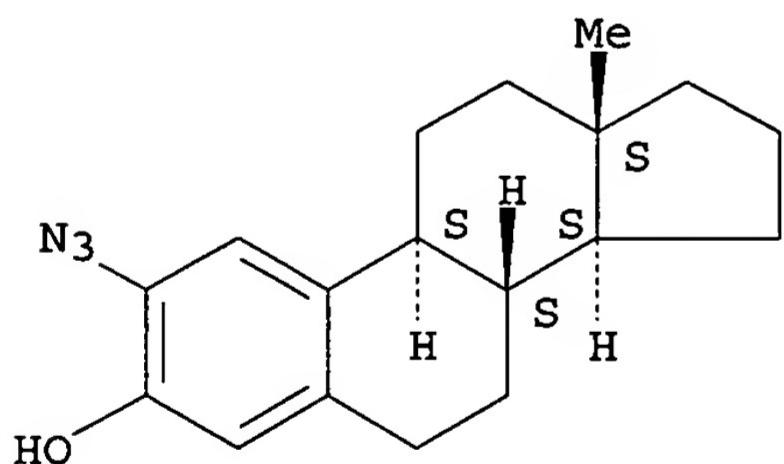
Absolute stereochemistry.



RN 431901-93-8 CAPLUS

CN Estra-1,3,5(10)-trien-3-ol, 2-azido- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

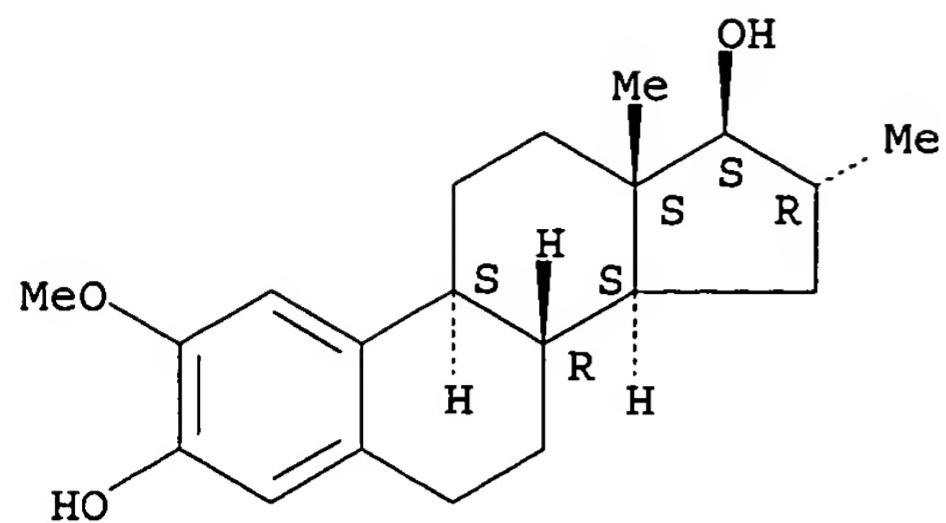


RN 431901-98-3 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-methyl-, (16 $\alpha$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

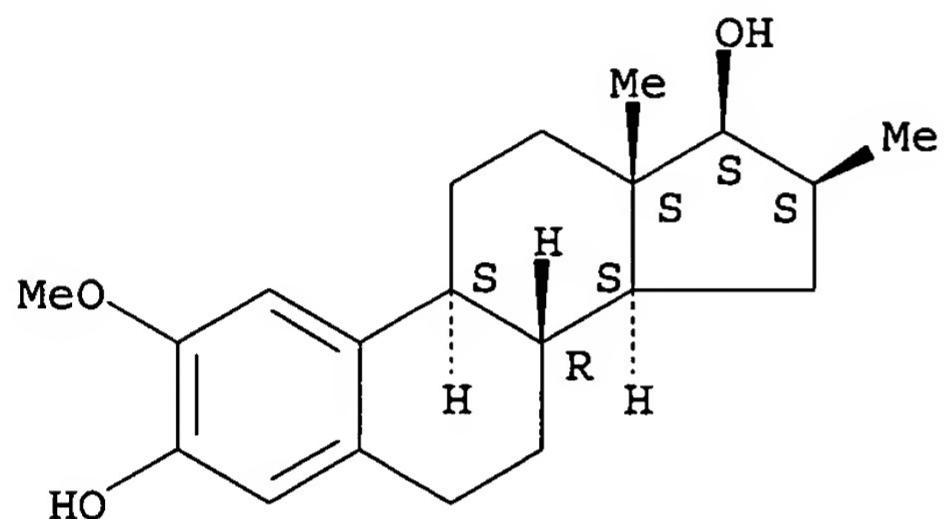
10458286



RN 431901-99-4 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-methyl-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

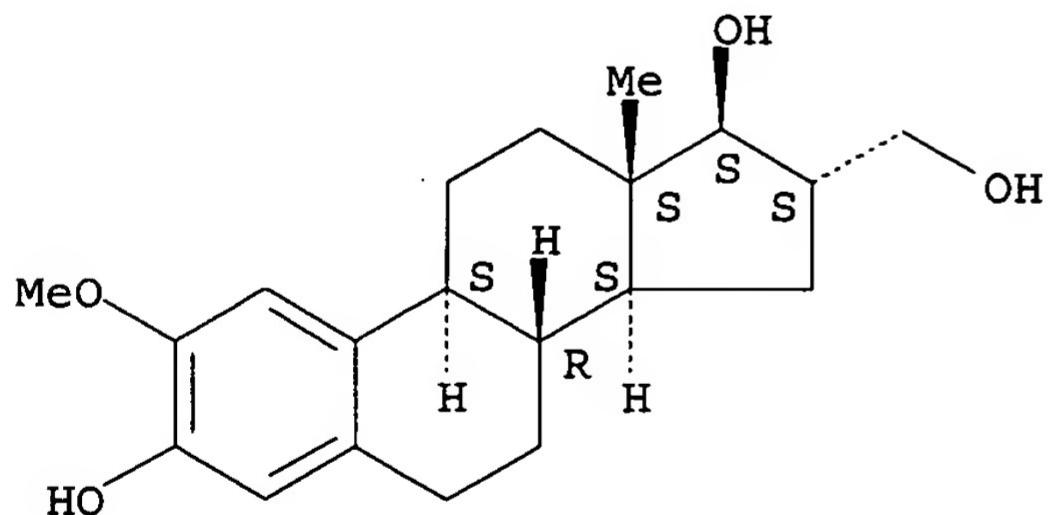
Absolute stereochemistry.



RN 431902-01-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-(hydroxymethyl)-2-methoxy-,  
(16 $\alpha$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

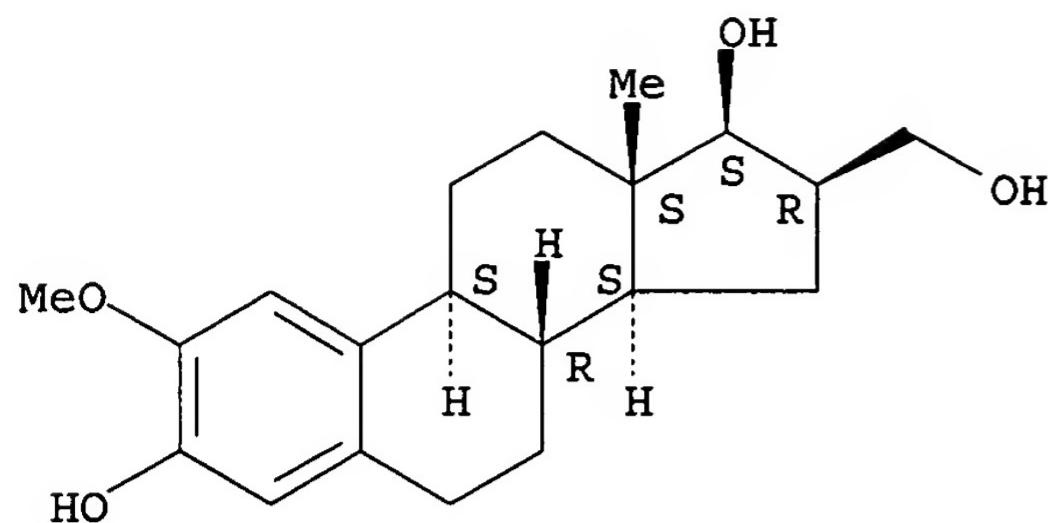


RN 431902-02-2 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-(hydroxymethyl)-2-methoxy-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

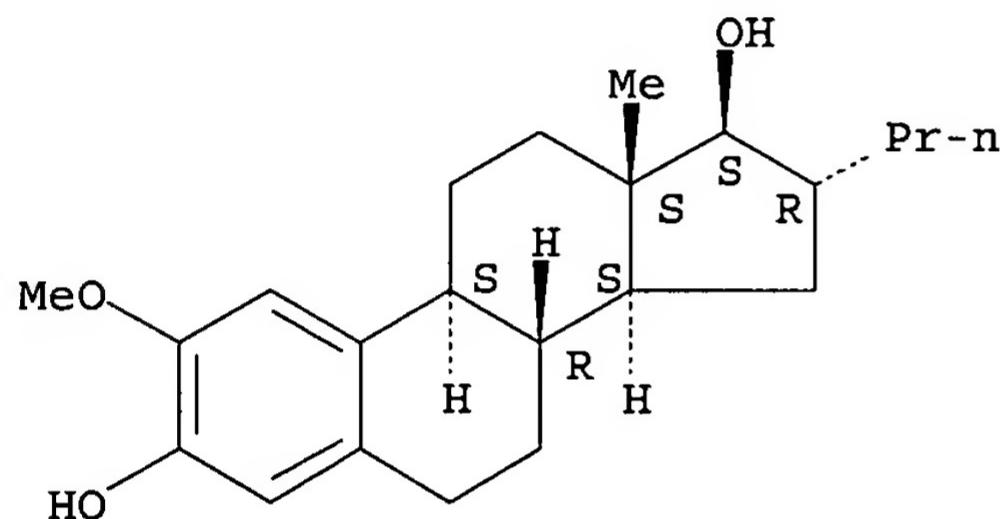
Absolute stereochemistry.

10458286



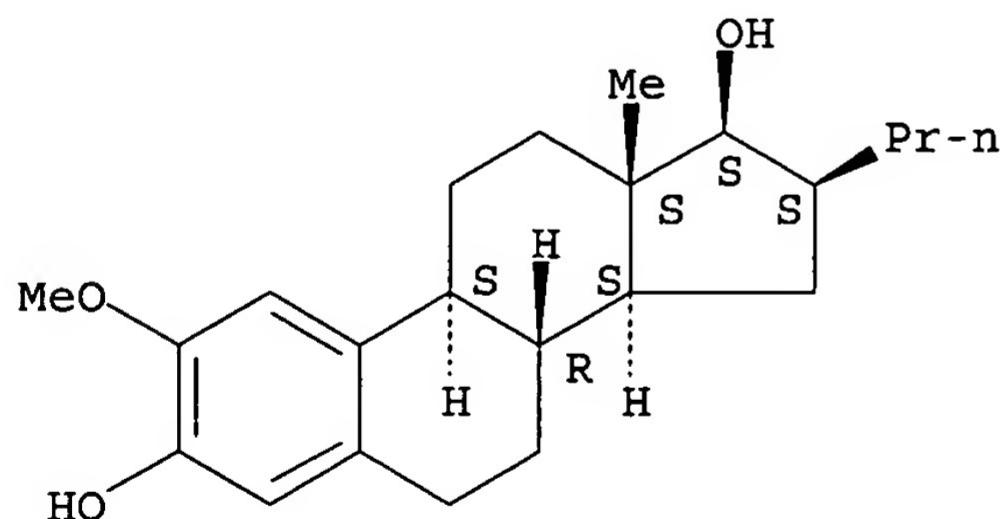
RN 431902-03-3 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-propyl-,  
(16 $\alpha$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 431902-04-4 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-propyl-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

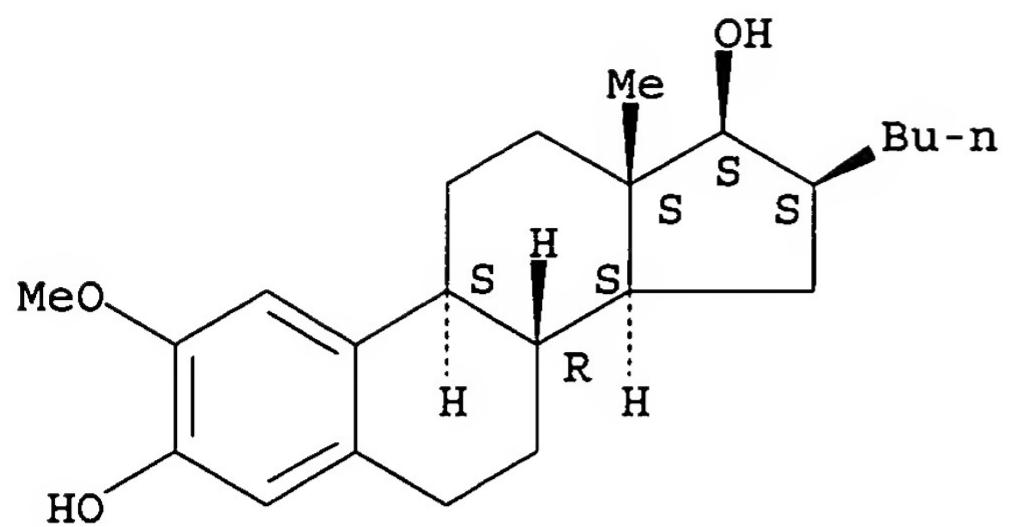
Absolute stereochemistry.



RN 431902-05-5 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 16-butyl-2-methoxy-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

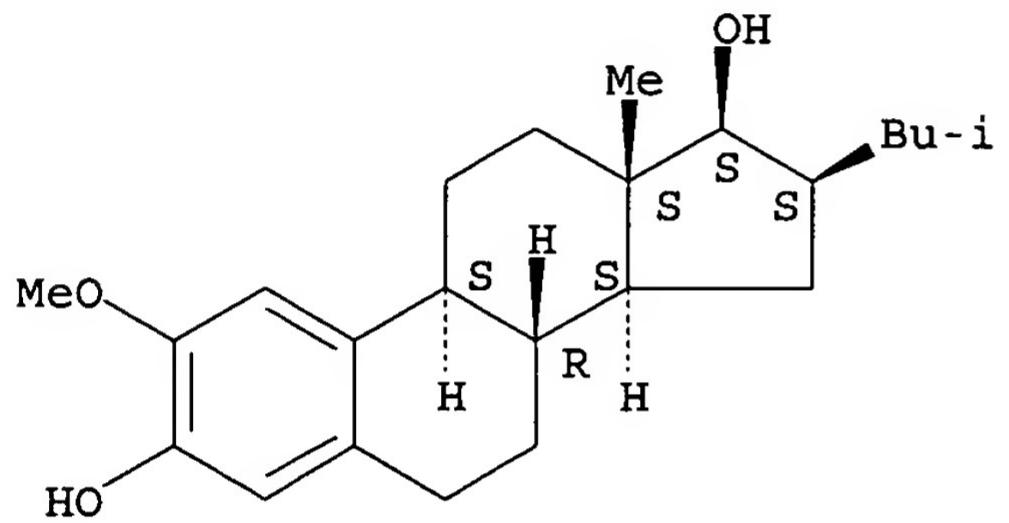
10458286



RN 431902-06-6 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-(2-methylpropyl)-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

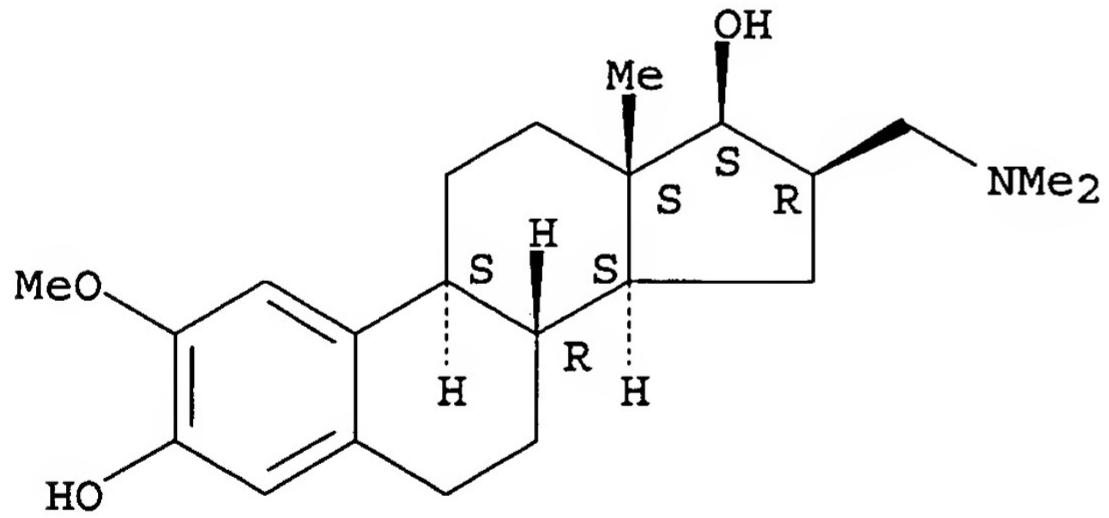
Absolute stereochemistry.



RN 438044-30-5 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-[(dimethylamino)methyl]-2-methoxy-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

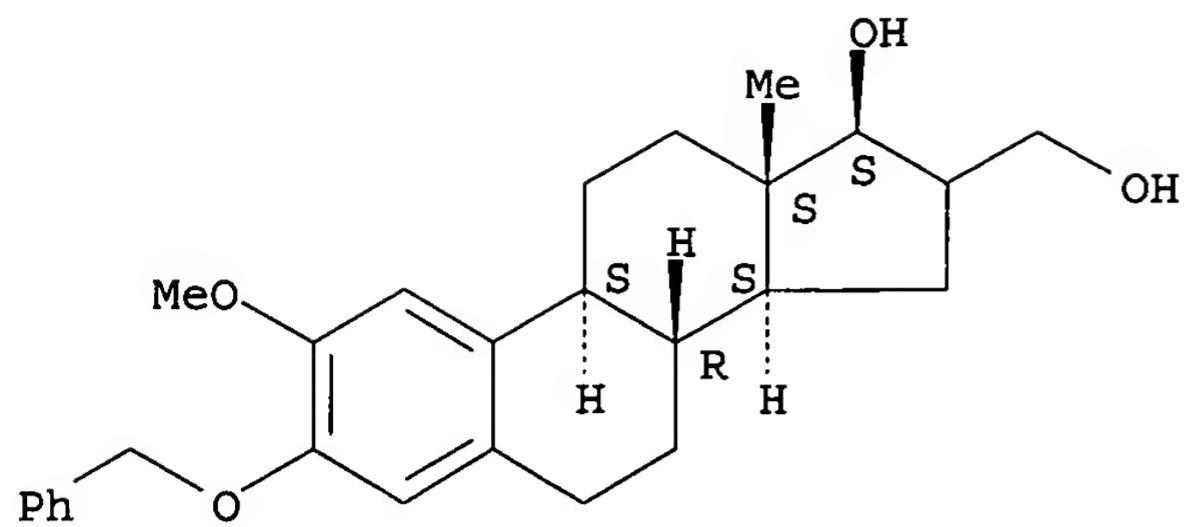


RN 464924-32-1 CAPLUS

CN Estra-1,3,5(10)-triene-16-methanol, 17-hydroxy-2-methoxy-3-(phenylmethoxy)-,  
(17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

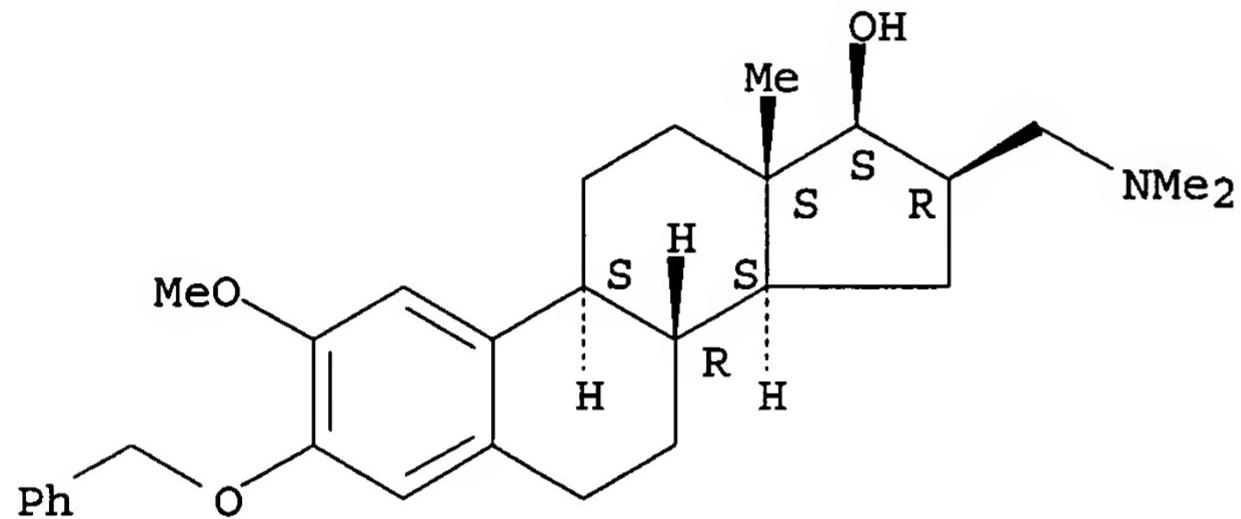
10458286



RN 594873-85-5 CAPLUS

CN Estra-1,3,5(10)-trien-17-ol, 16-[(dimethylamino)methyl]-2-methoxy-3-(phenylmethoxy)-, (16 $\beta$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

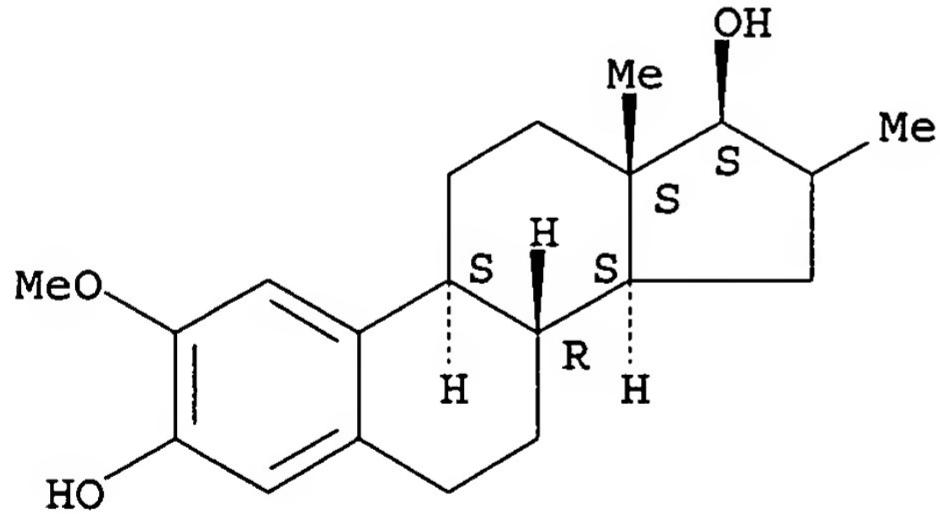
## Absolute stereochemistry.



RN 594873-86-6 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-methyl-, (17 $\beta$ ) - (9CI)  
(CA INDEX NAME)

## Absolute stereochemistry.

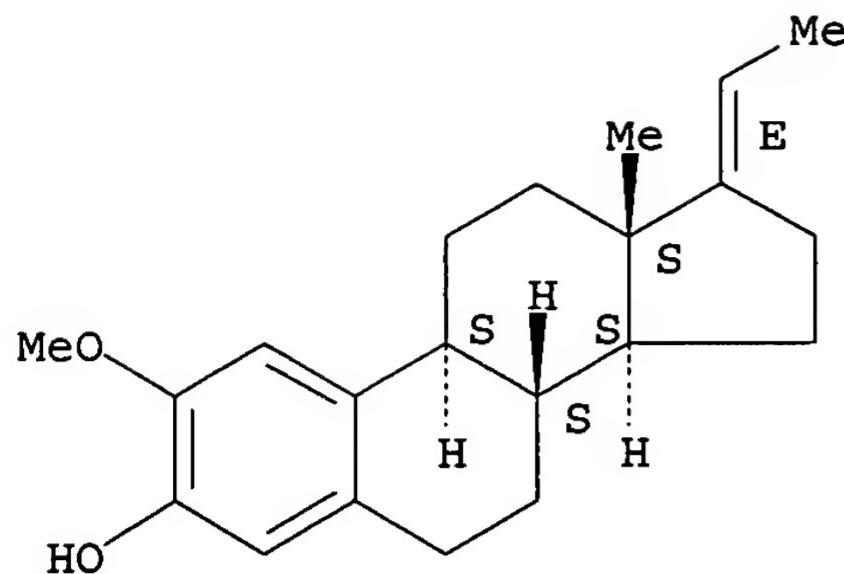


RN 594873-87-7 CAPLUS

CN 19-Norpregna-1,3,5(10),17(20)-tetraen-3-ol, 2-methoxy-, (17E)- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as shown.



NPA

L14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:610198 CAPLUS

DN 139:159968

TI Nonsteroidal analogs of 2-methoxyestradiol for the treatment of diseases characterized by undesirable angiogenesis, proliferative activity, or cell mitosis

IN Agoston, Gregory; Shah, Jamshed H.; Hunsucker, Kimberly A.; Treston, Anthony M.; Pribluda, Victor S.

PA Entremed, Inc., USA

SO PCT Int. Appl., 105 pp.

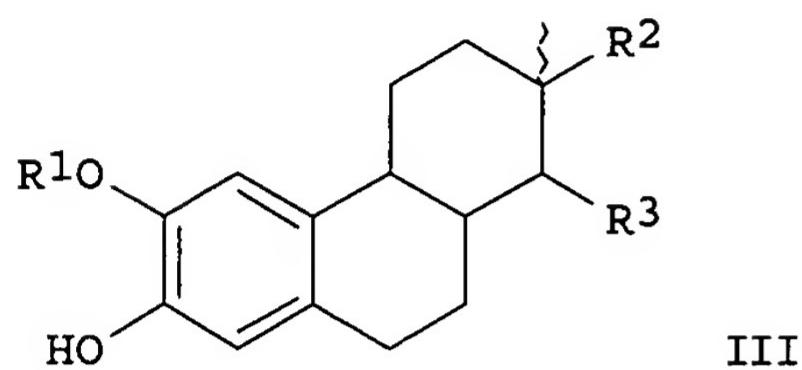
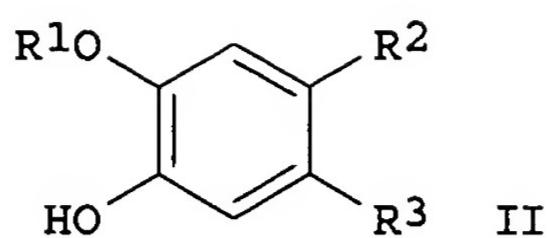
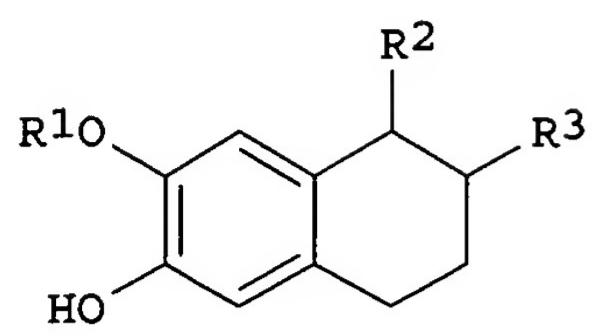
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003063787	A2	20030807	WO 2003-US2728	20030130
	WO 2003063787	A3	20040122		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2003187076	A1	20031002	US 2003-354921	20030130
	US 2003236439	A1	20031225	US 2003-354927	20030130
PRAI	US 2002-354046P	P	20020130		
OS	MARPAT 139:159968				
GI					



**AB** The invention provides compds., compns., and methods for treating disease states characterized by undesirable angiogenesis, proliferative activity, or cell mitosis by administering 2-methoxyestradiol nonsteroidal analogs I, II or III [R1 = (substituted) alkyl, (substituted) aryl; R2, R3 = H, halo, (substituted) alkyl, etc.]. Preparation of e.g. I (R1, R2 = Me; R3 = H) is described.

**IT** 573976-95-1D, derivs. 573979-27-8 573979-28-9

573979-29-0 573979-30-3 573979-35-8

573979-36-9 573979-37-0 573979-38-1

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573979-42-7 573979-43-8 573979-44-9

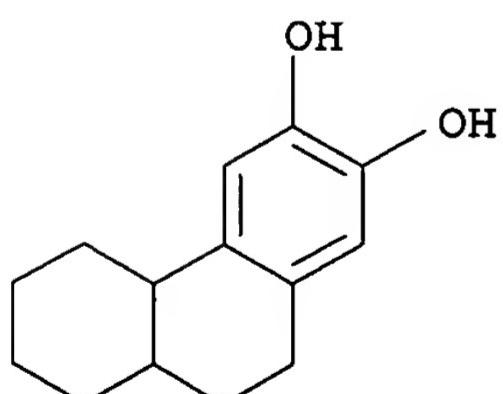
573979-45-0 573979-46-1

**RL:** PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methoxyestradiol nonsteroidal analogs for treatment of diseases characterized by undesirable angiogenesis, proliferative activity, or cell mitosis)

**RN** 573976-95-1 CAPLUS

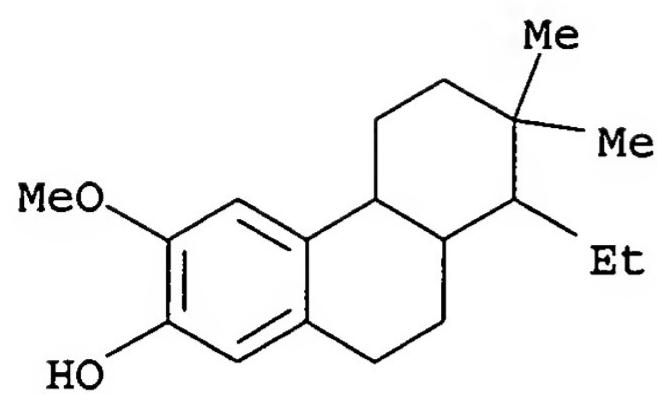
**CN** 2,3-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro- (9CI) (CA INDEX NAME)



**RN** 573979-27-8 CAPLUS

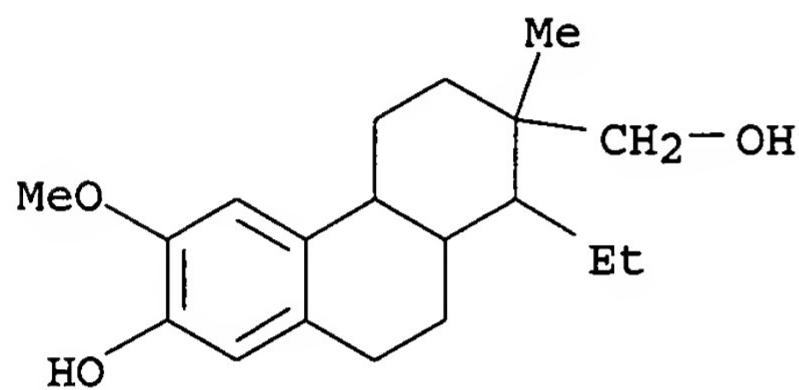
**CN** 2-Phenanthrenol, 8-ethyl-4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7,7-dimethyl- (9CI) (CA INDEX NAME)

10458286



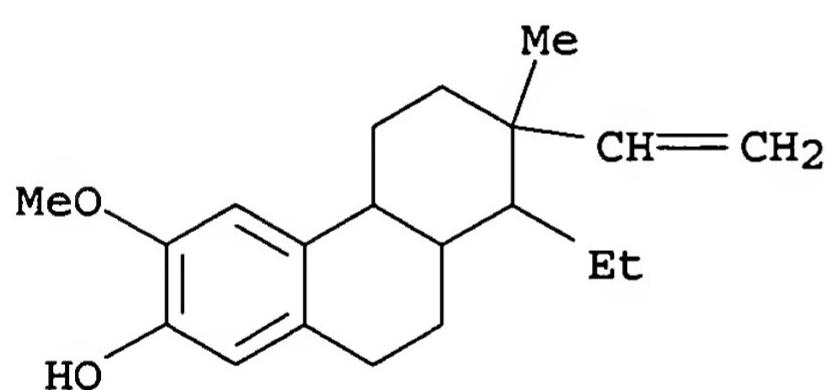
RN 573979-28-9 CAPLUS

CN 2-Phenanthrenemethanol, 1-ethyl-1,2,3,4,4a,9,10,10a-octahydro-7-hydroxy-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



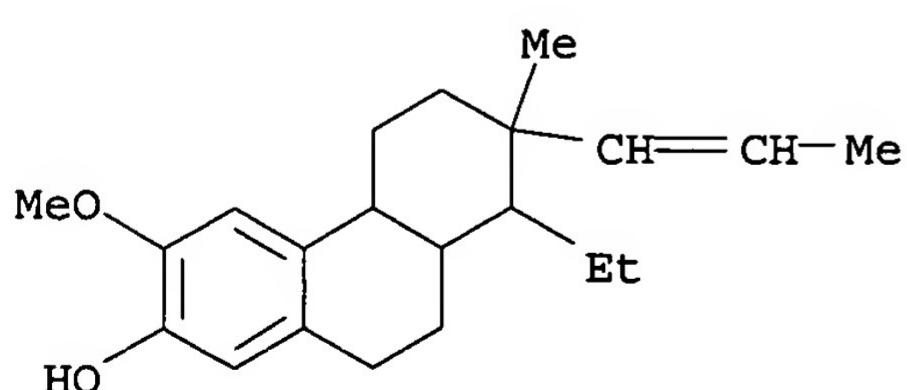
RN 573979-29-0 CAPLUS

CN 2-Phenanthrenol, 7-ethenyl-8-ethyl-4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7-methyl- (9CI) (CA INDEX NAME)



RN 573979-30-3 CAPLUS

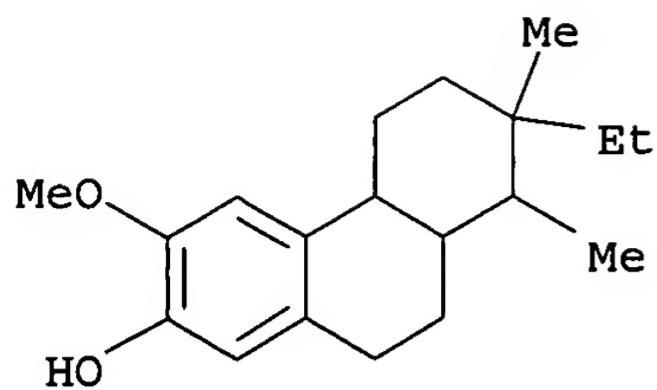
CN 2-Phenanthrenol, 8-ethyl-4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7-methyl-7-(1-propenyl)- (9CI) (CA INDEX NAME)



RN 573979-35-8 CAPLUS

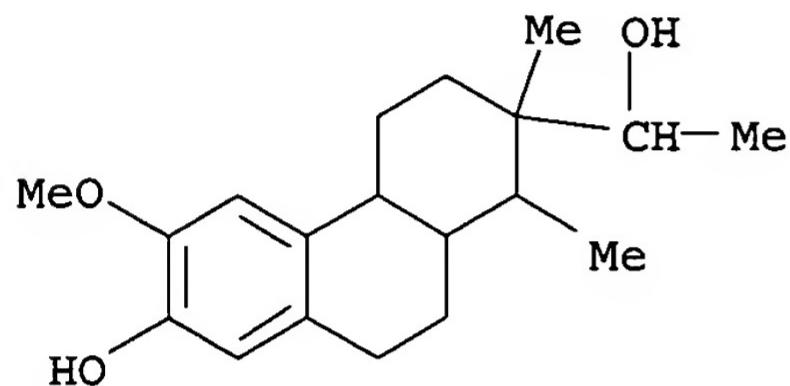
CN 2-Phenanthrenol, 7-ethyl-4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7,8-dimethyl- (9CI) (CA INDEX NAME)

10458286



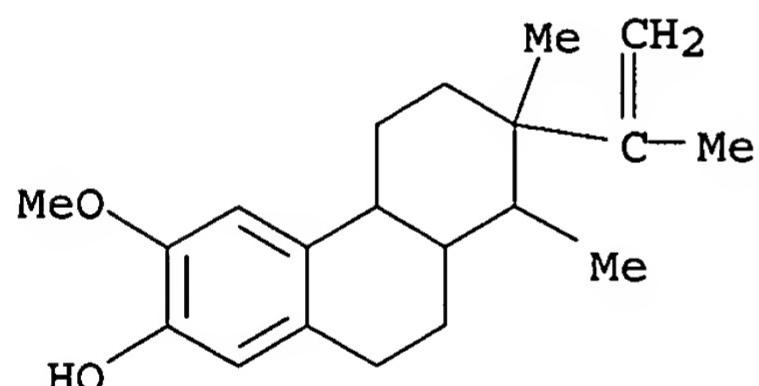
RN 573979-36-9 CAPLUS

CN 2-Phenanthrenemethanol, 1,2,3,4,4a,9,10,10a-octahydro-7-hydroxy-6-methoxy-alpha,1,2-trimethyl- (9CI) (CA INDEX NAME)



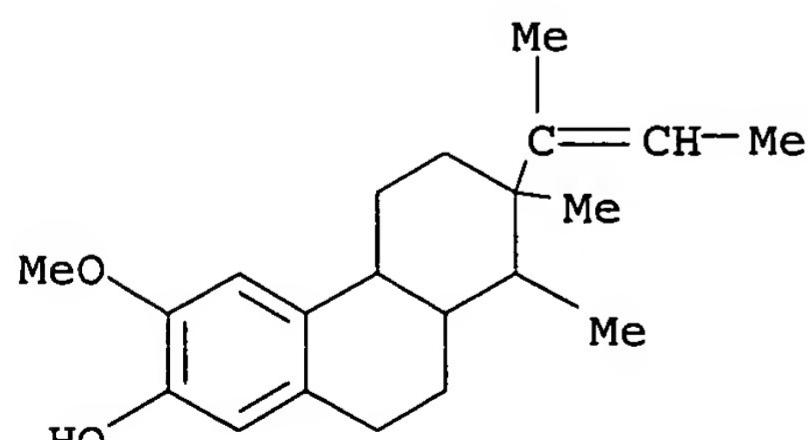
RN 573979-37-0 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7,8-dimethyl-7-(1-methylethenyl)- (9CI) (CA INDEX NAME)



RN 573979-38-1 CAPLUS

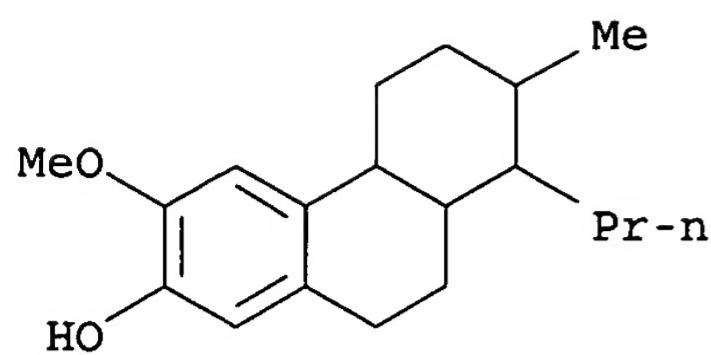
CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7,8-dimethyl-7-(1-methyl-1-propenyl)- (9CI) (CA INDEX NAME)



RN 573979-39-2 CAPLUS

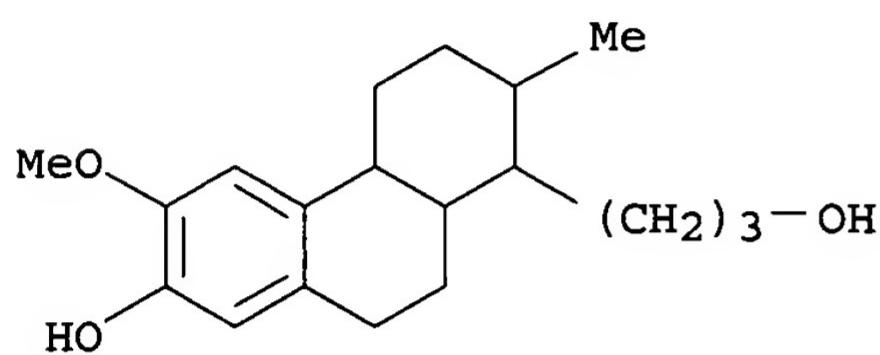
CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7-methyl-8-propyl- (9CI) (CA INDEX NAME)

10458286



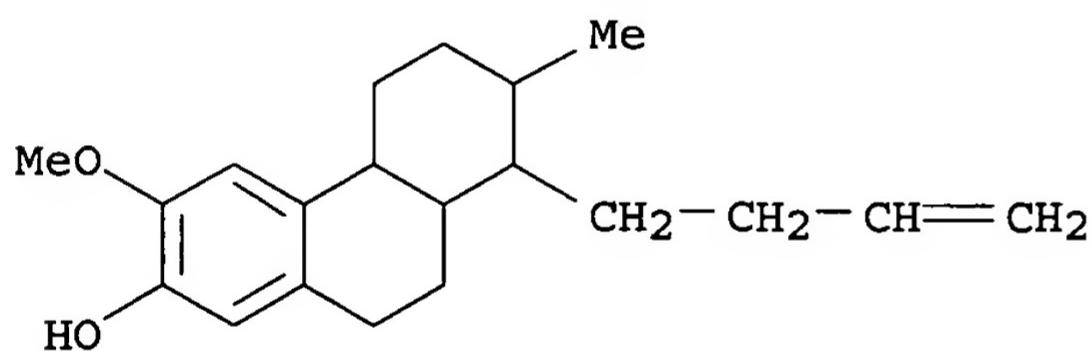
RN 573979-40-5 CAPLUS

CN 1-Phenanthrenepropanol, 1,2,3,4,4a,9,10,10a-octahydro-7-hydroxy-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)



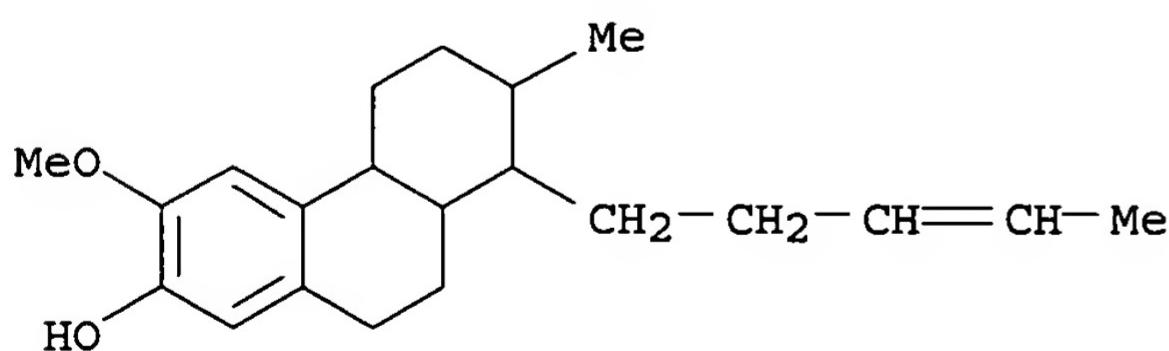
RN 573979-41-6 CAPLUS

CN 2-Phenanthrenol, 8-(3-butenyl)-4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7-methyl- (9CI) (CA INDEX NAME)



RN 573979-42-7 CAPLUS

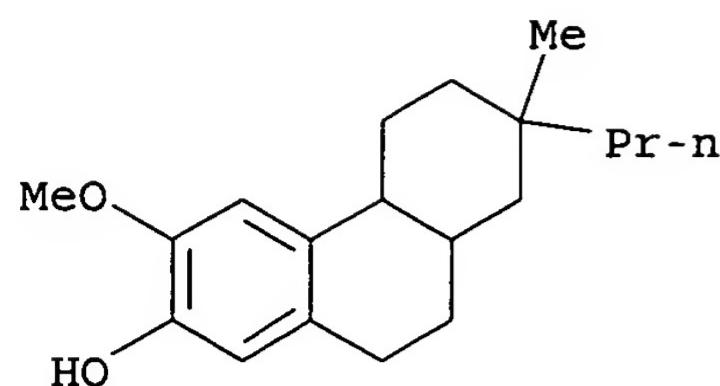
CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7-methyl-8-(3-pentenyl)- (9CI) (CA INDEX NAME)



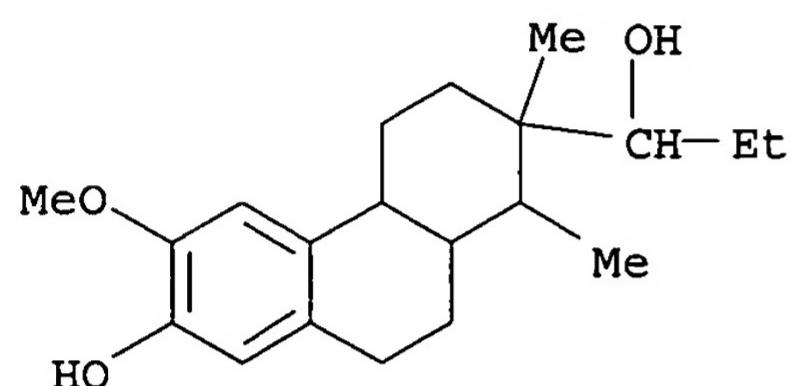
RN 573979-43-8 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7-methyl-7-propyl- (9CI) (CA INDEX NAME)

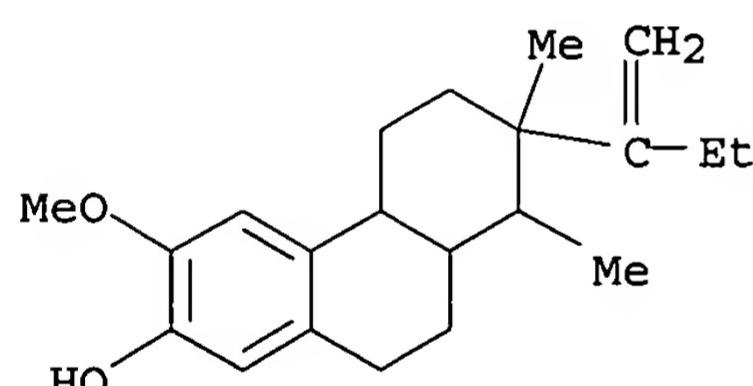
10458286



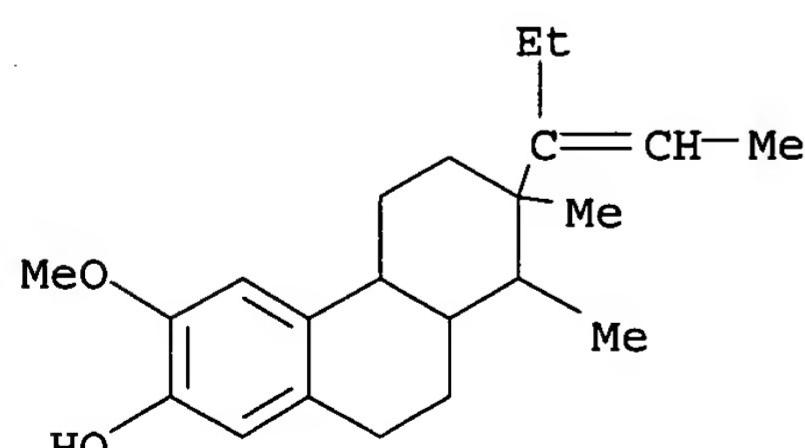
RN 573979-44-9 CAPLUS  
CN 2-Phenanthrenemethanol,  $\alpha$ -ethyl-1,2,3,4,4a,9,10,10a-octahydro-7-hydroxy-6-methoxy-1,2-dimethyl- (9CI) (CA INDEX NAME)



RN 573979-45-0 CAPLUS  
CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7,8-dimethyl-7-(1-methylenepropyl)- (9CI) (CA INDEX NAME)



RN 573979-46-1 CAPLUS  
CN 2-Phenanthrenol, 7-(1-ethyl-1-propenyl)-4b,5,6,7,8,8a,9,10-octahydro-3-methoxy-7,8-dimethyl- (9CI) (CA INDEX NAME)



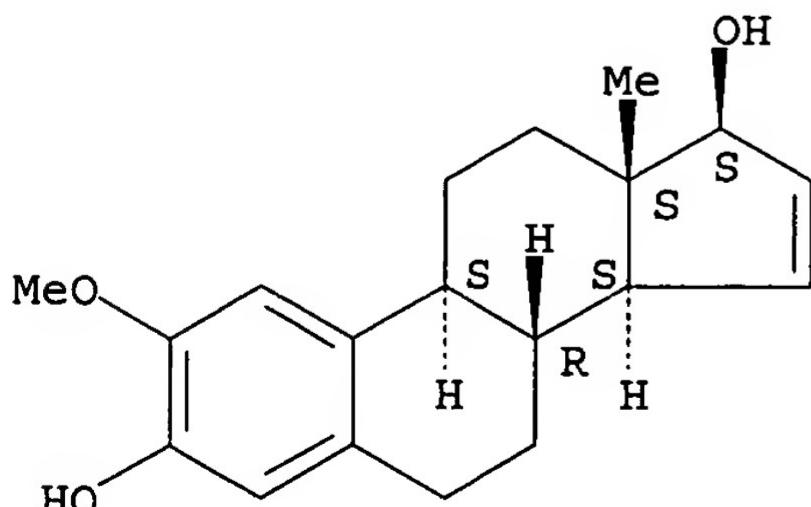
L14 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:252155 CAPLUS  
DN 139:78657  
TI Novel 2-methoxyestradiol analogues with antitumor activity  
AU Tinley, Tina L.; Leal, Rachel M.; Randall-Hlubek, Deborah A.; Cessac,

NRA

10458286

CS James W.; Wilkens, Lynne R.; Rao, Pemmaraju N.; Mooberry, Susan L.  
Departments of Physiology and Medicine, Southwest Foundation for  
Biomedical Research, San Antonio, TX, 78227, USA  
SO Cancer Research (2003), 63(7), 1538-1549  
CODEN: CNREA8; ISSN: 0008-5472  
PB American Association for Cancer Research  
DT Journal  
LA English  
AB 2-Methoxyestradiol (2-ME2) is a natural estrogen metabolite that, while devoid of estrogenic effects, has both antiangiogenic and antitumor effects. 2-ME2 is currently being evaluated in Phase I and Phase II clin. trials for the treatment of multiple types of cancer. Novel analogs of 2-ME2 were tested for activities that predict antiangiogenic and antitumor effects. Selected analogs were tested for inhibitory activity against endothelial cell proliferation and invasion. The results show that these analogs are effective inhibitors of endothelial cell activities that may predict antiangiogenic activity, and one analog, 2-methoxy-14-dehydroestradiol (14-dehydro-2-ME2), was 6-15-fold more potent than the parental compound in these assays. The analogs were also evaluated for inhibition of proliferation and cytotoxicity against multiple tumor cell lines and found to be potent and effective. 14-Dehydro-2-ME2 was approx. 15-fold more potent than 2-ME2 against various tumor cell lines, and 2-methoxy-15-dehydroestradiol was particularly effective against DU 145 and PC3 prostate cancer cell lines. In vivo antitumor activity was observed for the three analogs tested in the murine xenograft MDA-MB-435 model; however, 2-ME2 provided no antitumor activity in this trial. The two most effective analogs, 14-dehydro-2-ME2 and 2-methoxyestradiol-15 $\alpha$ ,16 $\alpha$ -acetonide, provided 29.4% and 26.7% inhibition of tumor burden, resp. Mechanism of action studies indicate that the analogs cause mitotic spindle disruption, mitotic arrest, microtubule depolymer., and inhibition of the assembly of purified tubulin similar to the effects of 2-ME2. Consistent with antimitotics that inhibit the dynamic instability of tubulin and initiate apoptosis, these novel 2-ME2 analogs cause Bcl-2 phosphorylation and activation of mitogen-activated protein kinase signaling pathways.  
IT 477951-76-1 477951-83-0  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(novel 2-methoxyestradiol analogs with antitumor activity in relation to signal transduction and mechanism of action)  
RN 477951-76-1 CAPLUS  
CN Estra-1,3,5(10),15-tetraene-3,17-diol, 2-methoxy-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

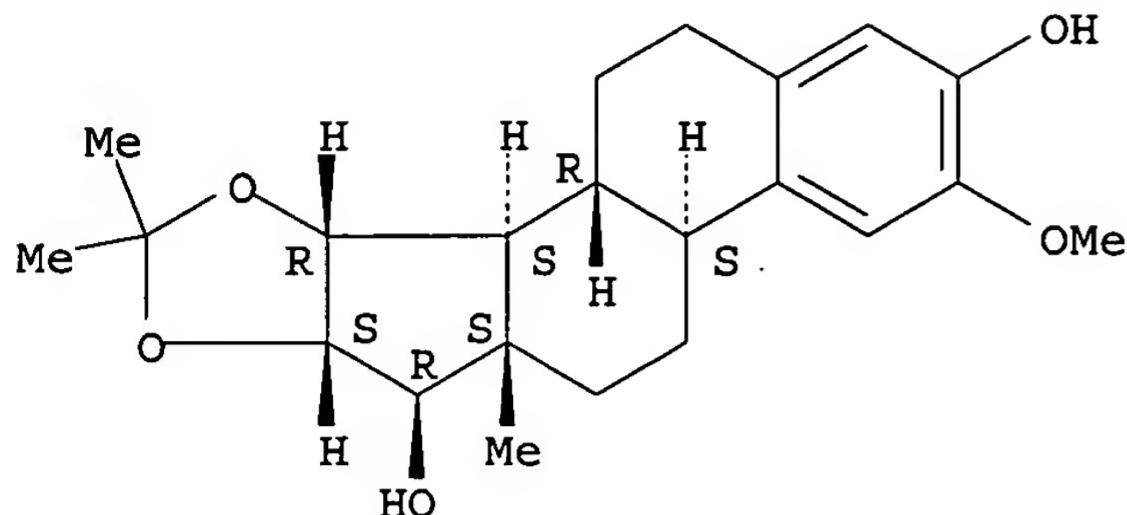
Absolute stereochemistry.



RN 477951-83-0 CAPLUS  
CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-, cyclic  
15,16-(1-methylethylidene acetal), (15 $\alpha$ ,16 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

10458286

Absolute stereochemistry.



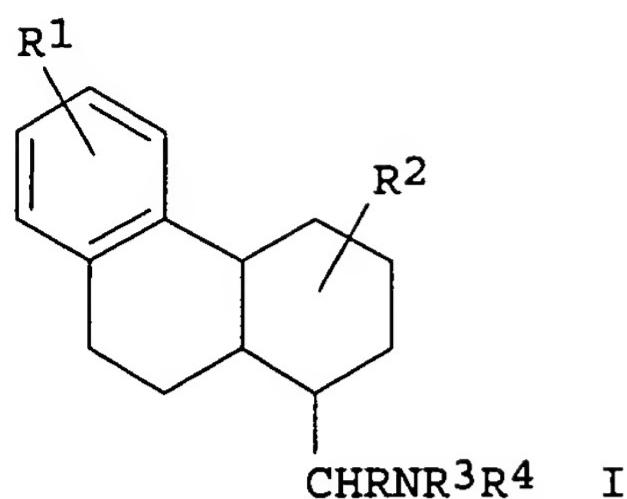
RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:153417 CAPLUS  
DN 138:187528  
TI Preparation of octahydrophenanthrenes as NMDA antagonists  
IN Buschmann, Helmut Heinrich; Englberger, Werner Guenter; Przewosny, Michael; Sattlegger, Michael; Schick, Hans; Henkel, Birgitta  
PA Gruenthal GmbH, Germany  
SO Ger. Offen., 14 pp.  
CODEN: GWXXBX  
DT Patent  
LA German

NPA

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10140213	A1	20030227	DE 2001-10140213	20010816
	WO 2003016261	A1	20030227	WO 2002-EP8866	20020808
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	EP 1423353	A1	20040602	EP 2002-794708	20020808
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
	US 2004162432	A1	20040819	US 2004-778380	20040213
PRAI	DE 2001-10140213	A	20010816		
	WO 2002-EP8866	W	20020808		
OS	CASREACT 138:187528; MARPAT 138:187528				
GI					



AB Title compds. [I; R, R1, R2 = H, (branched) (saturated) acyclic group, (saturated)

cyclic group, alkylenearyl, alkyleneheteroaryl, halo, cyano, OR5, SR5, CHF2, CF3, NHR5, N(R5)2, NO2, SO2R5; R2 = oxo group; R3, R4 = H, (branched) (saturated) acyclic group, (saturated) cyclic group, alkylenearyl, alkyleneheteroaryl; or R3R4 = (CH2)2-7; R5 = (branched) (saturated) C1-12 acyclic group, C3-7 cyclic group, (hetero)aryl] and racemates, diastereoisomers or enantiomers thereof were prepared. Thus, N,N-dimethyl-N-[(1R, 4aS,10aS)-1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-ylmethyl]amine (preparation given) in MeCOEt was stirred with ClSiMe3 for 4.5 h at room temperature to give 66% N,N-dimethyl-N-[(1R, 4aS,10aS)-1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-ylmethyl]amine hydrochloride. The latter at 10 µM gave 46% inhibition of (3H)-(+)-MK801 binding, and at 10 mg/kg i.v. in mice 74% inhibition of phenylquinone-induced writhing.

IT 498546-57-9P 498546-64-8P 498546-68-2P

498546-75-1P

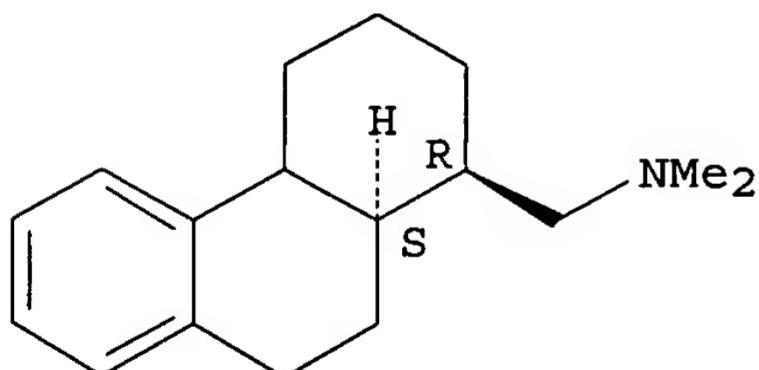
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of octahydrophenanthrenes as NMDA antagonists)

RN 498546-57-9 CAPLUS

CN 1-Phenanthrenemethanamine, 1,2,3,4,4a,9,10,10a-octahydro-N,N-dimethyl-, hydrochloride, (1R,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

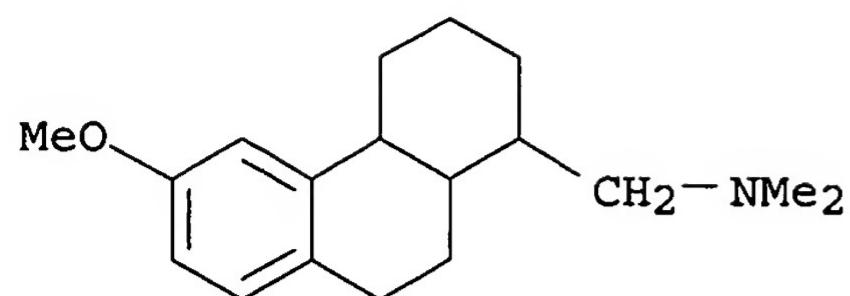


● HCl

RN 498546-64-8 CAPLUS

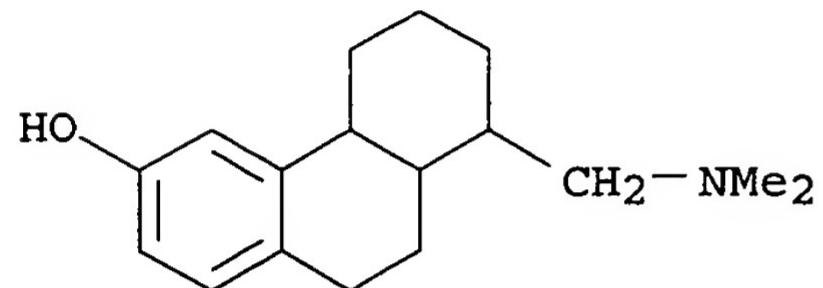
CN 1-Phenanthrenemethanamine, 1,2,3,4,4a,9,10,10a-octahydro-6-methoxy-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

10458286



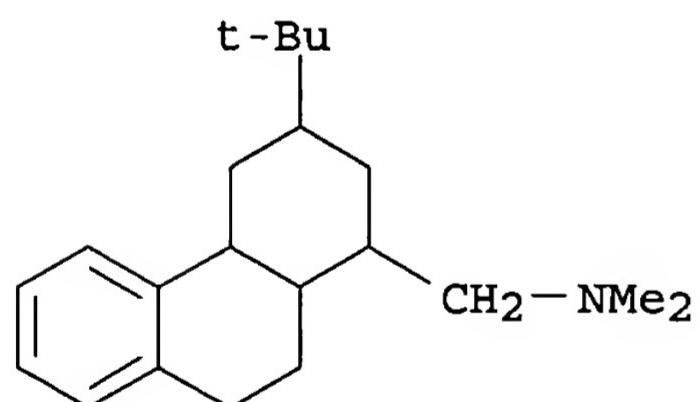
● HCl

RN 498546-68-2 CAPLUS  
CN 3-Phenanthrenol, 8-[(dimethylamino)methyl]-4b,5,6,7,8,8a,9,10-octahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 498546-75-1 CAPLUS  
CN 1-Phenanthrenemethanamine, 3-(1,1-dimethylethyl)-1,2,3,4,4a,9,10,10a-octahydro-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

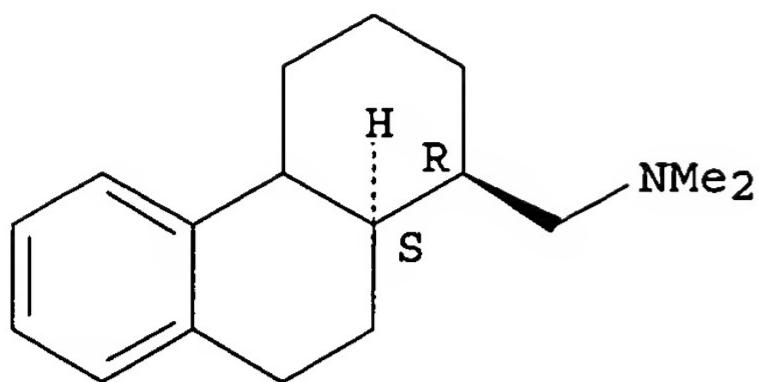


● HCl

IT 498546-53-5P 498546-62-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of octahydrophenanthrenes as NMDA antagonists)  
RN 498546-53-5 CAPLUS  
CN 1-Phenanthrenemethanamine, 1,2,3,4,4a,9,10,10a-octahydro-N,N-dimethyl-, (1R,10aS)-rel- (9CI) (CA INDEX NAME)

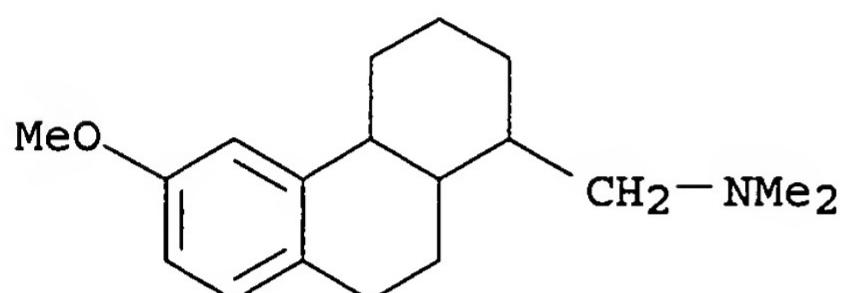
Relative stereochemistry.

10458286



RN 498546-62-6 CAPLUS

CN 1-Phenanthrenemethanamine, 1,2,3,4,4a,9,10,10a-octahydro-6-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:22633 CAPLUS

DN 138:67085

TI Preparation of activators of non-genotropic estrogen-like signaling (ANGELS) for use in stimulating bone formation and treating other diseases

IN Manolagas, Stavros C.; Katzenellenbogen, John A.

PA Anabonix, Inc., USA; The Board of Trustees of the University of Arkansas

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003002058	A2	20030109	WO 2002-US18544	20020610
	WO 2003002058	C1	20030327		
	WO 2003002058	A3	20030828		
	WO 2003002058	C2	20040708		
		W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW		
		RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	US 2003119800	A1	20030626	US 2002-165380	20020607
	EP 1404344	A2	20040407	EP 2002-749579	20020610
		R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
PRAI	US 2001-299009P	P	20010618		
	US 2002-165380	A	20020607		
	WO 2002-US18544	W	20020610		
OS	MARPAT 138:67085				
AB	The inventors have discovered compds. that are Activators of				

NPA

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Non-Genotropic Estrogen-like Signaling (ANGELS). ANGELS compds. are small mols. that mimic the non-genotropic effects of estrogen and androgen but substantially lack their genotropic effects. For example, the inventors have discovered that ANGELS compds. stimulate the formation of bone but have little or no feminizing or masculinizing effects. In preferred embodiments, the ANGELS are an estrenediol, androstanediol, estranediol, androstanediol, nor-estrenediol, homo-estrenediol, seco-estrenediol, nor-androstanediol, homo-androstanediol, seco-androstanediol, nor-estradiol, homo-estradiol, seco-estradiol, nor-androstanediol, homo-androstanediol, seco-androstanediol, or a estratrienol.

Pharmaceutical compns. containing the ANGELS are addnl. claimed.

IT 481695-81-2DP, Estra-1,3,5(10)-trien-4-ol, analogs

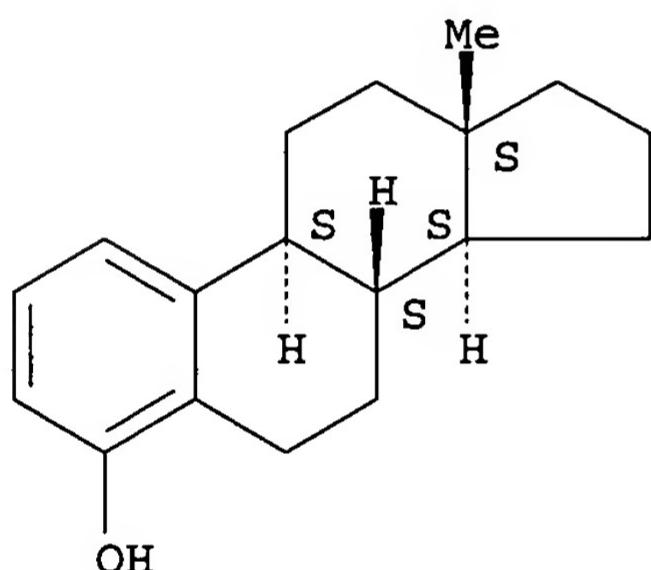
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of activators of non-genotropic estrogen-like signaling (ANGELS) for use in stimulating bone formation and treating other diseases)

RN 481695-81-2 CAPLUS

CN Estra-1,3,5(10)-trien-4-ol (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:964373 CAPLUS

DN 138:24877

TI Preparation of novel 2-alkoxyestradiol analogs with antiproliferative and antimitotic activity

IN Rao, Pemmaraju Narasimha; Mooberry, Susan L.; Cessac, James W.; Tinley, Tina L.

PA Southwest Foundation for Biomedical Research, USA

SO PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DT Patent

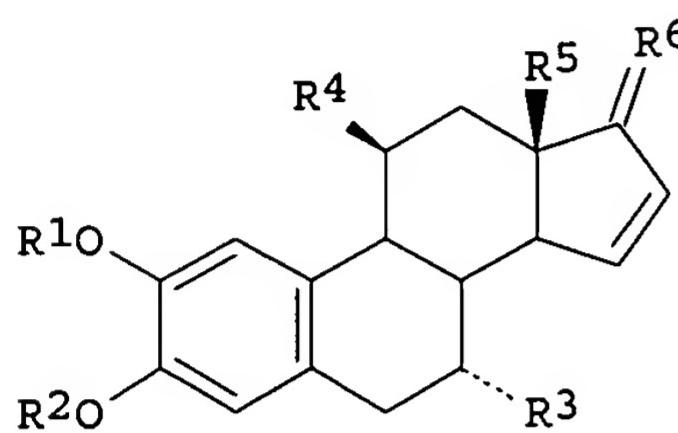
LA English

FAN.CNT 1

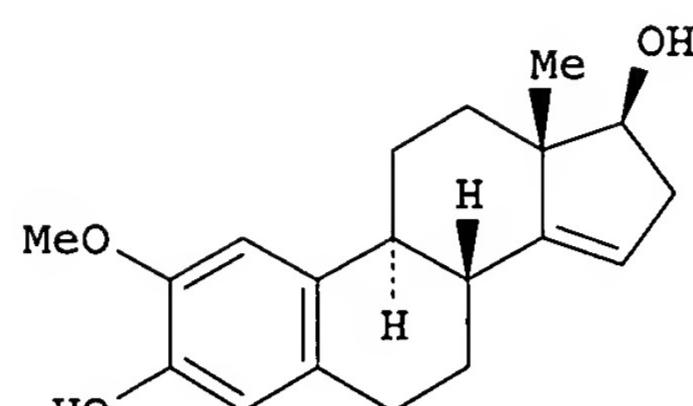
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100877	A1	20021219	WO 2002-US18867	20020611
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

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US 2003096799	A1	20030522	US 2002-167208	20020611
US 6593321	B2	20030715		
US 2003229061	A1	20031211	US 2003-412007	20030411
PRAI US 2001-297428P	P	20010611		
US 2002-167208	A3	20020611		
OS MARPAT 138:24877				
GI				



I



II

AB Novel 2-alkoxyestradiol analogs of formula I [R1 = alkyl, haloalkyl; R2 = H, SO2NHR; R = H, alkyl, acyl; R3 = H, alkyl, haloalkyl; R4 = H, alkyl, alkenyl, alkynyl, aryl, heteroaryl; R5 = alkyl; R6 = O, NOR, OSO2NHR] are prepared which inhibit undesired cell proliferation and tumor growth. Addnl., methods are disclosed of treating diseases associated with undesired angiogenesis and undesired proliferation, and methods of treating infectious disease wherein the infectious agent is particularly susceptible to inhibition by agents that disrupt microtubule organization and function. Thus, II was prepared from estradiol in several steps. II was 6-24 times more potent than 2-methoxyestradiol in 5 human tumor cell lines.

IT 477951-76-1P

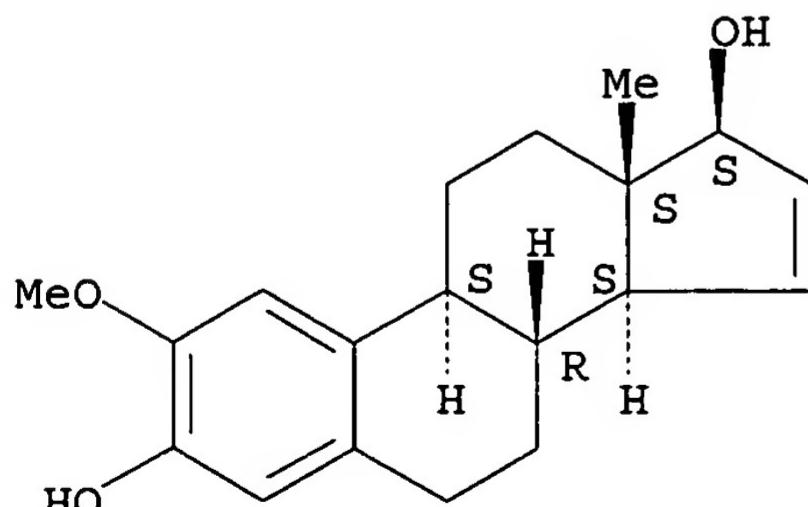
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of alkoxyestradiol analogs with antiproliferative and antimitotic activity)

RN 477951-76-1 CAPLUS

CN Estra-1,3,5(10),15-tetraene-3,17-diol, 2-methoxy-, (17 $\beta$ ) - (9CI) (CA INDEX NAME)

M  
S  
M

Absolute stereochemistry.



IT 477951-83-0P 477951-84-1P 477951-90-9P

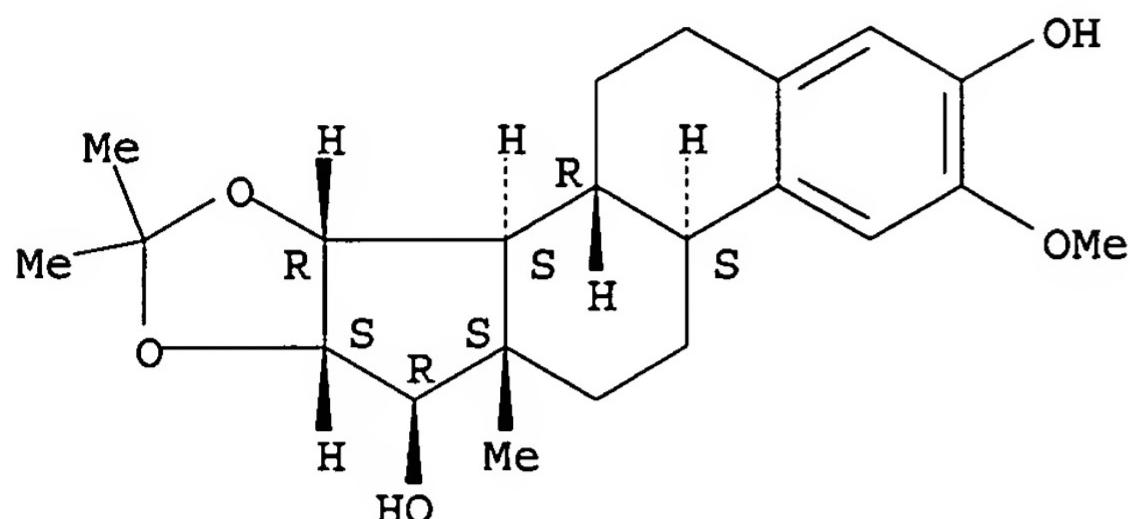
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of alkoxyestradiol analogs with antiproliferative and antimitotic activity)

RN 477951-83-0 CAPLUS

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CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-, cyclic  
15,16-(1-methylethyldene acetal), (15 $\alpha$ ,16 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

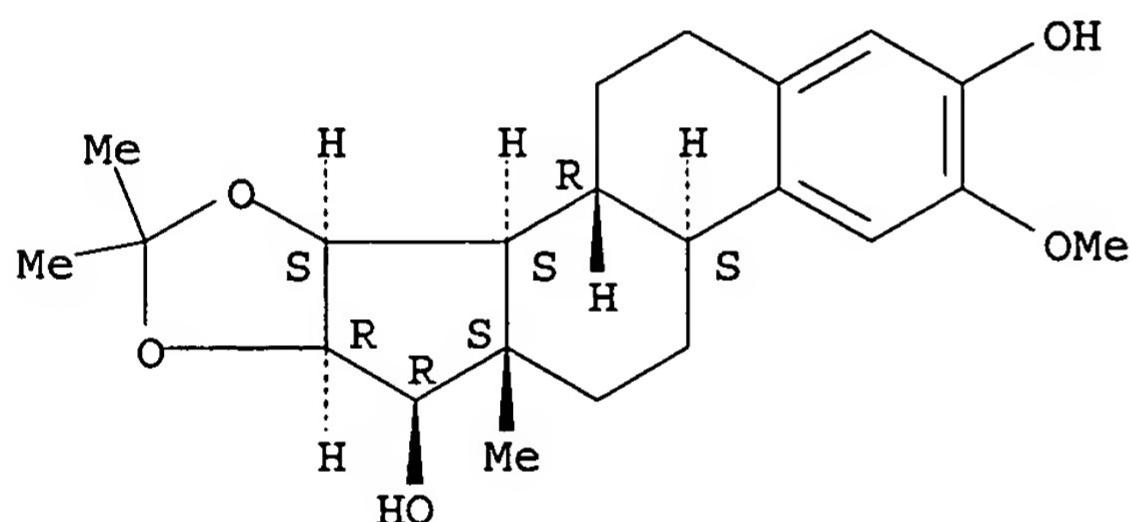
Absolute stereochemistry.



RN 477951-84-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-, cyclic  
15,16-(1-methylethyldene acetal), (15 $\beta$ ,16 $\beta$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

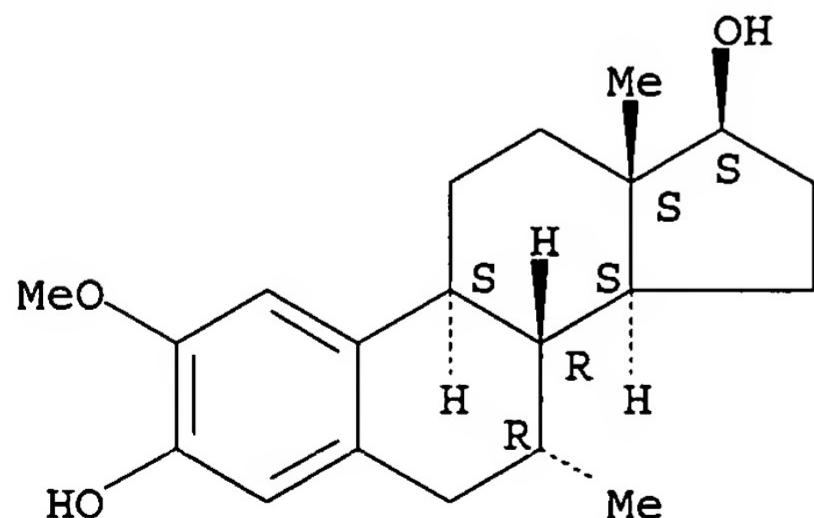
Absolute stereochemistry.



RN 477951-90-9 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-7-methyl-,  
(7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 477951-70-5P 477951-71-6P 477951-72-7P  
477951-73-8P 477951-74-9P 477951-75-0P  
477951-79-4P 477951-80-7P 477951-81-8P  
477951-82-9P 477951-85-2P 477951-86-3P  
477951-87-4P 477951-88-5P 477951-89-6P  
477951-91-0P 477951-92-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

10458286

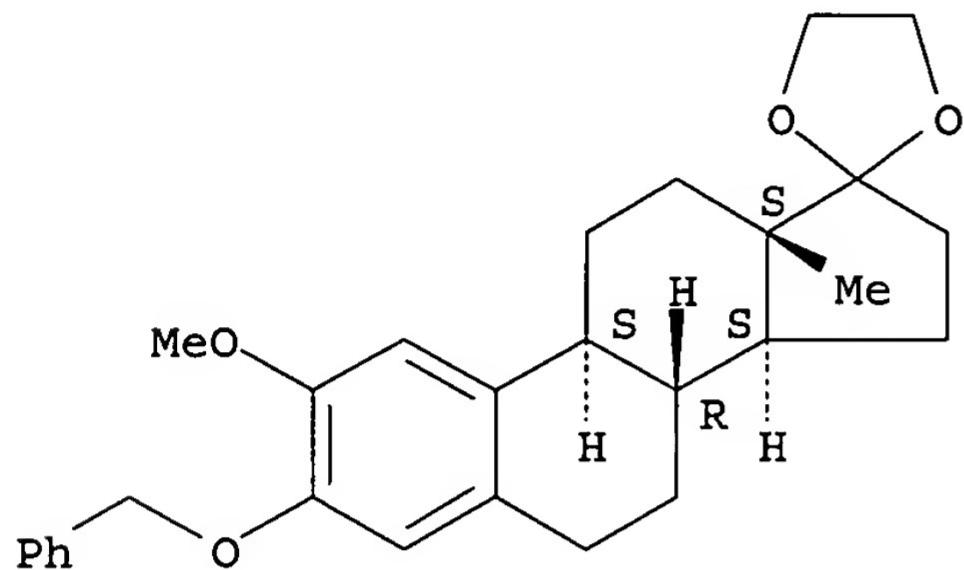
(Reactant or reagent)

(preparation of alkoxyestradiol analogs with antiproliferative and  
antimitotic activity)

RN 477951-70-5 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 2-methoxy-3-(phenylmethoxy)-, cyclic  
1,2-ethanediyl acetal (9CI) (CA INDEX NAME)

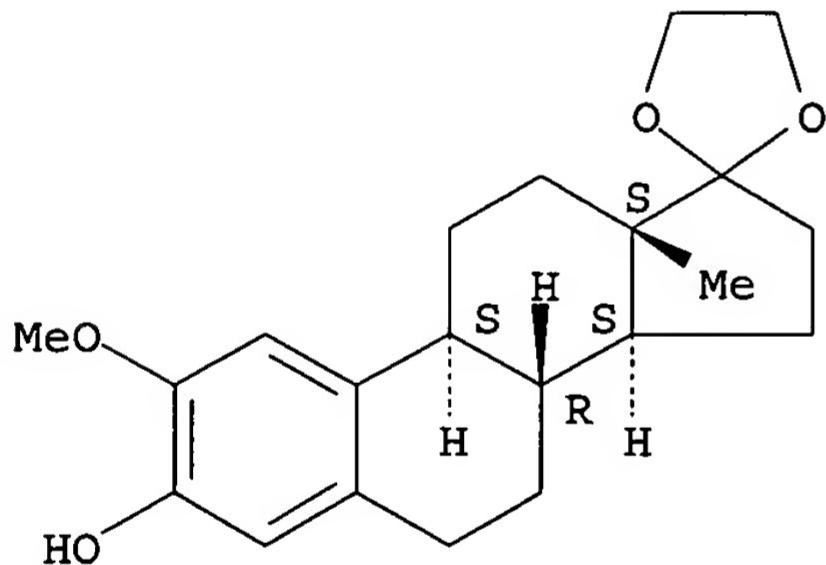
Absolute stereochemistry.



RN 477951-71-6 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 3-hydroxy-2-methoxy-, cyclic 1,2-ethanediyl  
acetal (9CI) (CA INDEX NAME)

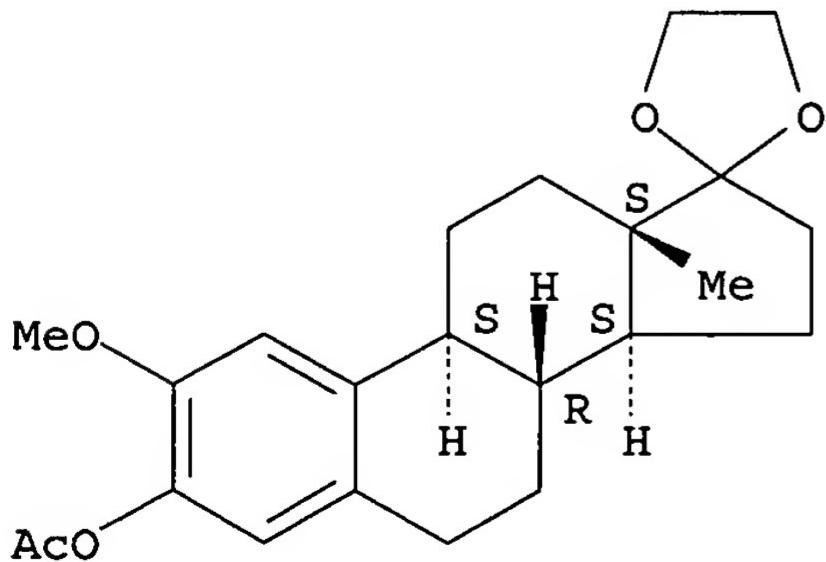
Absolute stereochemistry.



RN 477951-72-7 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 3-(acetyloxy)-2-methoxy-, cyclic  
17-(1,2-ethanediyl acetal) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



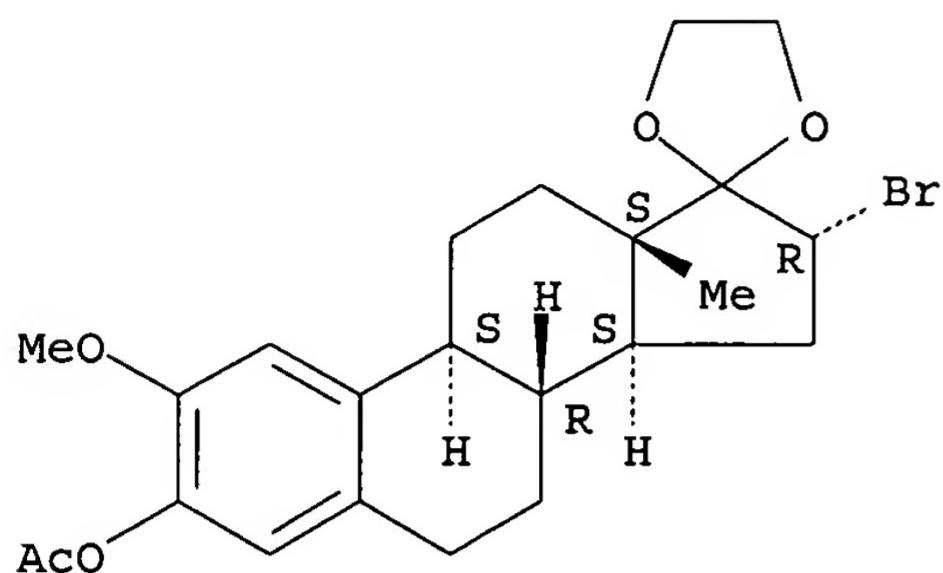
RN 477951-73-8 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 3-(acetyloxy)-16-bromo-2-methoxy-, cyclic

10458286

17-(1,2-ethanediyl acetal), (16 $\alpha$ )- (9CI) (CA INDEX NAME)

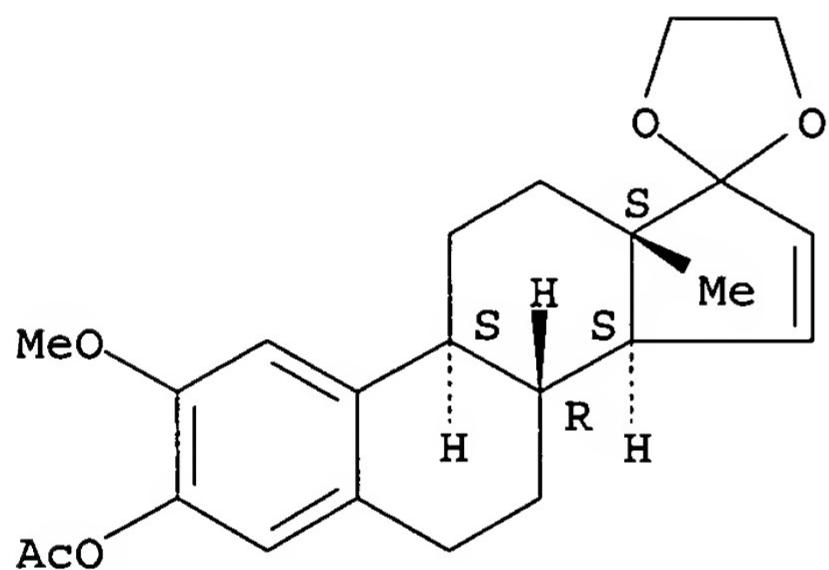
Absolute stereochemistry.



RN 477951-74-9 CAPLUS

CN Estra-1,3,5(10),15-tetraen-17-one, 3-(acetyloxy)-2-methoxy-, cyclic  
17-(1,2-ethanediyl acetal) (9CI) (CA INDEX NAME)

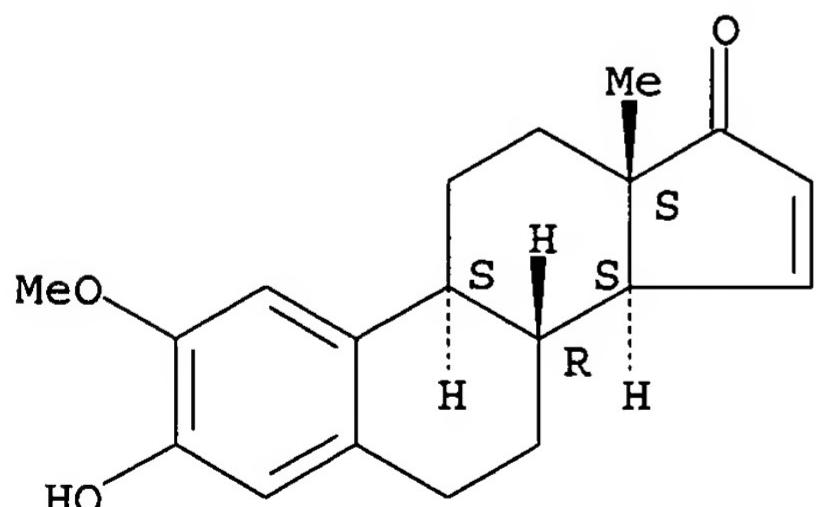
Absolute stereochemistry.



RN 477951-75-0 CAPLUS

CN Estra-1,3,5(10),15-tetraen-17-one, 3-hydroxy-2-methoxy- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

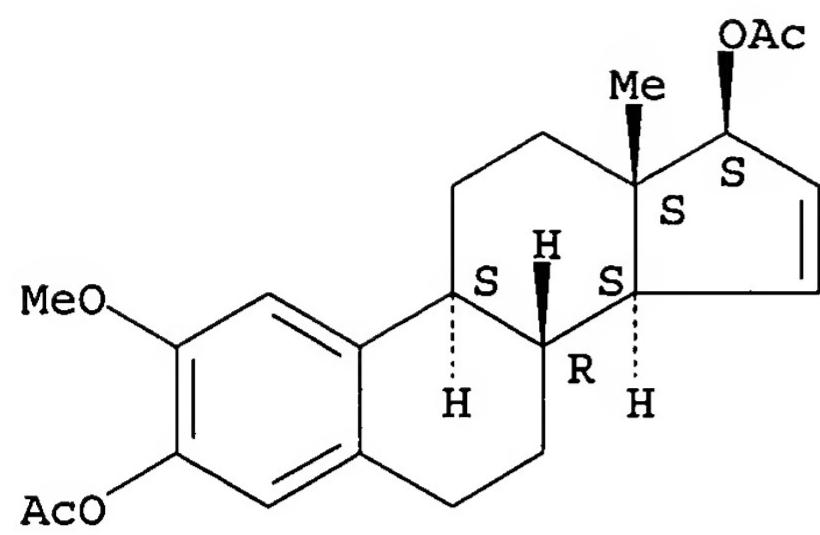


RN 477951-79-4 CAPLUS

CN Estra-1,3,5(10),15-tetraene-3,17-diol, 2-methoxy-, diacetate, (17 $\beta$ )-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

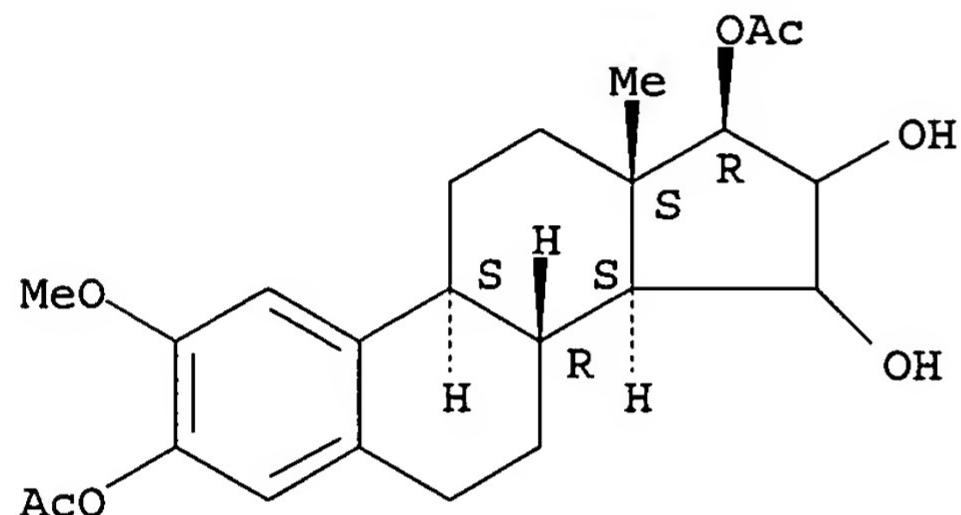
10458286



RN 477951-80-7 CAPLUS

CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-, 3,17-diacetate,  
(17 $\beta$ )- (9CI) (CA INDEX NAME)

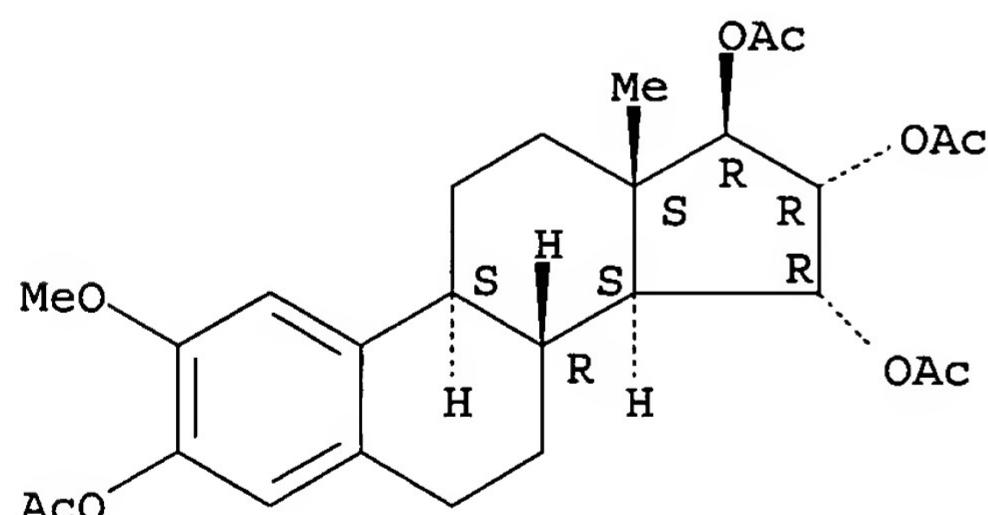
Absolute stereochemistry.



RN 477951-81-8 CAPLUS

CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-, tetraacetate,  
(15 $\alpha$ ,16 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

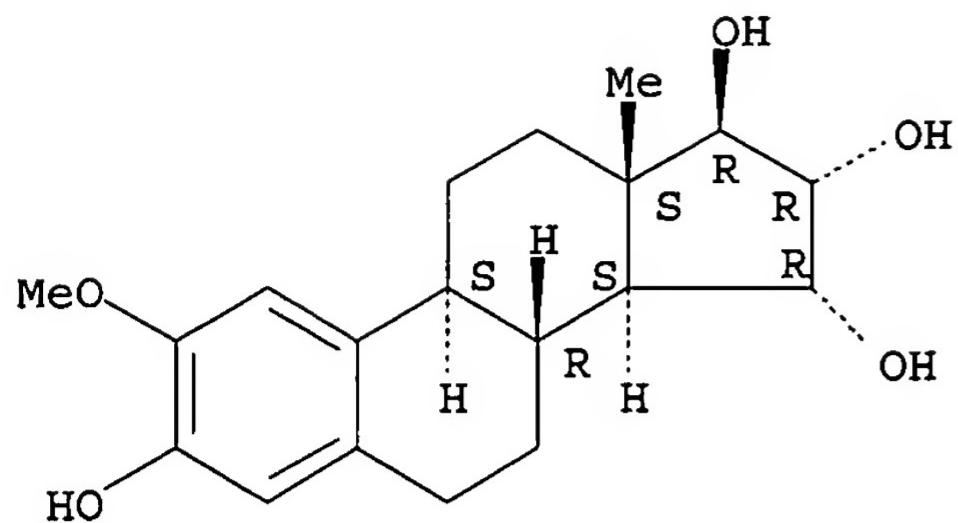


RN 477951-82-9 CAPLUS

CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-,  
(15 $\alpha$ ,16 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

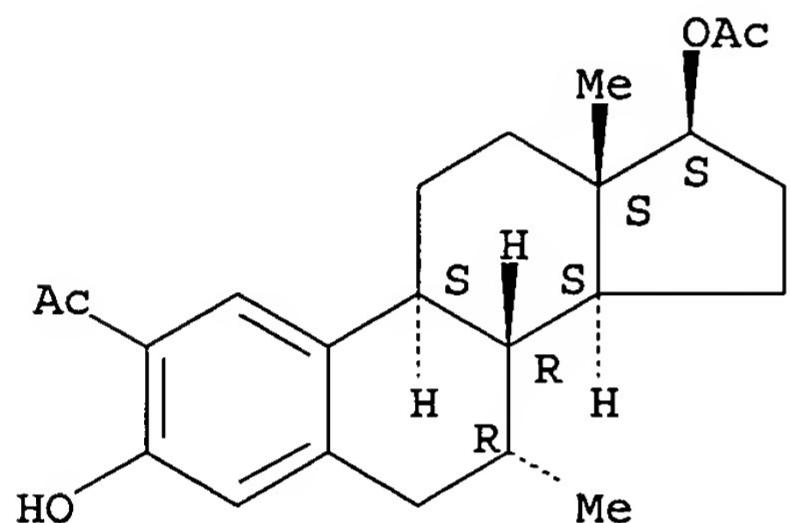
10458286



RN 477951-85-2 CAPLUS

CN Ethanone, 1-[(7 $\alpha$ ,17 $\beta$ )-17-(acetyloxy)-3-hydroxy-7-methylestra-1,3,5(10)-trien-2-yl]- (9CI) (CA INDEX NAME)

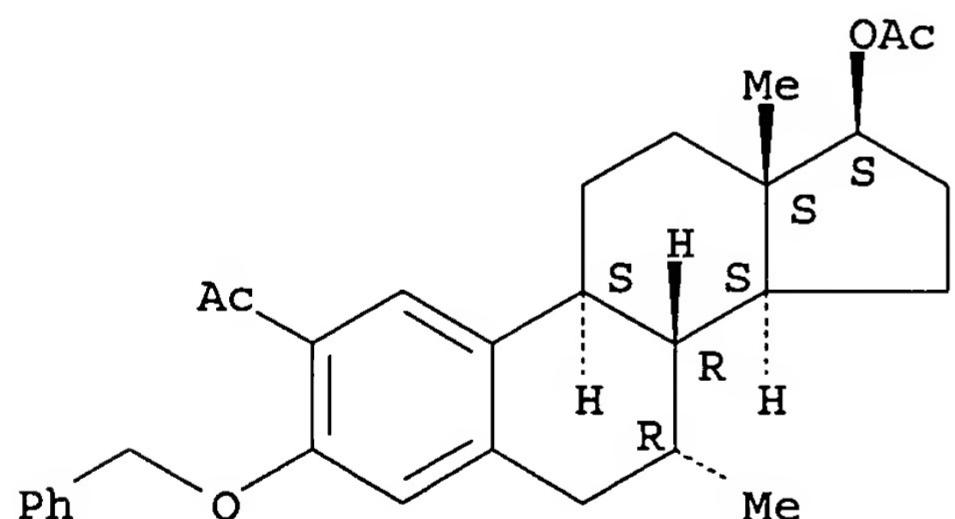
Absolute stereochemistry.



RN 477951-86-3 CAPLUS

CN Ethanone, 1-[(7 $\alpha$ ,17 $\beta$ )-17-(acetyloxy)-7-methyl-3-(phenylmethoxy)estra-1,3,5(10)-trien-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

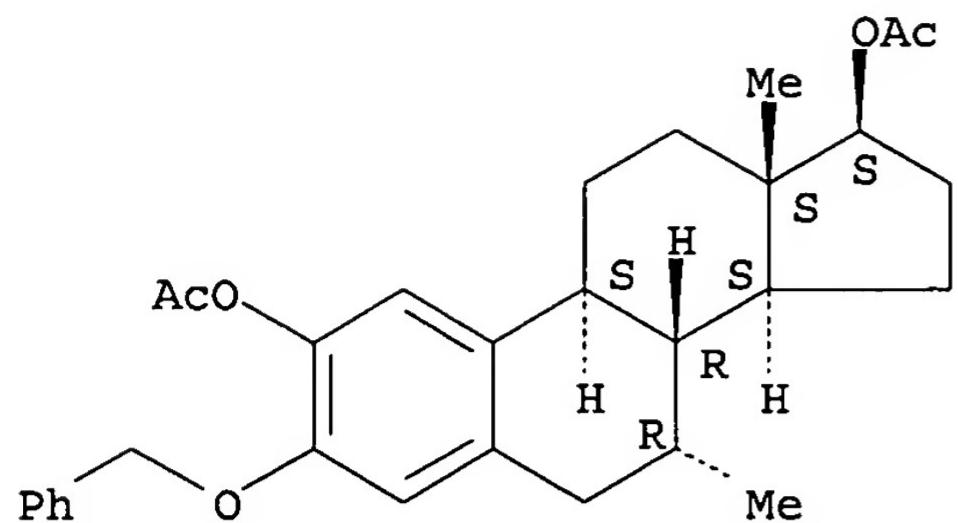


RN 477951-87-4 CAPLUS

CN Estra-1,3,5(10)-triene-2,17-diol, 7-methyl-3-(phenylmethoxy)-, diacetate, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

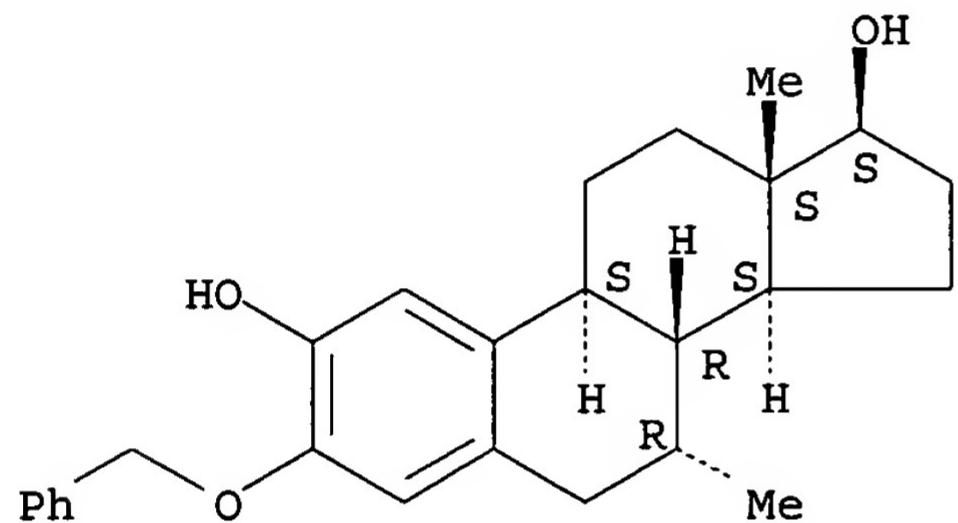
10458286



RN 477951-88-5 CAPLUS

CN Estra-1,3,5(10)-triene-2,17-diol, 7-methyl-3-(phenylmethoxy)-,  
(7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

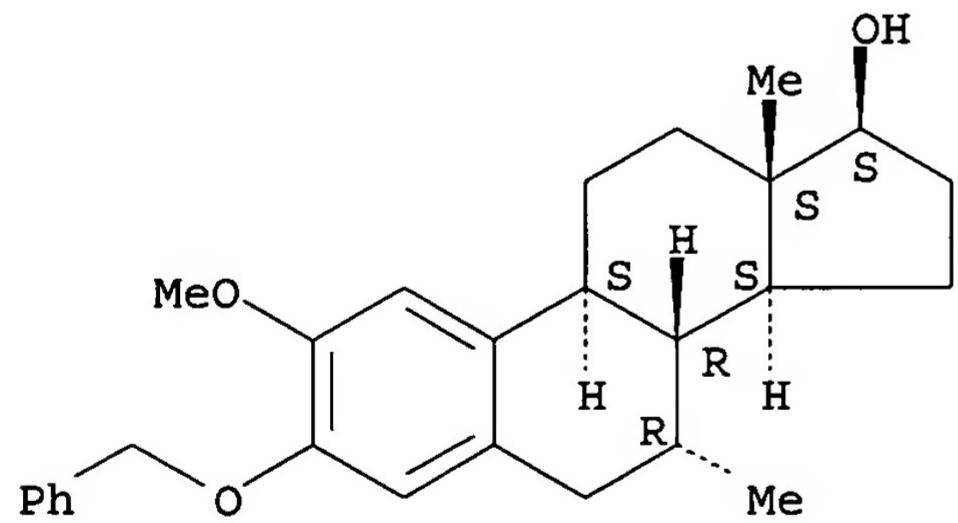
Absolute stereochemistry.



RN 477951-89-6 CAPLUS

CN Estra-1,3,5(10)-trien-17-ol, 2-methoxy-7-methyl-3-(phenylmethoxy)-,  
(7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

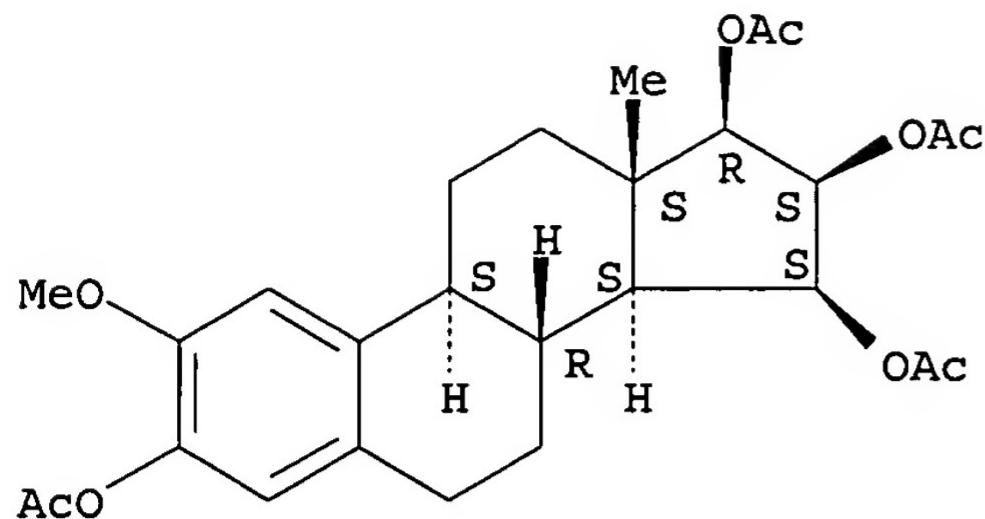


RN 477951-91-0 CAPLUS

CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-, tetraacetate,  
(15 $\beta$ ,16 $\beta$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

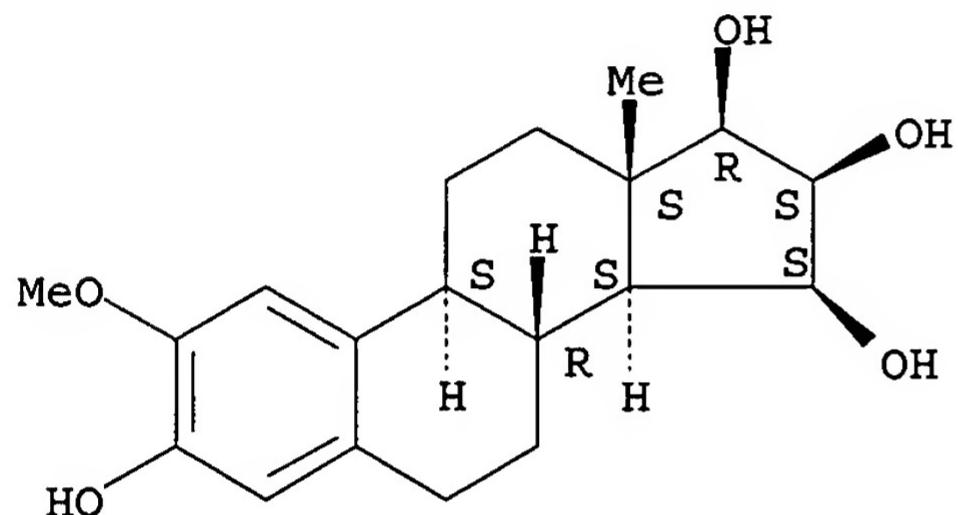
10458286



RN 477951-92-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,15,16,17-tetrol, 2-methoxy-,  
(15β,16β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:778714 CAPLUS

DN 137:279370

TI Preparation of 2-methoxyestradiol derivatives as antiangiogenic agents

IN Agoston, Gregory E.; Pribluda, Victor; Treston, Anthony M.; Green, Shawn J.

PA USA

SO U.S. Pat. Appl. Publ., 15 pp.

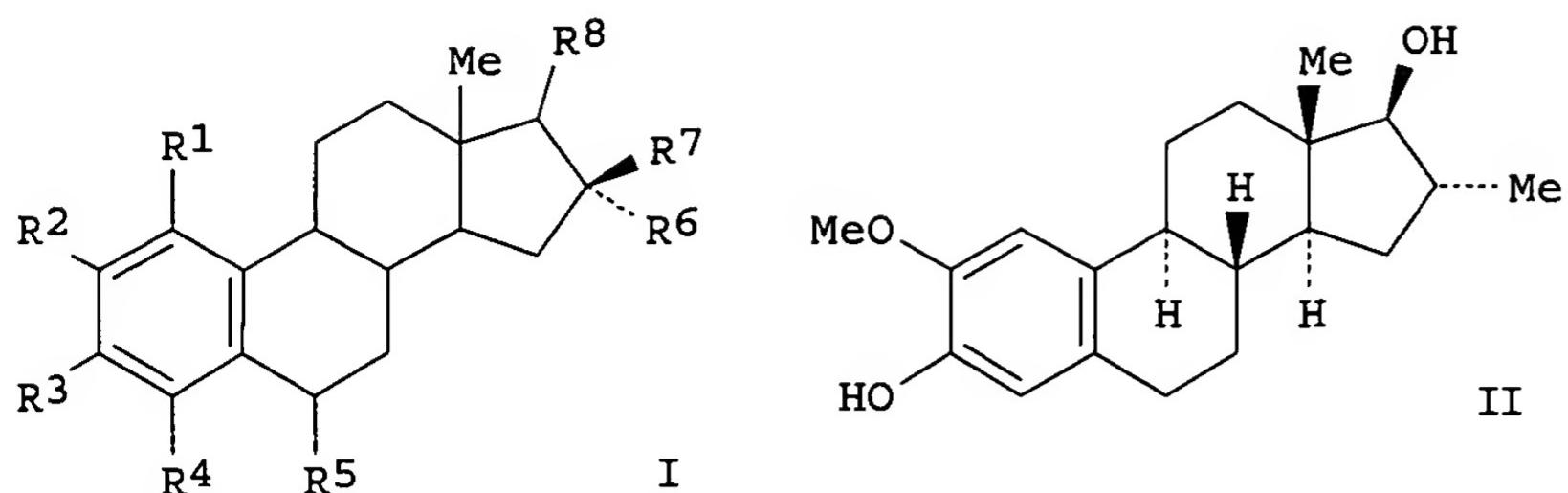
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002147183	A1	20021010	US 2001-779331	20010208
PRAI	US 2001-779331		20010208		
OS	CASREACT 137:279370; MARPAT 137:279370				
GI					



AB Derivs. of 2-methoxyestradiol of formula I [R<sub>1</sub>, R<sub>4</sub> = H, halo, CN, alkyl, OH, CH<sub>2</sub>OH, NH<sub>2</sub>, etc.; R<sub>2</sub> = N<sub>3</sub>, CN, alkynyl, alkenyl, alkoxy, etc.; R<sub>3</sub> = H, OH, hydroxyalkyl, etc.; R<sub>5</sub> = H, oxo, OH, NOH, etc.; R<sub>6</sub>, R<sub>7</sub> = H, alkyl, alkenyl, alkynyl, etc.; R<sub>8</sub> = OH, oxo, NOH, etc.] are prepared for the treatment of mammalian disease characterized by undesirable angiogenesis. Thus, II was prepared from 2-methoxyestradiol, and had IC<sub>50</sub> of <0.5 μM against MDA-MB-231 human breast carcinoma cells.

IT 431901-87-0P 431901-98-3P 431901-99-4P  
431902-00-0P 431902-01-1P 431902-02-2P  
431902-03-3P 431902-04-4P 431902-05-5P  
431902-06-6P 438044-30-5P

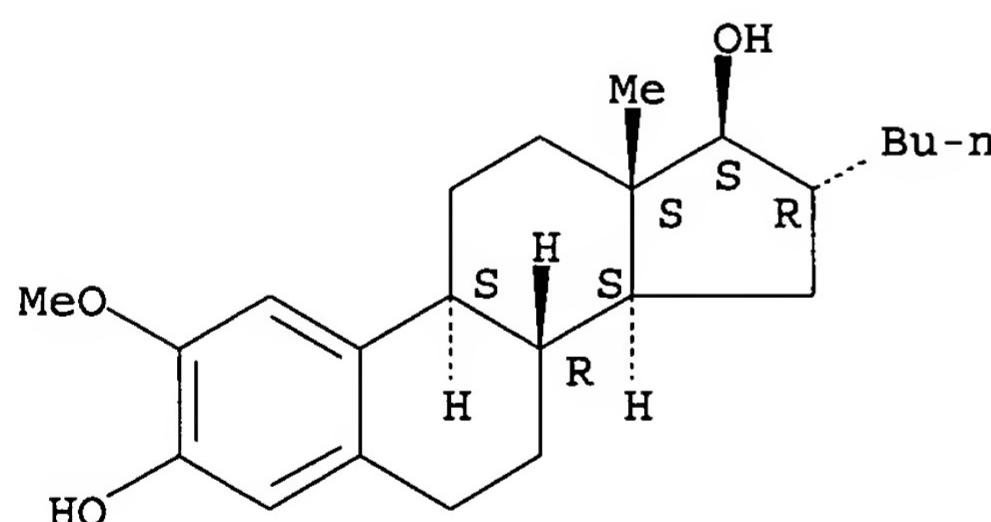
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-methoxyestradiol derivs. as antiangiogenic agents)

RN 431901-87-0 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-butyl-2-methoxy-, (16α,17β) - (9CI) (CA INDEX NAME)

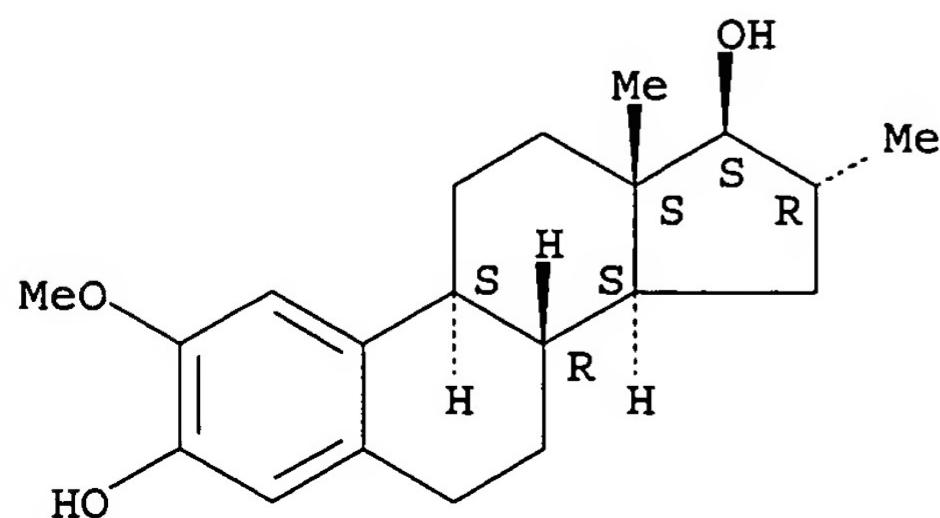
Absolute stereochemistry.



RN 431901-98-3 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-methyl-, (16α,17β) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

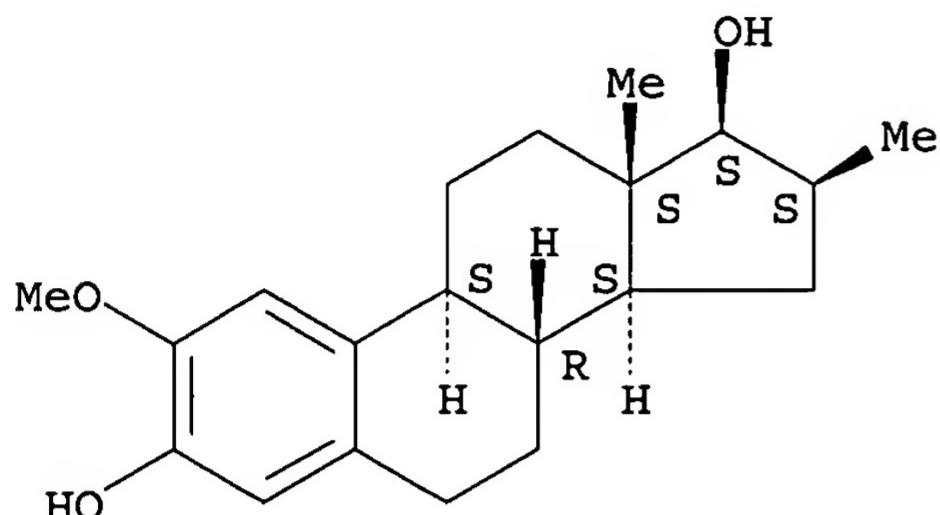


10458286

RN 431901-99-4 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-methyl-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

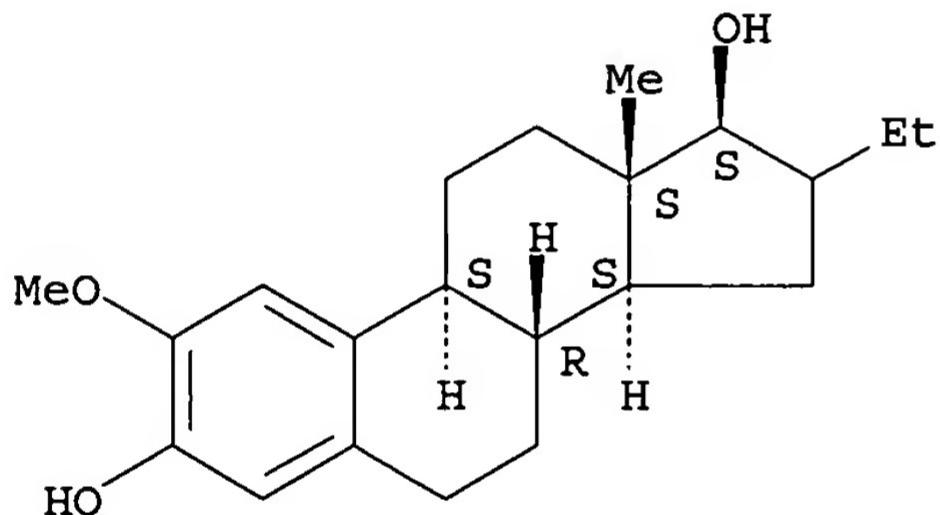
Absolute stereochemistry.



RN 431902-00-0 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-ethyl-2-methoxy-, (17 $\beta$ ) - (9CI)  
(CA INDEX NAME)

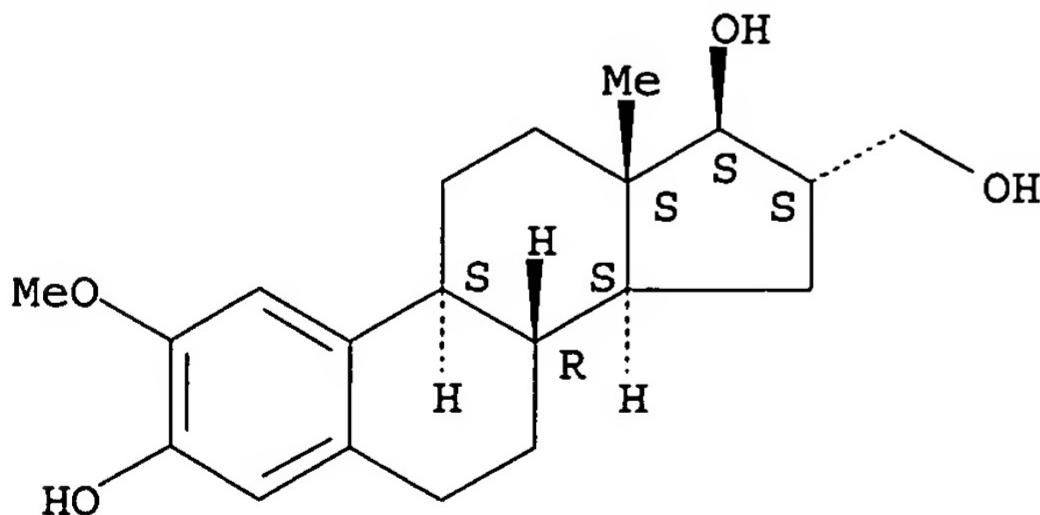
Absolute stereochemistry.



RN 431902-01-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-(hydroxymethyl)-2-methoxy-,  
(16 $\alpha$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

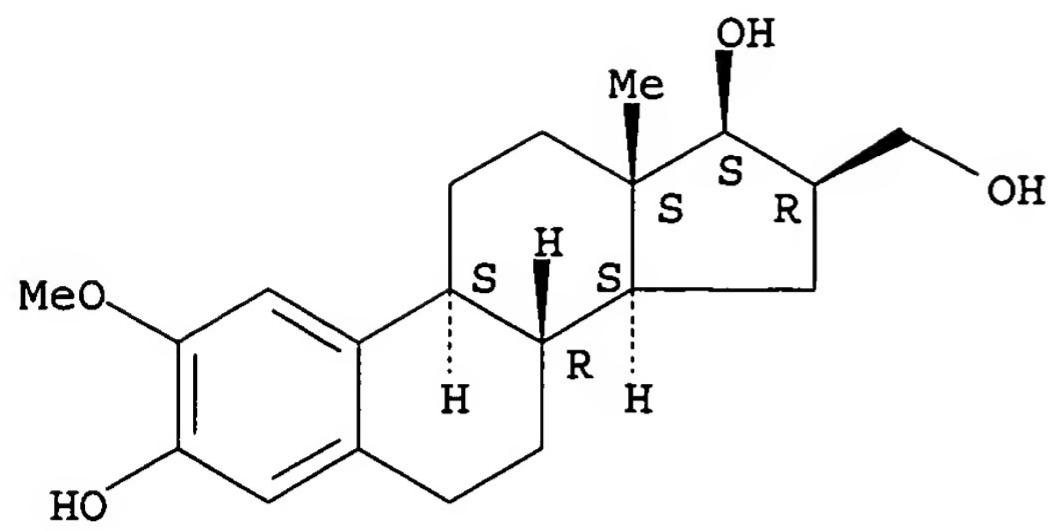


RN 431902-02-2 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-(hydroxymethyl)-2-methoxy-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

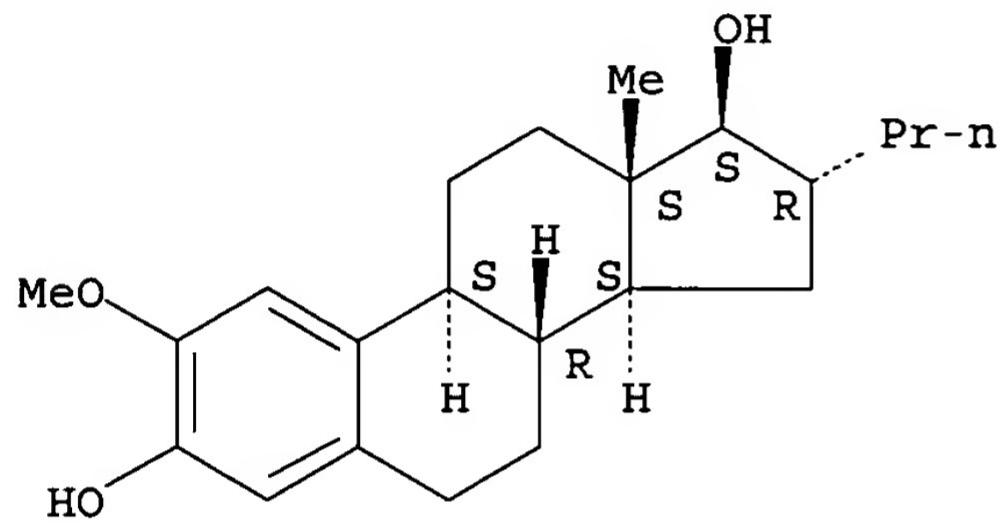
Absolute stereochemistry.

10458286



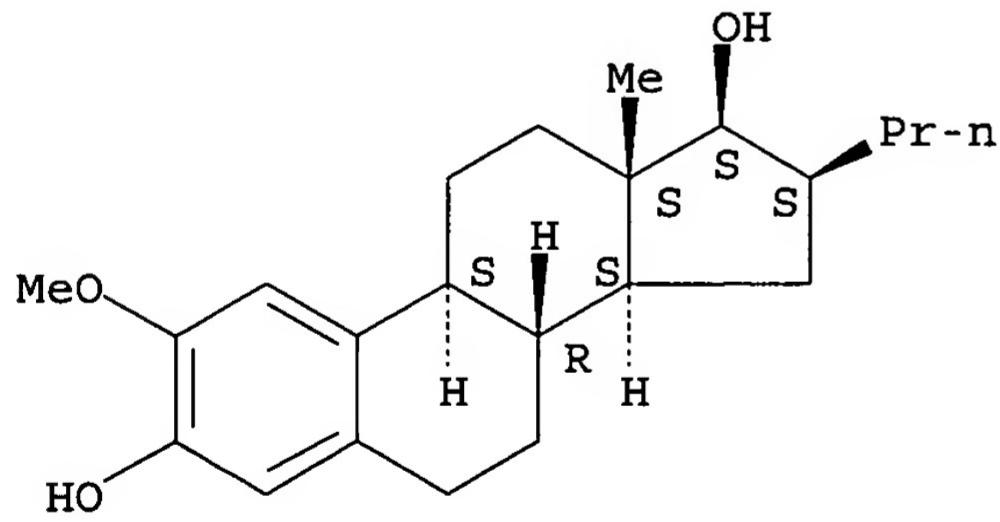
RN 431902-03-3 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-propyl-,  
(16 $\alpha$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 431902-04-4 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-propyl-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

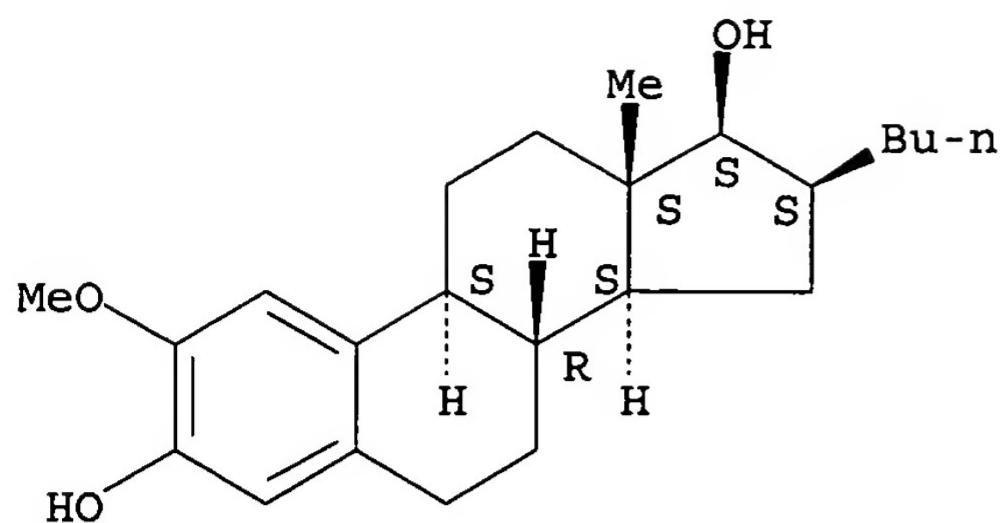
Absolute stereochemistry.



RN 431902-05-5 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 16-butyl-2-methoxy-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

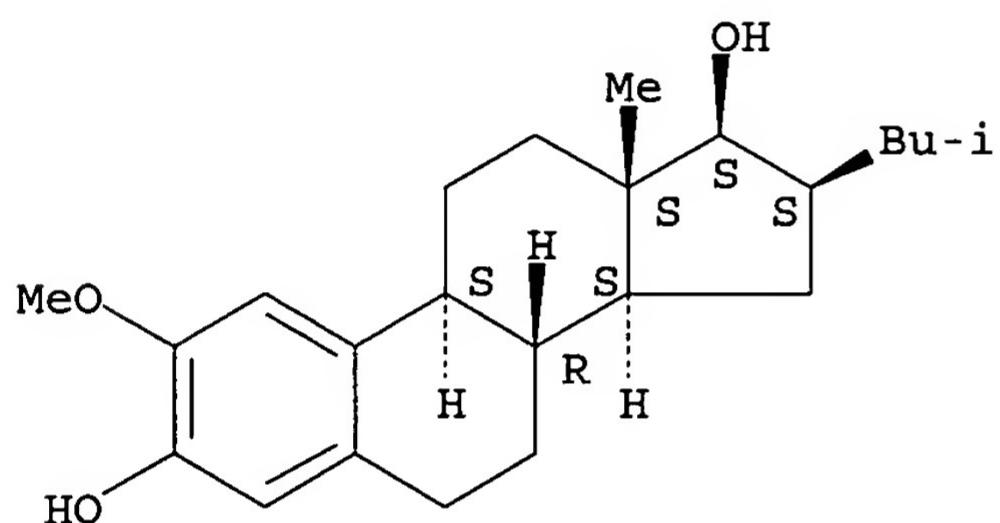
10458286



RN 431902-06-6 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 2-methoxy-16-(2-methylpropyl)-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

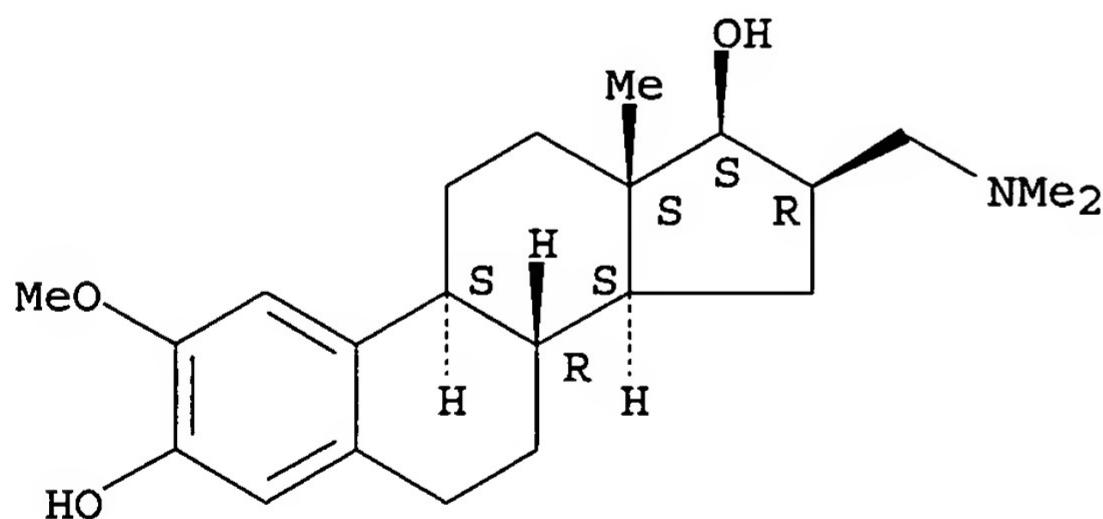
Absolute stereochemistry.



RN 438044-30-5 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-[(dimethylamino)methyl]-2-methoxy-,  
(16 $\beta$ ,17 $\beta$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 431901-79-0P 431901-82-5P 431901-85-8P

464924-28-5P 464924-29-6P 464924-30-9P

464924-31-0P 464924-32-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of 2-methoxyestradiol derivs. as antiangiogenic agents)

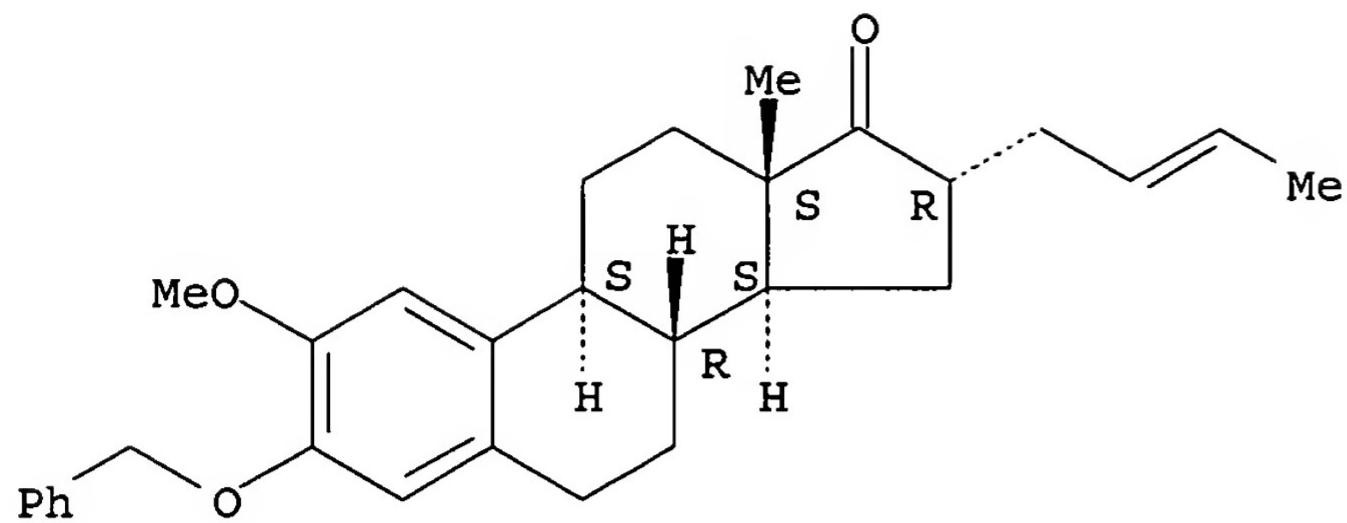
RN 431901-79-0 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 16-(2-butenyl)-2-methoxy-3-(phenylmethoxy)-,  
(16 $\alpha$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

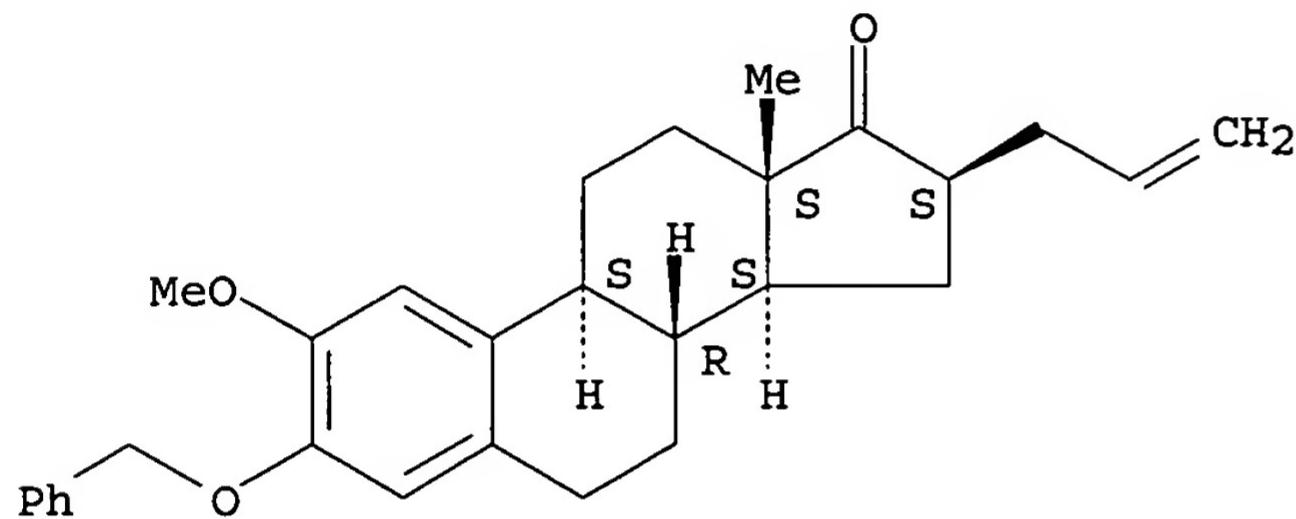
Double bond geometry unknown.

10458286



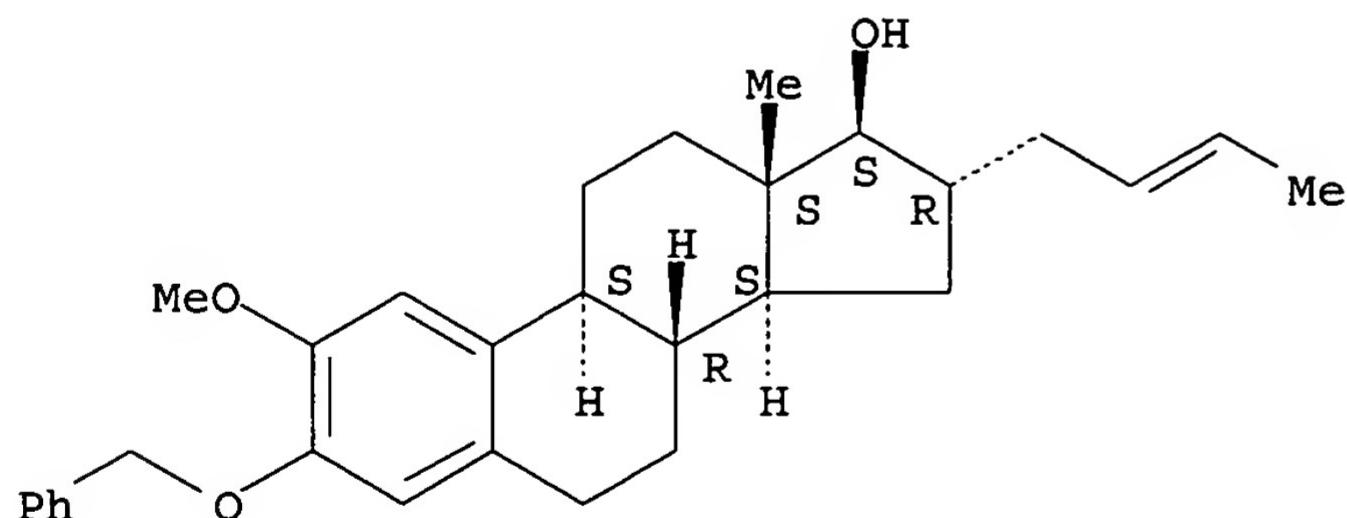
RN 431901-82-5 CAPLUS  
CN Estra-1,3,5(10)-trien-17-one, 2-methoxy-3-(phenylmethoxy)-16-(2-propenyl)-  
, (16 $\beta$ ) - (9CI) (CA INDEX NAME)

## Absolute stereochemistry.



RN 431901-85-8 CAPLUS  
CN Estra-1,3,5(10)-trien-17-ol, 16-(2-butenyl)-2-methoxy-3-(phenylmethoxy)-,  
(16 $\alpha$ ,17 $\beta$ )-(9CI) (CA INDEX NAME)

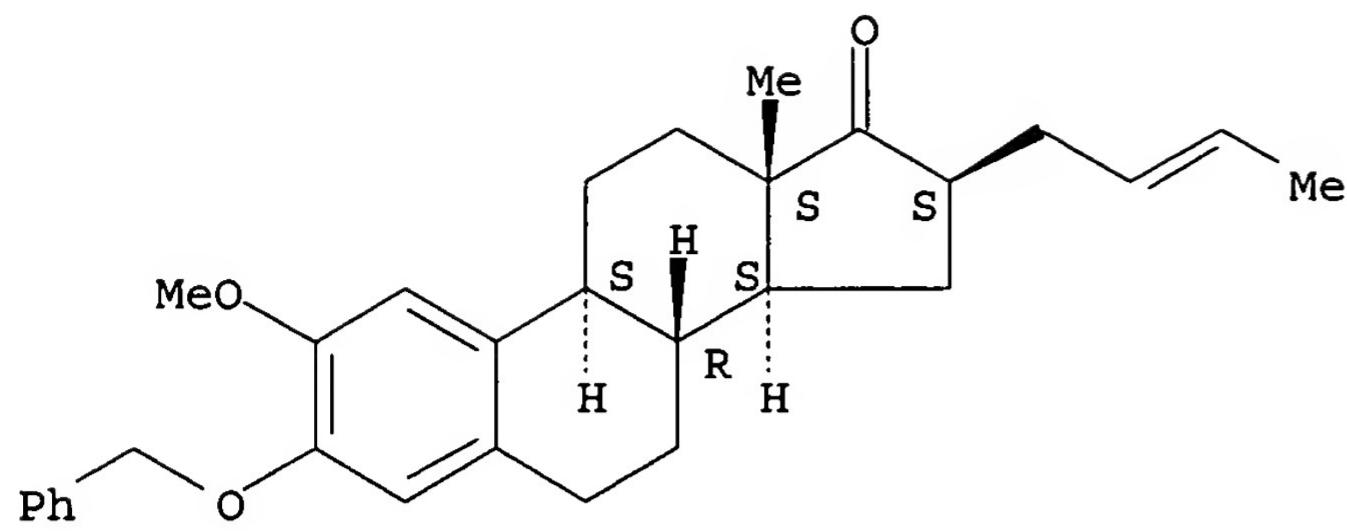
Absolute stereochemistry.  
Double bond geometry unknown.



RN 464924-28-5 CAPLUS  
CN Estra-1,3,5(10)-trien-17-one, 16-(2-butenyl)-2-methoxy-3-(phenylmethoxy)-,  
(16 $\beta$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

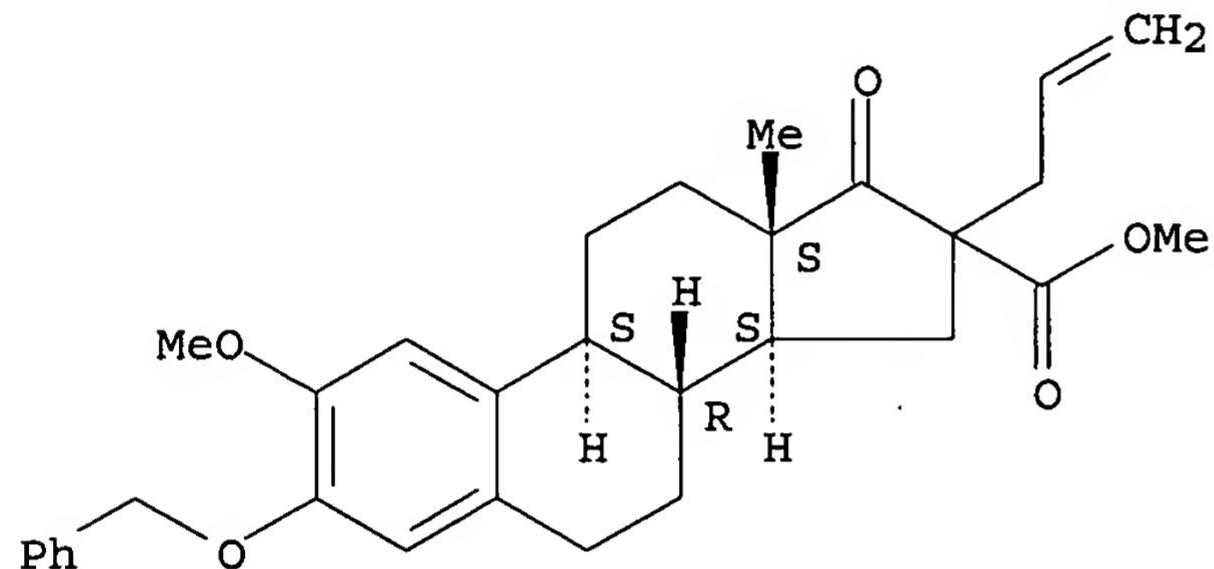
10458286



RN 464924-29-6 CAPLUS

CN Estra-1,3,5(10)-triene-16-carboxylic acid, 2-methoxy-17-oxo-3-(phenylmethoxy)-16-(2-propenyl)-, methyl ester (9CI) (CA INDEX NAME)

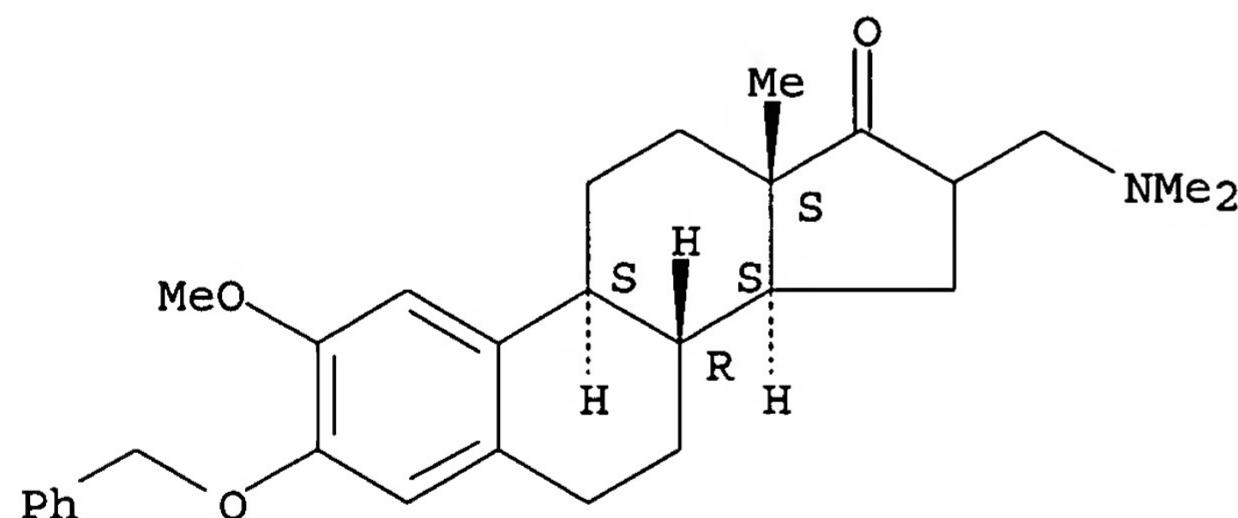
Absolute stereochemistry.



RN 464924-30-9 CAPLUS

CN Estra-1,3,5(10)-trien-17-one, 16-[(dimethylamino)methyl]-2-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

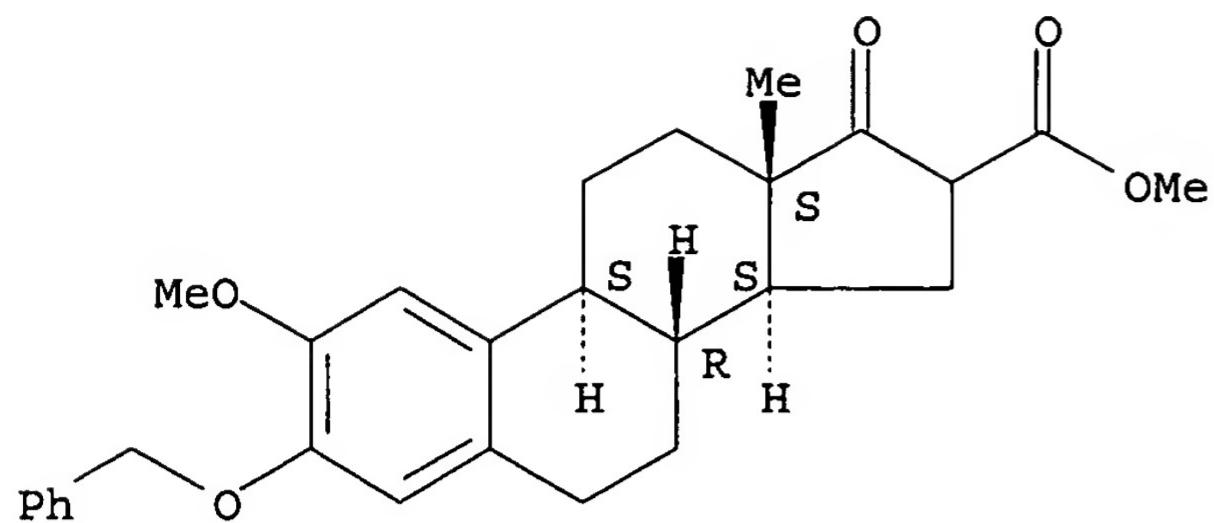


RN 464924-31-0 CAPLUS

CN Estra-1,3,5(10)-triene-16-carboxylic acid, 2-methoxy-17-oxo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

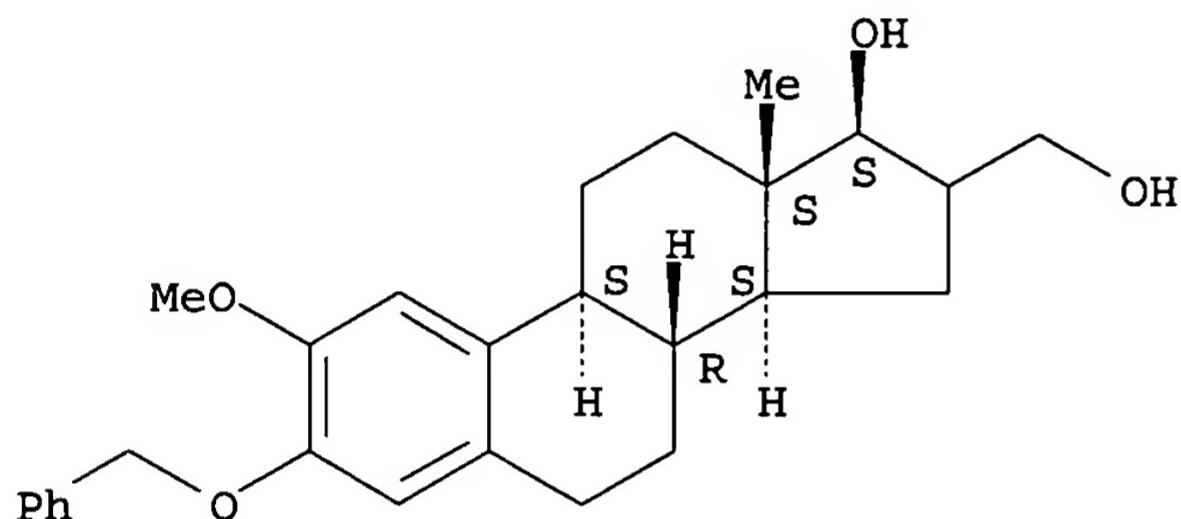
10458286



RN 464924-32-1 CAPLUS

CN Estra-1,3,5(10)-triene-16-methanol, 17-hydroxy-2-methoxy-3-(phenylmethoxy)-(17β)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:521687 CAPLUS

DN 137:93613

TI Preparation of phenanthrenes for therapeutic use as estrogen receptor ligands

IN Koehler, Konrad; Henssen, Cecilia; Nilsson, Marita; Gillner, Mikael; Liu, Ye; Sanin, Andrei; Wilkening, Robert R.; Ratcliffe, Ronald W.

PA Karo Bio A.B., Swed.; Merck & Co., Inc.; et al.

SO PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DT Patent

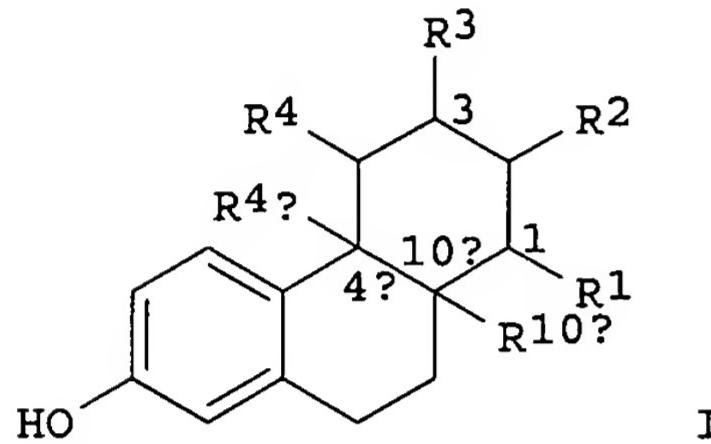
LA English

FAN.CNT 1

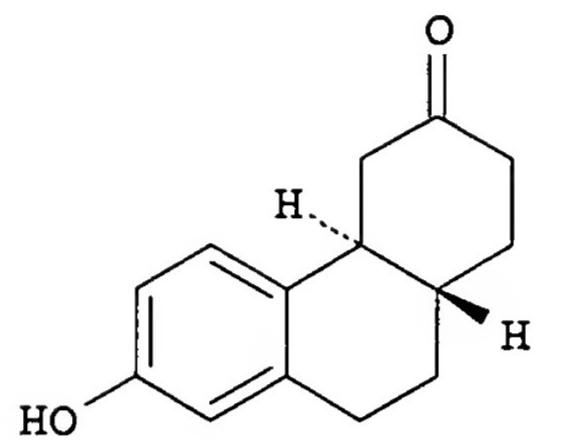
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053522	A2	20020711	WO 2001-EP15230	20011224
	WO 2002053522	A3	20040108		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2433828	AA	20020711	CA 2001-2433828	20011224
	EP 1399406	A2	20040324	EP 2001-272663	20011224
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

10458286

JP 2004523508	T2	20040805	JP 2002-554641	20011224
US 2004127576	A1	20040701	US 2004-250521	20040120
PRAI GB 2001-163	A	20010104		
GB 2001-164	A	20010104		
GB 2001-6434	A	20010315		
WO 2001-EP15230	W	20011224		
OS MARPAT 137:93613				
GI				



I



II

AB Phenanthrenes, such as I [R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl; R1 ≠ Ph; R1, R3 = oxo, thioxo, H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl; R4, R10a = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl; R4a = H, Me, Et, etc.; 1,10-, 1,2-, 2,3-saturated or -unsatd.], were prepared for pharmaceutical use  
as

estrogen receptor modulators for the treatment or prevention of a variety of ~~conditions related to estrogen functioning~~ including bone loss, bone fractures, osteoporosis, cartilage degeneration, endometriosis, uterine fibroid disease, hot flashes, increased levels of LDL cholesterol, cardiovascular disease, impairment of cognitive functioning, cerebral degenerative disorders, restenosis, gynecomastia, autoimmune disease, vascular smooth muscle cell proliferation, obesity, incontinence, and cancer of the lung, colon, breast, uterus, and prostate. Thus, phenanthrenone II was prep'd in five steps starting from MeO-3-C6H4I. The prepared phenanthrenes were assayed for estrogen receptor binding activity with binding affinities for the α- and β-subtypes in the range of IC<sub>50</sub> 3 to 10,000 nM. Pharmaceutical compns. of the phenanthrenes were also presented.

MW

IT 441330-80-9P 441330-85-4P 441330-86-5P  
441330-89-8P 441330-90-1P 441330-94-5P  
441330-95-6P 441330-99-0P 441331-01-7P  
441331-03-9P 441331-11-9P 441331-13-1P  
441331-18-6P 441331-20-0P 441331-26-6P  
441331-36-8P 441331-38-0P 441331-71-1P

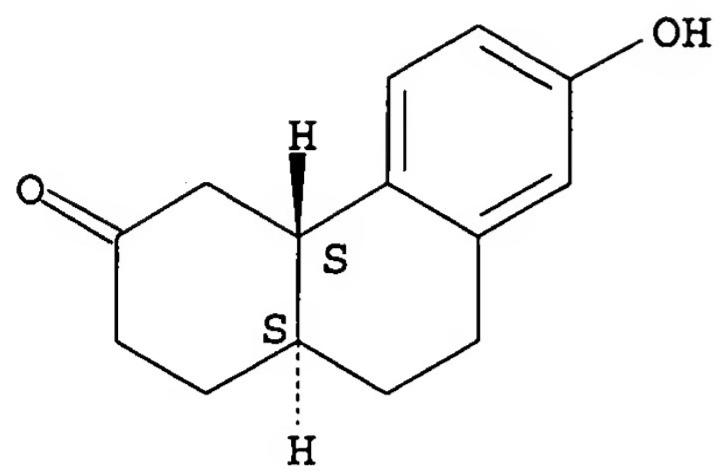
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of phenanthrenes for therapeutic use as selective estrogen receptor modulators)

RN 441330-80-9 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

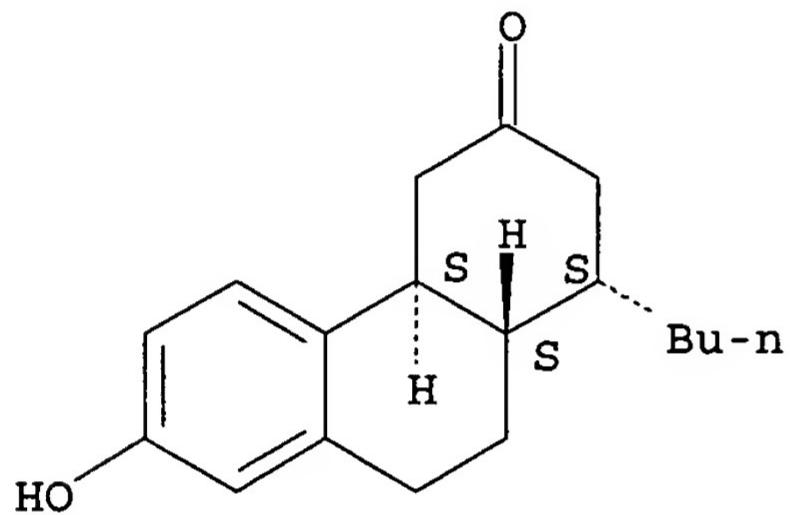
10458286



RN 441330-85-4 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

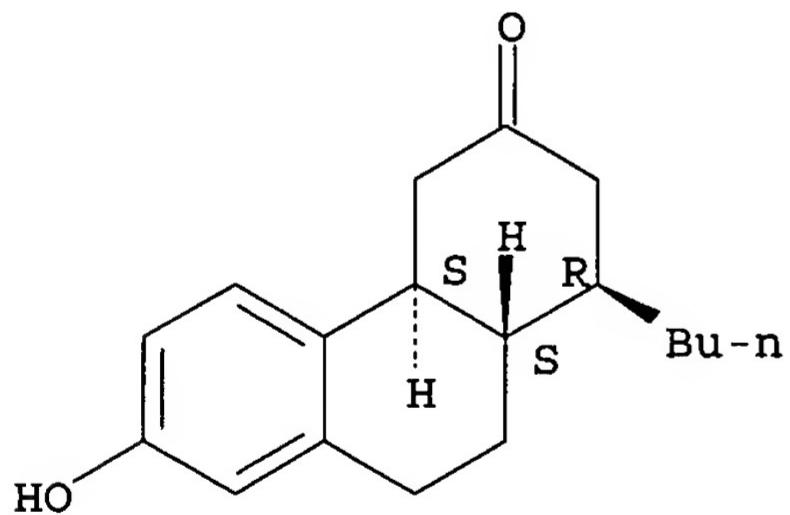
Relative stereochemistry.



RN 441330-86-5 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-, (1R,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

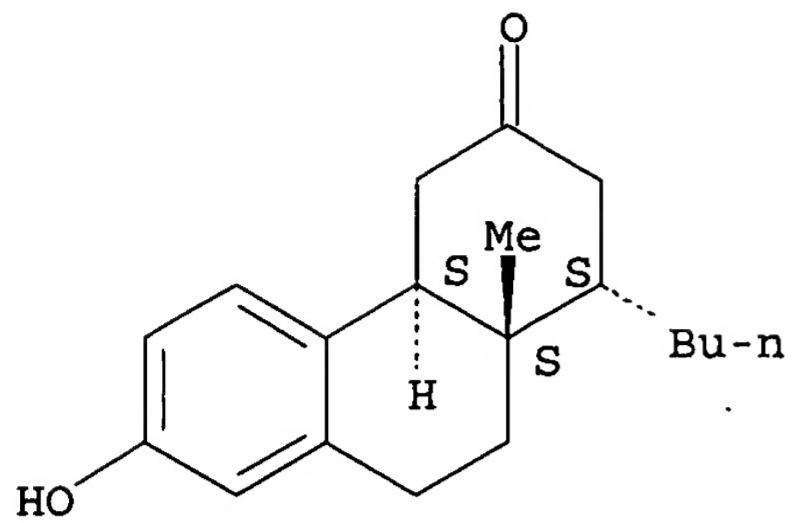


RN 441330-89-8 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

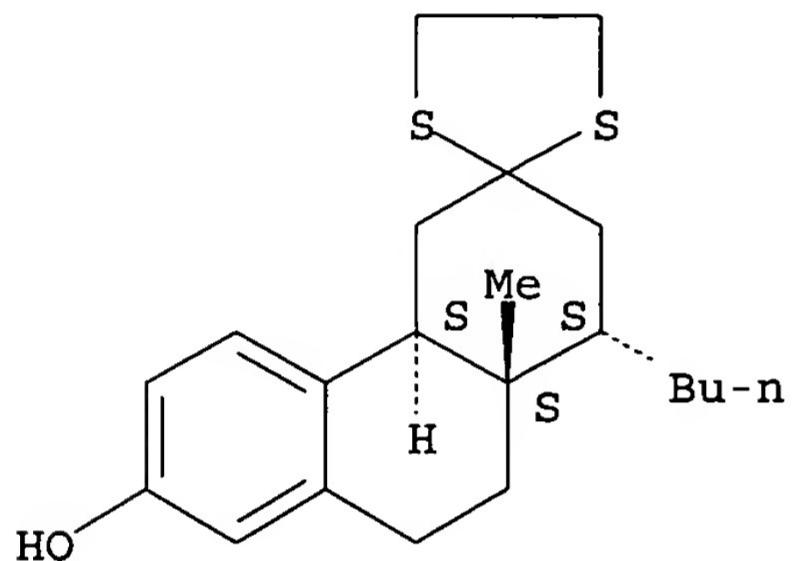
10458286



RN 441330-90-1 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1'-butyl-  
1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-, (1'R,4'aR,10'aR)-rel- (9CI)  
(CA INDEX NAME)

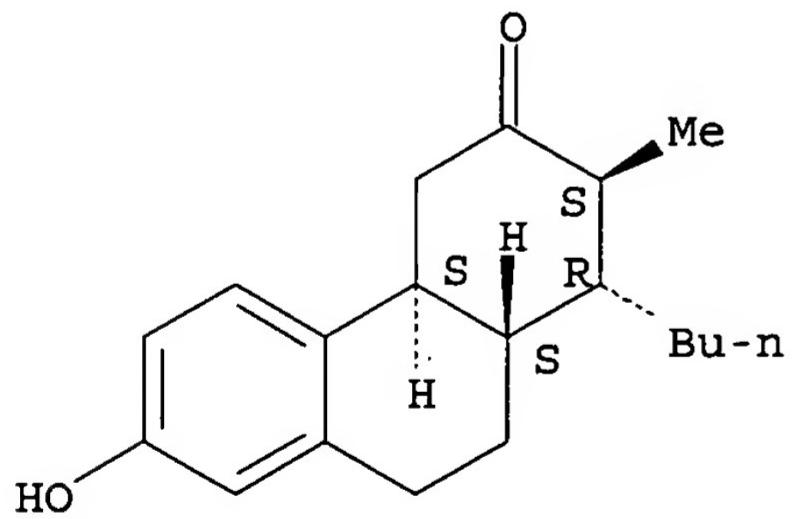
Relative stereochemistry.



RN 441330-94-5 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-2-methyl-,  
, (1R,2S,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

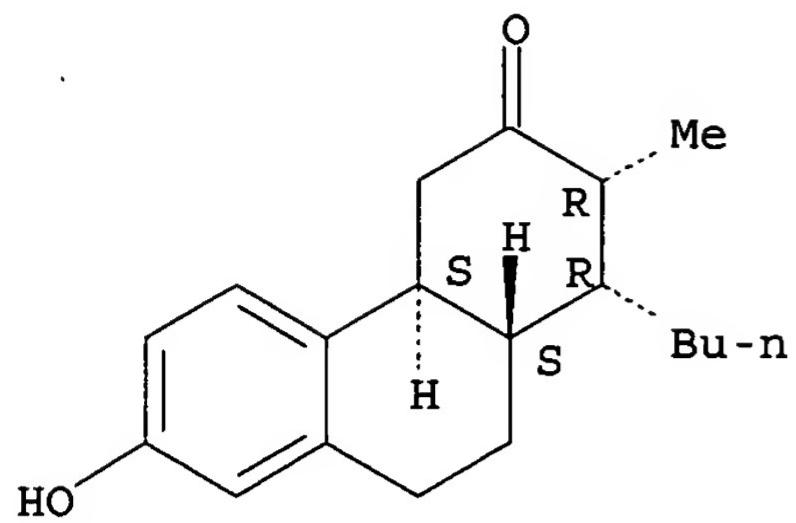


RN 441330-95-6 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-2-methyl-,  
, (1R,2R,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

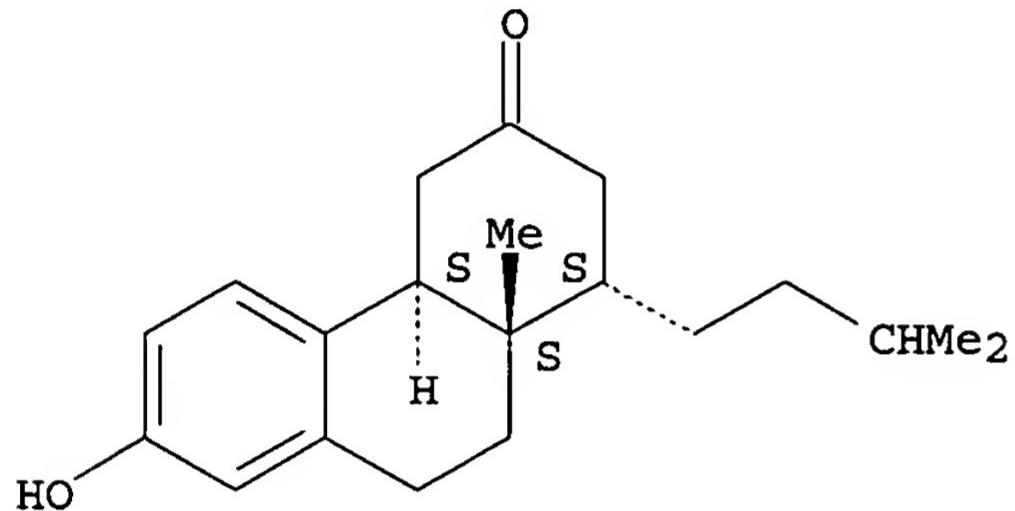
10458286



RN 441330-99-0 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-1-(3-methylbutyl)-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

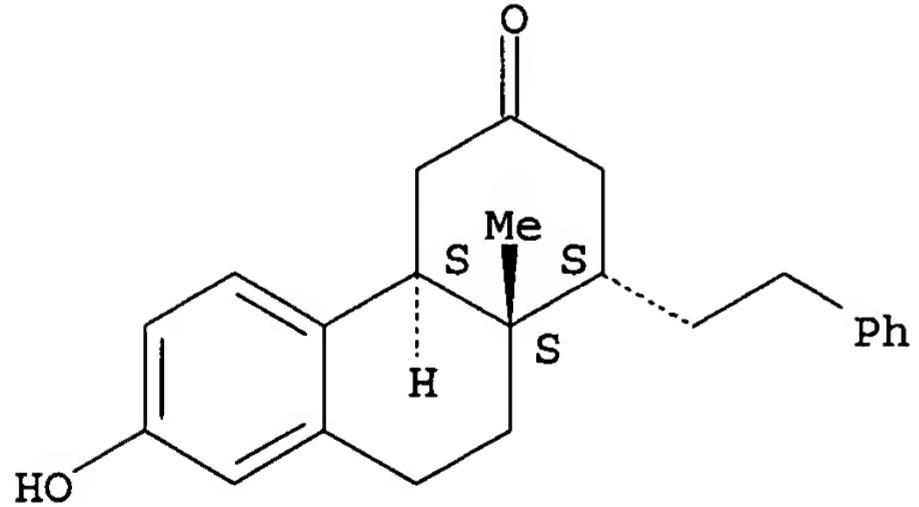
Relative stereochemistry.



RN 441331-01-7 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-1-(2-phenylethyl)-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

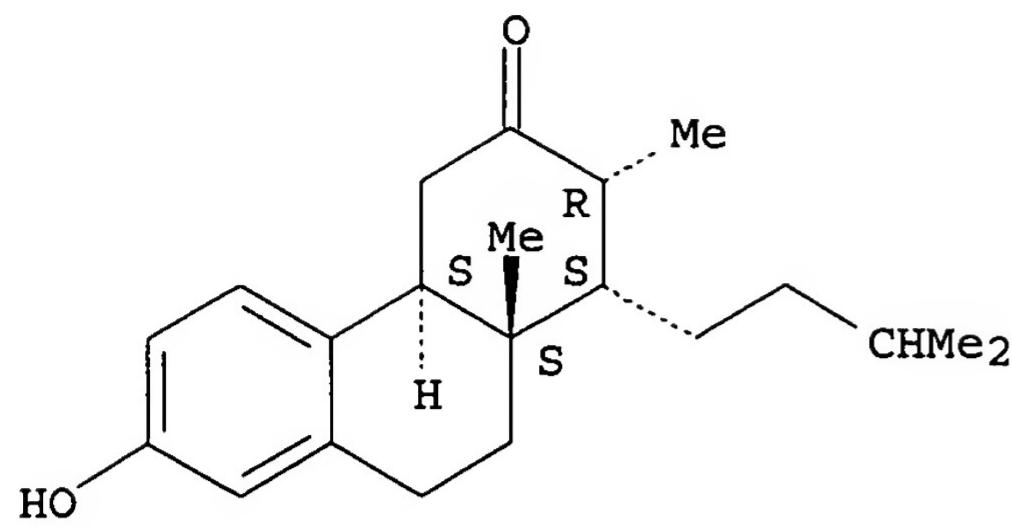


RN 441331-03-9 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-2,10a-dimethyl-1-(3-methylbutyl)-, (1R,2S,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

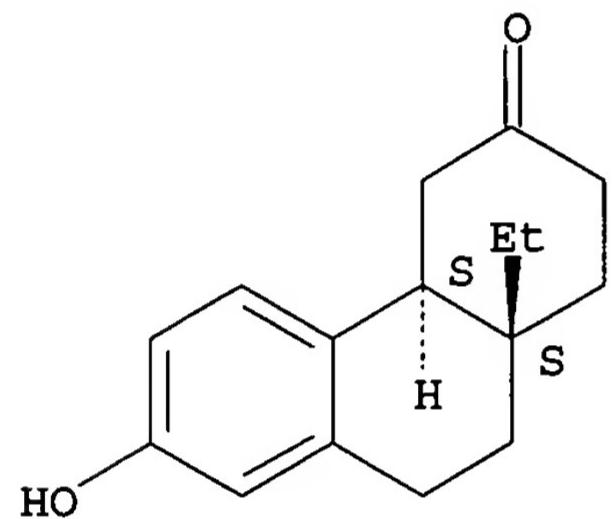
10458286



RN 441331-11-9 CAPLUS

CN 3 (2H)-Phenanthrenone, 10a-ethyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

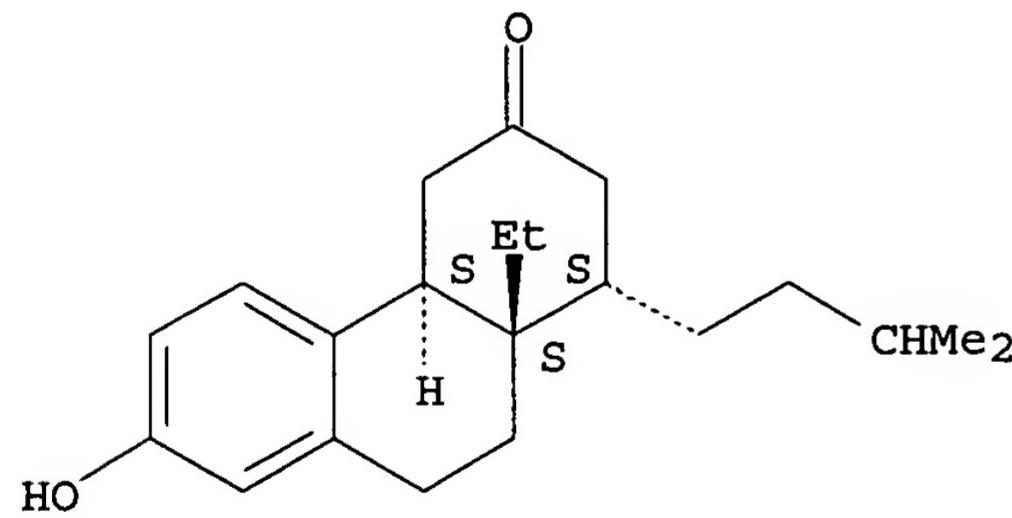
Relative stereochemistry.



RN 441331-13-1 CAPLUS

CN 3 (2H)-Phenanthrenone, 10a-ethyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-1-(3-methylbutyl)-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

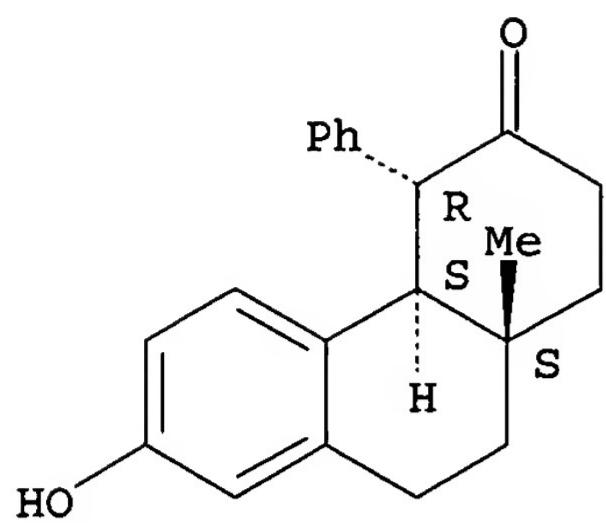


RN 441331-18-6 CAPLUS

CN 3 (2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-4-phenyl-, (4R,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

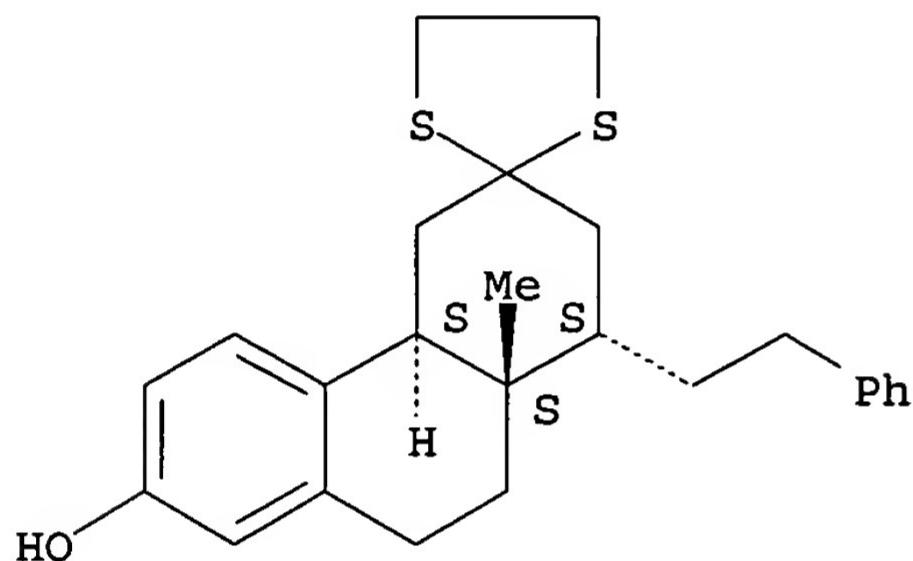
Relative stereochemistry.

10458286



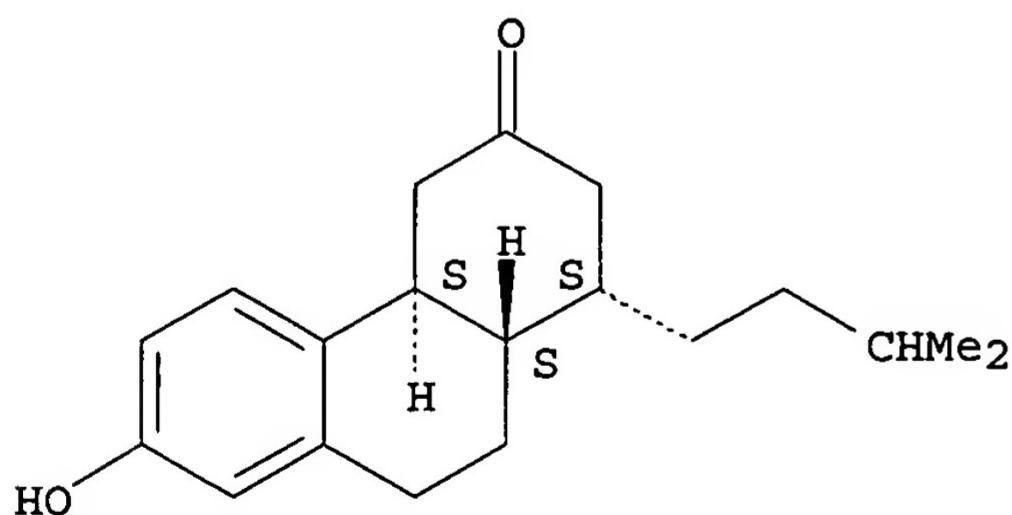
RN 441331-20-0 CAPLUS  
CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-1'-(2-phenylethyl)-, (1'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441331-26-6 CAPLUS  
CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-1-(3-methylbutyl)-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

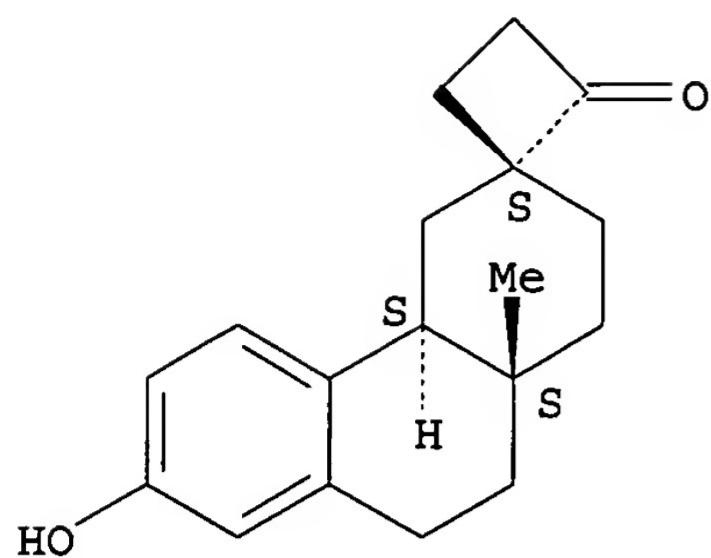
Relative stereochemistry.



RN 441331-36-8 CAPLUS  
CN Spiro[cyclobutane-1,3' (2'H)-phenanthren]-2-one, 1',4',4'a,9',10',10'a-hexahydro-7'-hydroxy-10'a-methyl-, (1R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

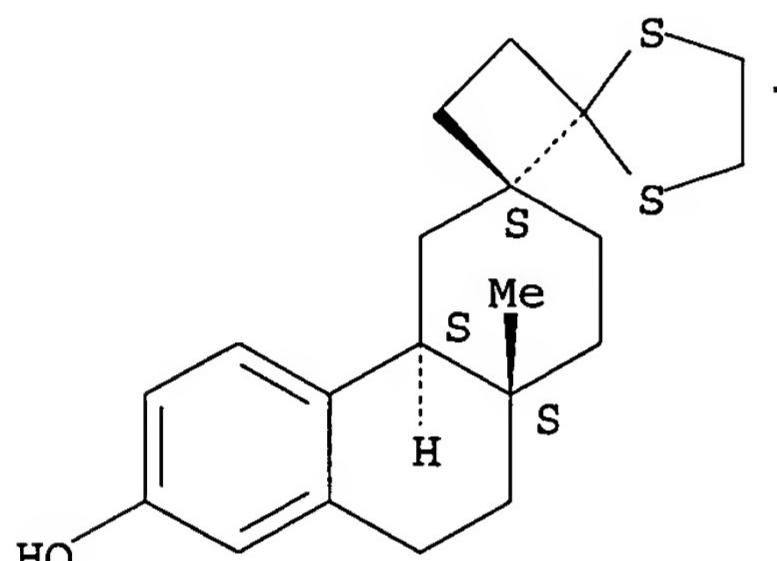
10458286



RN 441331-38-0 CAPLUS

CN Dispiro[1,3-dithiolane-2,1'-cyclobutane-2',3''(2''H)-phenanthren]-7'''-ol,  
1'',4'',4''a,9'',10'',10''a-hexahydro-10''a-methyl-, (2'R,4''aR,10''aR)-  
rel- (9CI) (CA INDEX NAME)

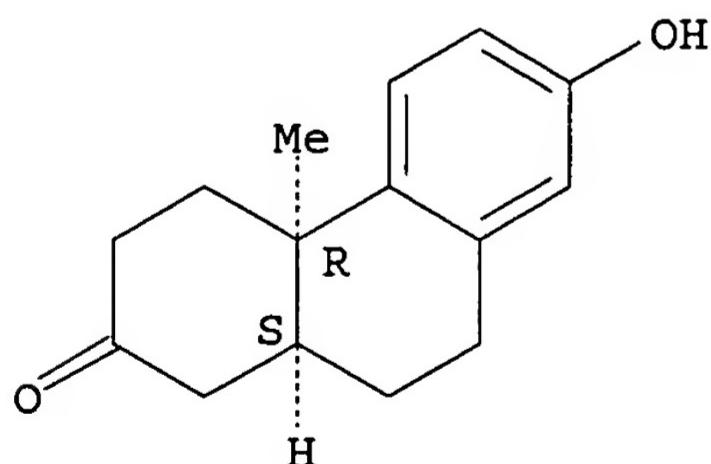
Relative stereochemistry.



RN 441331-71-1 CAPLUS

CN 2(1H)-Phenanthrenone, 3,4,4a,9,10,10a-hexahydro-7-hydroxy-4a-methyl-,  
(4aR,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 441330-81-0P 441330-87-6P 441330-88-7P

441330-91-2P 441330-96-7P 441330-97-8P

441330-98-9P 441331-00-6P 441331-02-8P

441331-04-0P 441331-05-1P 441331-06-2P

441331-07-3P 441331-08-4P 441331-09-5P

441331-10-8P 441331-12-0P 441331-14-2P

441331-15-3P 441331-16-4P 441331-17-5P

441331-19-7P 441331-21-1P 441331-22-2P

441331-23-3P 441331-24-4P 441331-25-5P

441331-27-7P 441331-28-8P 441331-29-9P

441331-30-2P 441331-31-3P 441331-32-4P

441331-33-5P 441331-34-6P 441331-35-7P

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441331-37-9P 441331-39-1P 441331-41-5P  
441331-42-6P 441331-43-7P 441331-44-8P  
441331-45-9P 441331-46-0P 441331-48-2P  
441331-49-3P 441331-55-1P 441331-62-0P  
441331-65-3P 441331-66-4P 441331-69-7P  
441331-70-0P 441331-72-2P 441331-73-3P  
441331-74-4P 441331-75-5P 441331-76-6P  
441348-21-6P

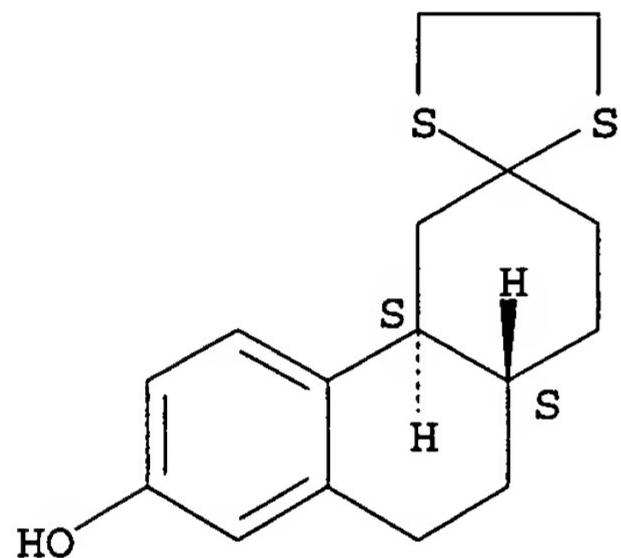
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenanthrenes for therapeutic use as selective estrogen receptor modulators)

RN 441330-81-0 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-, (4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

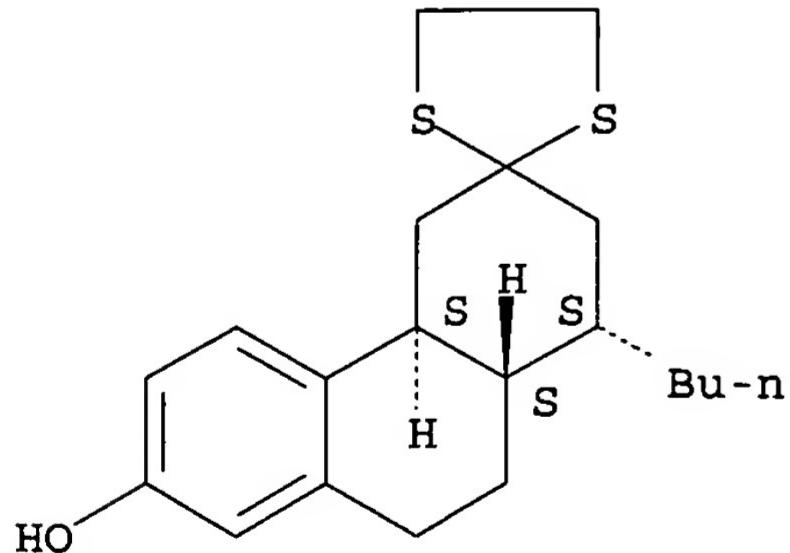
Relative stereochemistry.



RN 441330-87-6 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1'-butyl-1',4',4'a,9',10',10'a-hexahydro-, (1'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

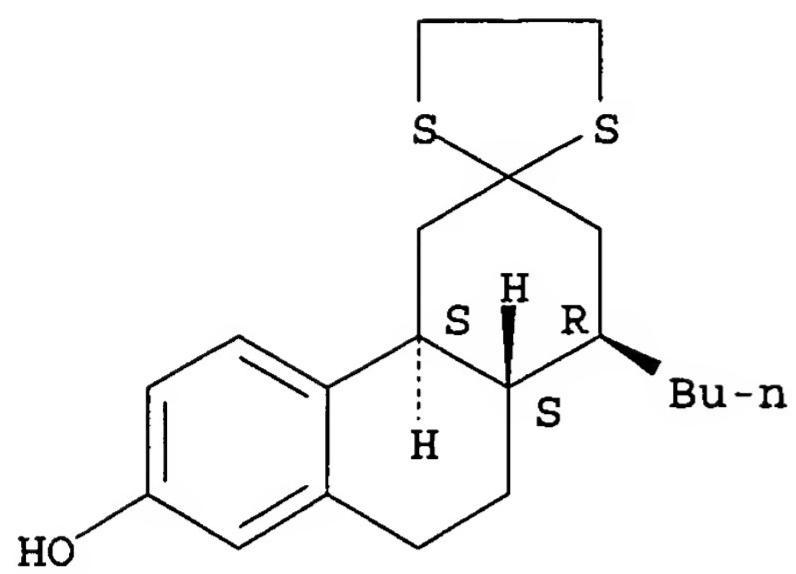


RN 441330-88-7 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1'-butyl-1',4',4'a,9',10',10'a-hexahydro-, (1'R,4'aS,10'aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

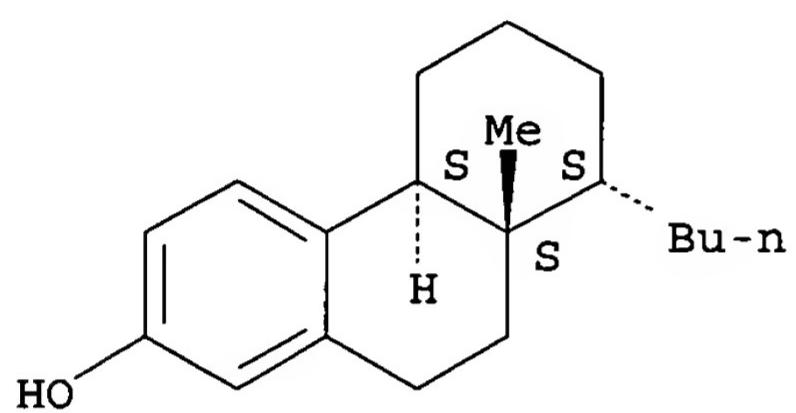
10458286



RN 441330-91-2 CAPLUS

CN 2-Phenanthrenol, 8-butyl-4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-, (4bR,8R,8aR)-rel- (9CI) (CA INDEX NAME)

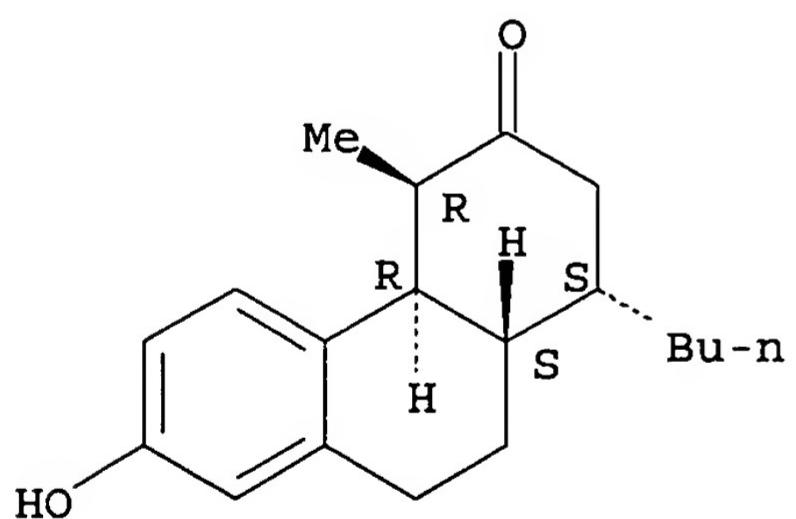
Relative stereochemistry.



RN 441330-96-7 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-4-methyl-, (1R,4S,4aS,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

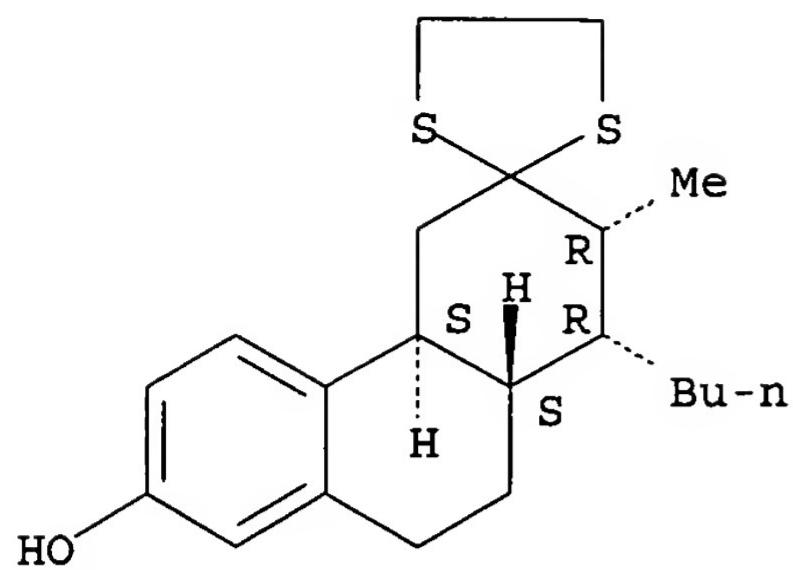


RN 441330-97-8 CAPLUS

CN Spiro[1,3-dithiolane-2,3'(2'H)-phenanthren]-7'-ol, 1'-butyl-1',4',4'a,9',10',10'a-hexahydro-2'-methyl-, (1'R,2'R,4'aS,10'aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

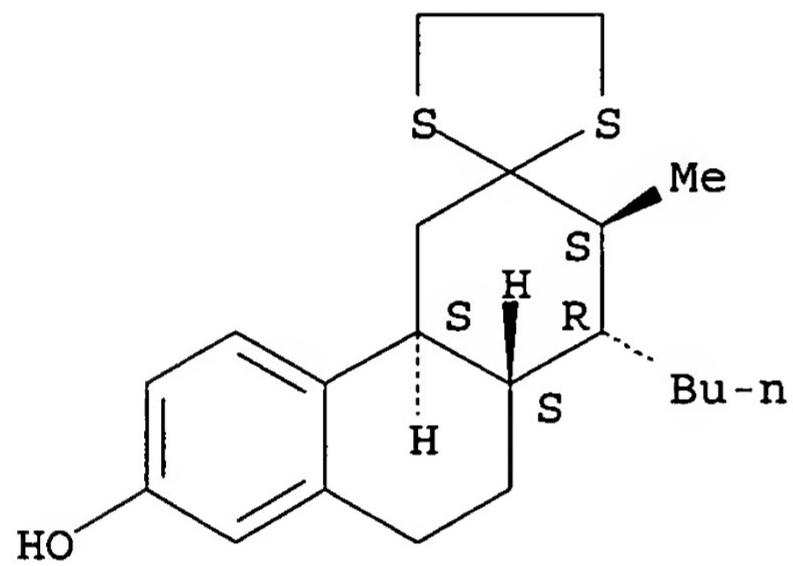
10458286



RN 441330-98-9 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1'-butyl-  
1',4',4'a,9',10',10'a-hexahydro-2'-methyl-, (1'R,2'S,4'aS,10'aS)-rel-  
(9CI) (CA INDEX NAME)

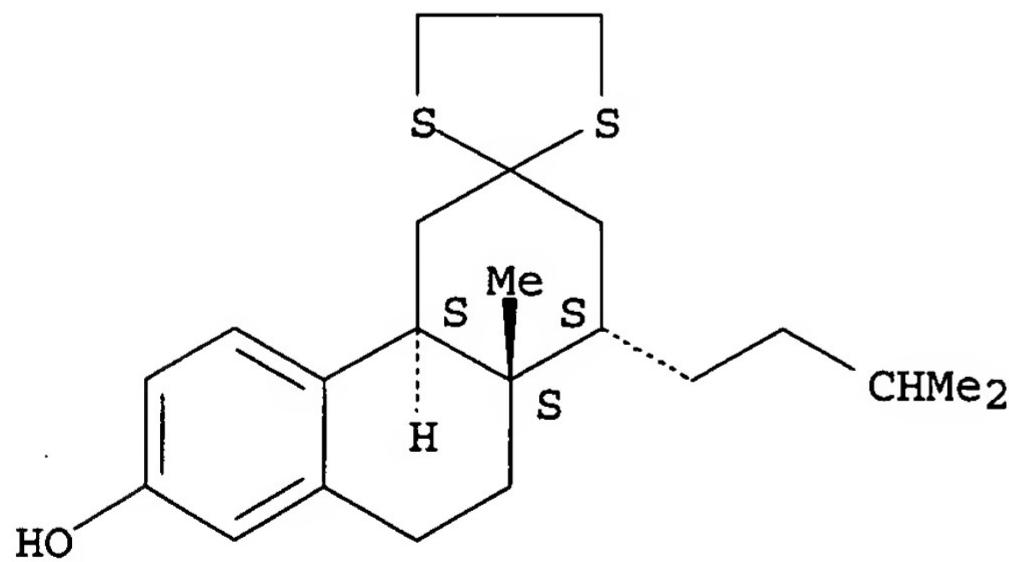
Relative stereochemistry.



RN 441331-00-6 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-  
hexahydro-10'a-methyl-1'-(3-methylbutyl)-, (1'R,4'aR,10'aR)-rel- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.

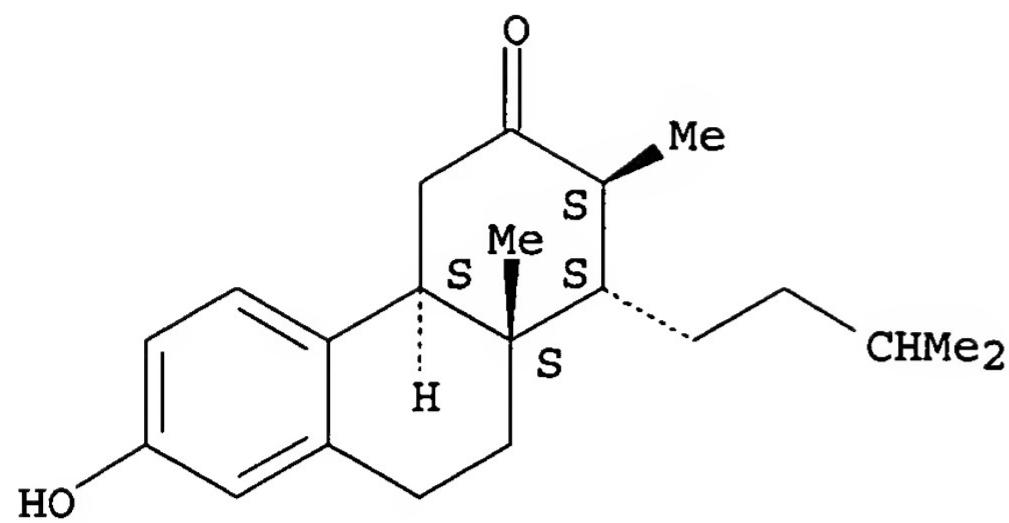


RN 441331-02-8 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-2,10a-dimethyl-1-  
(3-methylbutyl)-, (1R,2R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

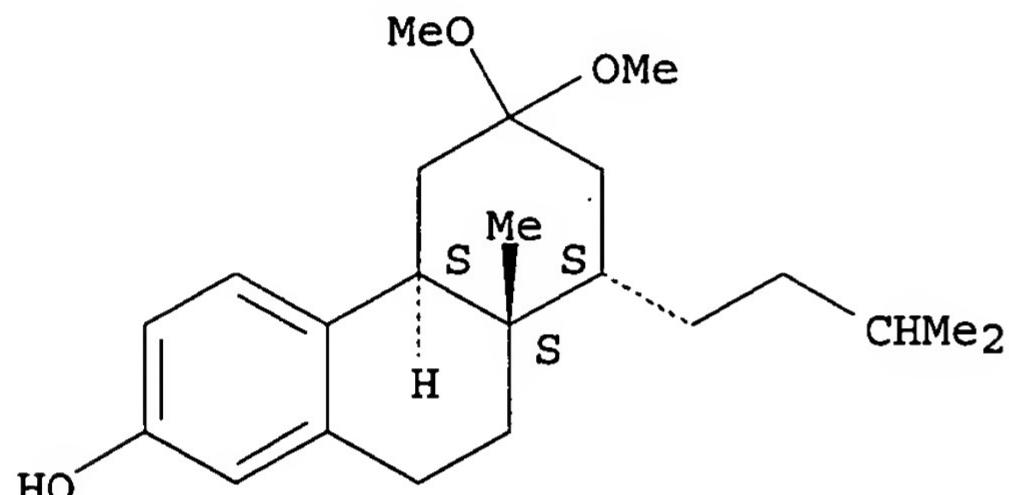
10458286



RN 441331-04-0 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-6,6-dimethoxy-8a-methyl-8-(3-methylbutyl)-, (4bR,8R,8aR)-rel- (9CI) (CA INDEX NAME)

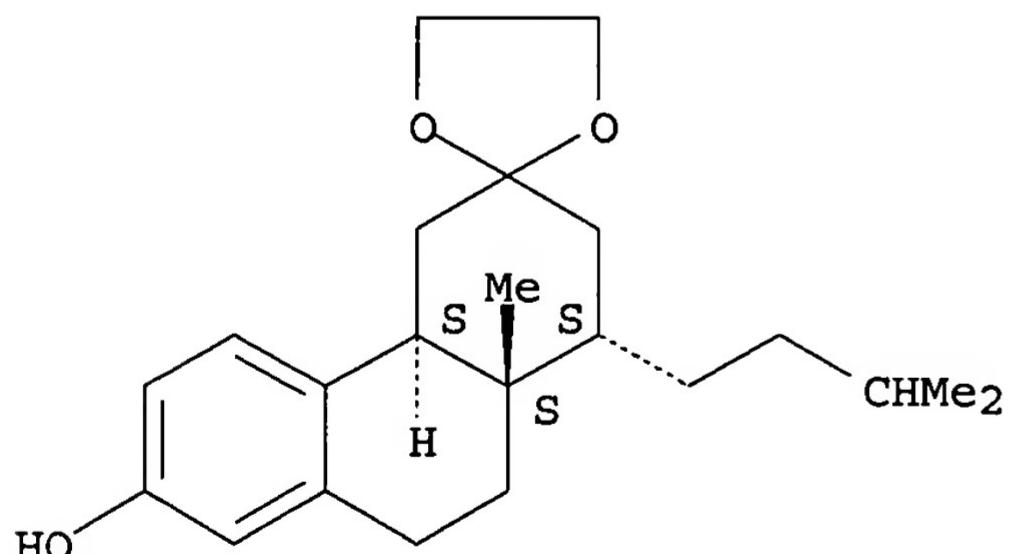
Relative stereochemistry.



RN 441331-05-1 CAPLUS

CN Spiro[1,3-dioxolane-2,3'(2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-1'-(3-methylbutyl)-, (1'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

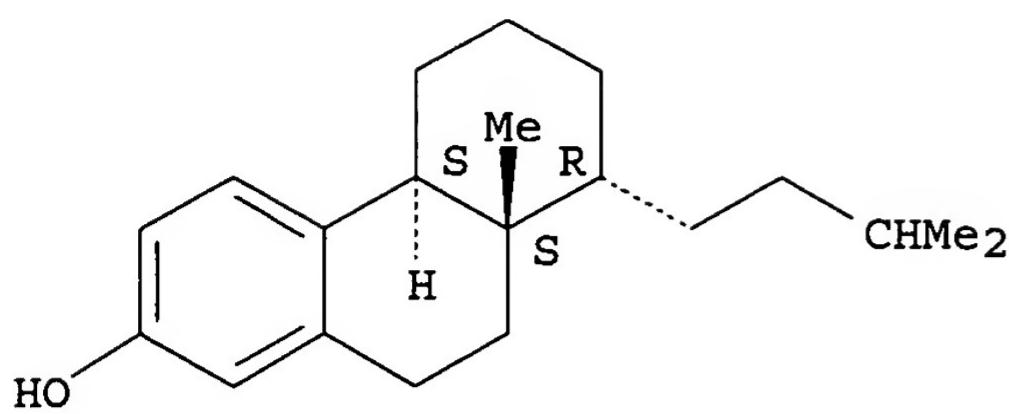


RN 441331-06-2 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-8-(3-methylbutyl)-, (4bR,8S,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

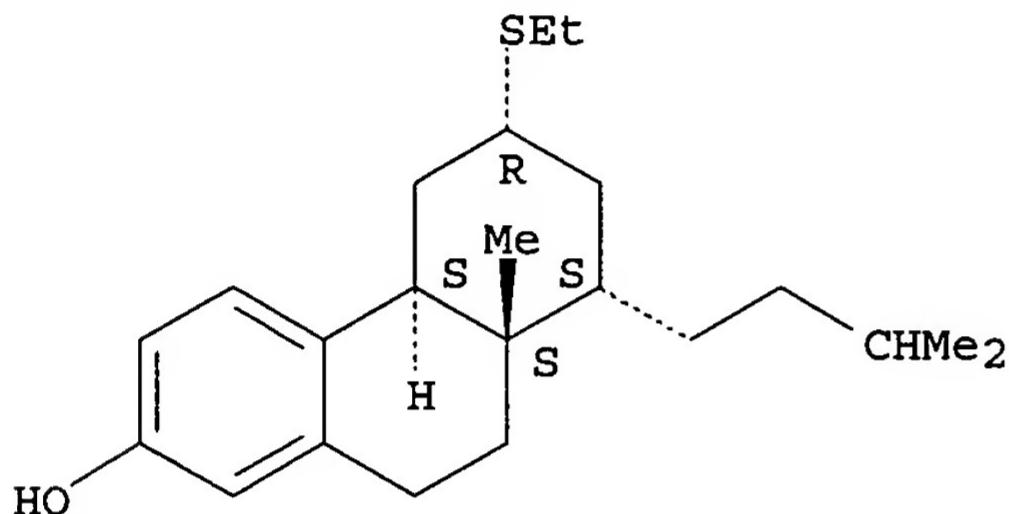
10458286



RN 441331-07-3 CAPLUS

CN 2-Phenanthrenol, 6-(ethylthio)-4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-8-(3-methylbutyl)-, (4bR,6S,8R,8aR)-rel- (9CI) (CA INDEX NAME)

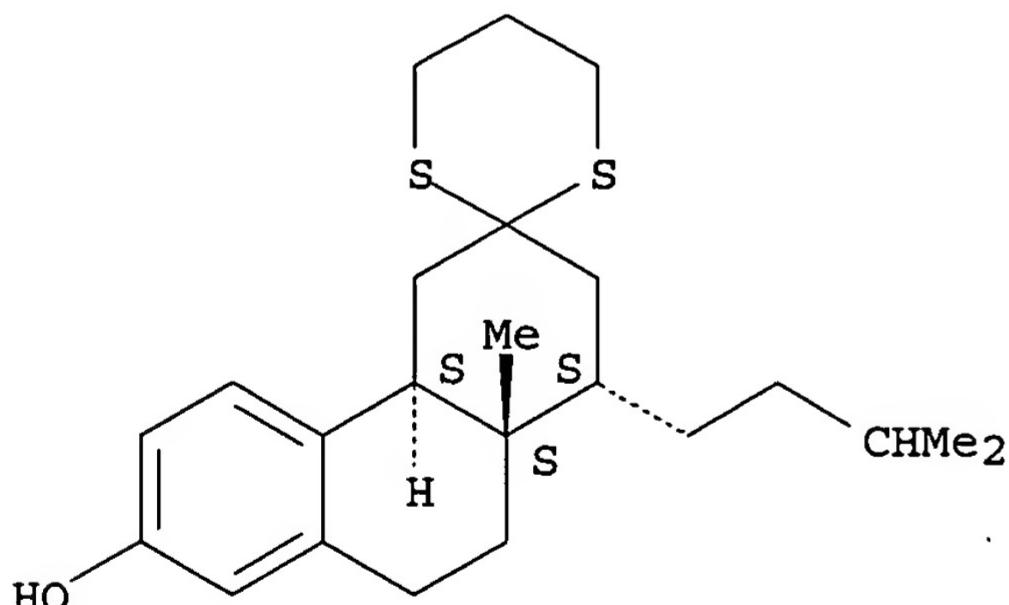
Relative stereochemistry.



RN 441331-08-4 CAPLUS

CN Spiro[1,3-dithiane-2,3'(2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-1'-(3-methylbutyl)-, (1'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

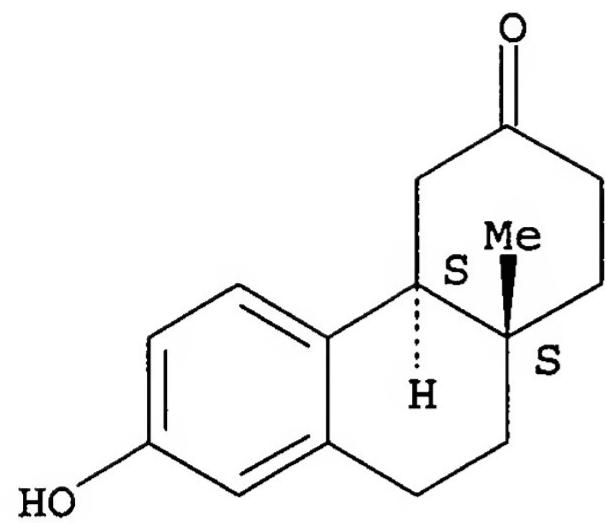


RN 441331-09-5 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

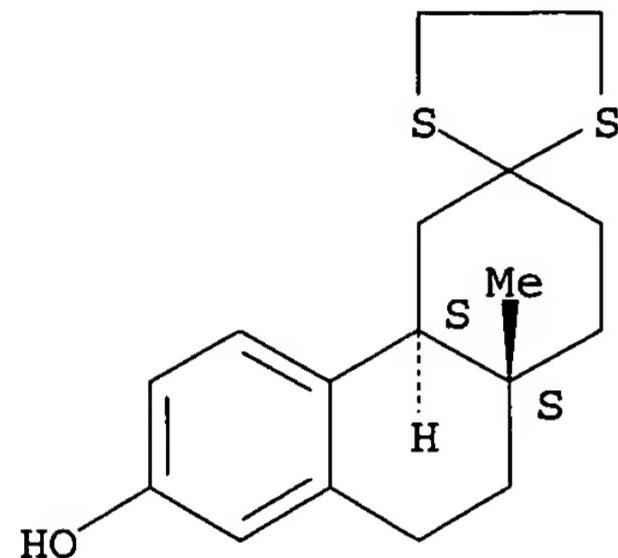
10458286



RN 441331-10-8 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-, (4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

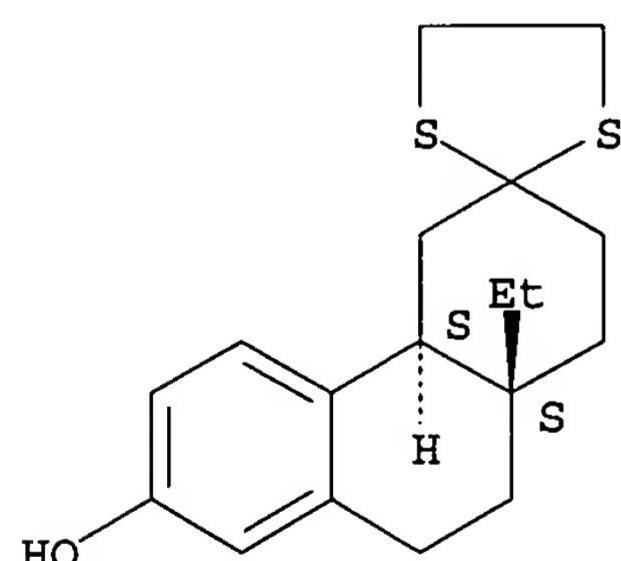
Relative stereochemistry.



RN 441331-12-0 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 10'a-ethyl-1',4',4'a,9',10',10'a-hexahydro-, (4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

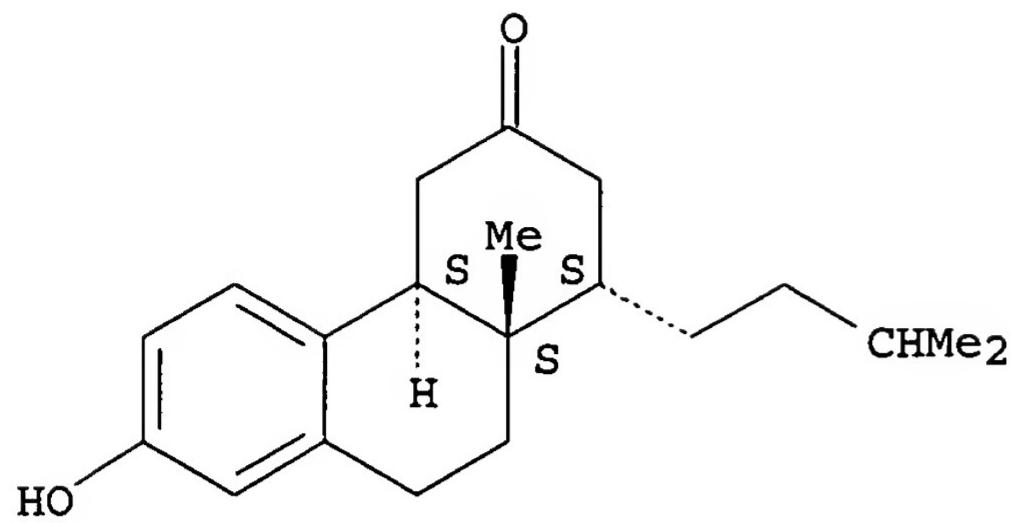


RN 441331-14-2 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-1-(3-methylbutyl)-, (1S,4aS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

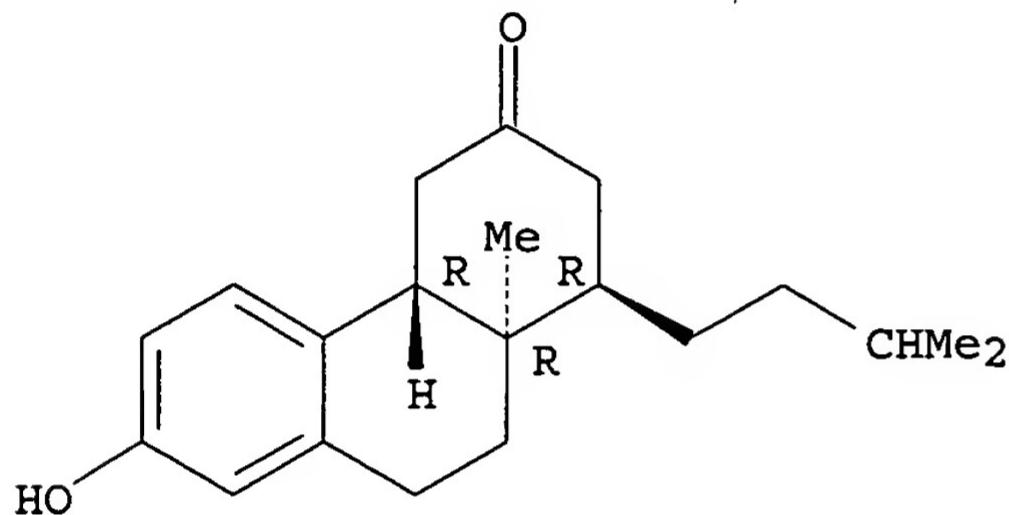
10458286



RN 441331-15-3 CAPLUS

CN 3 (2H) -Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-1- (3-methylbutyl)-, (1R,4aR,10aR) - (9CI) (CA INDEX NAME)

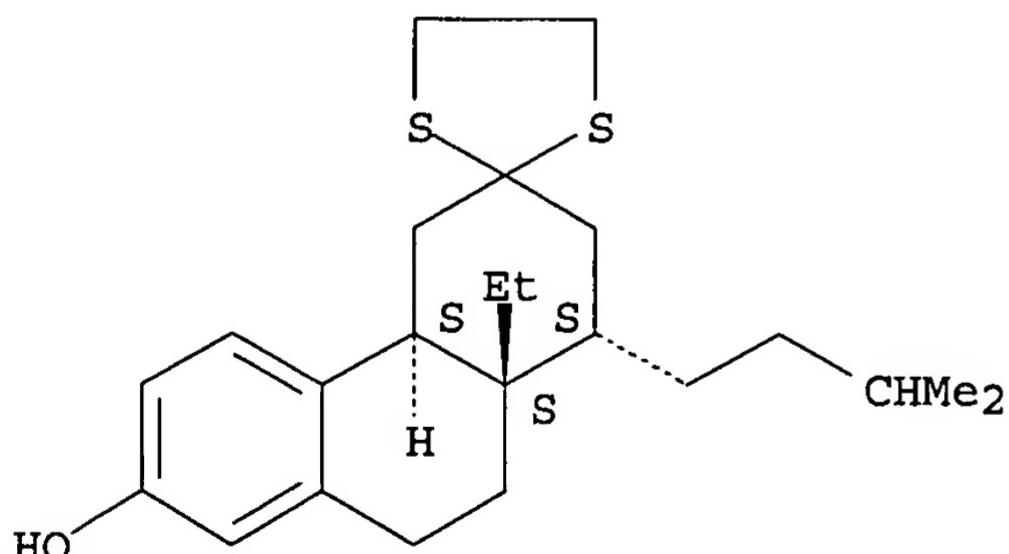
Absolute stereochemistry.



RN 441331-16-4 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 10'a-ethyl-1',4',4'a,9',10',10'a-hexahydro-1- (3-methylbutyl)-, (1'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

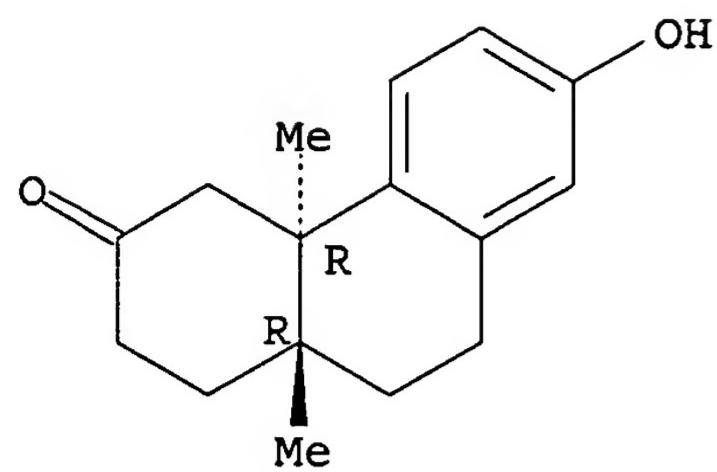


RN 441331-17-5 CAPLUS

CN 3 (2H) -Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-4a,10a-dimethyl-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

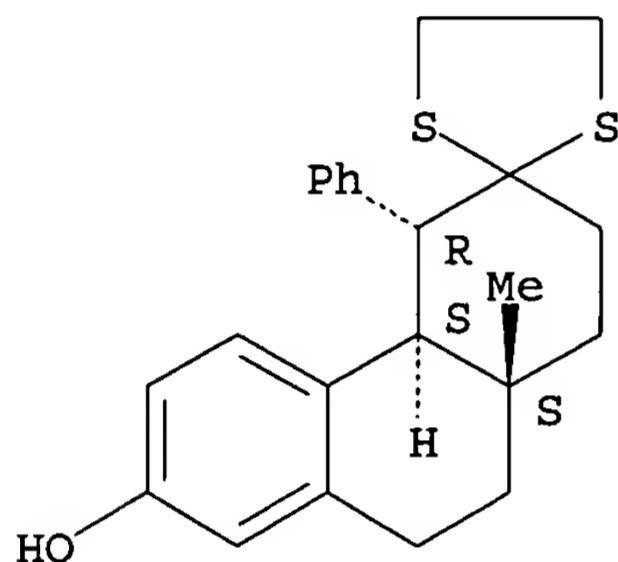
10458286



RN 441331-19-7 CAPLUS

CN Spiro[1,3-dithiolane-2,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-4'-phenyl-, (4'R,4'aS,10'aS)-rel- (9CI) (CA INDEX NAME)

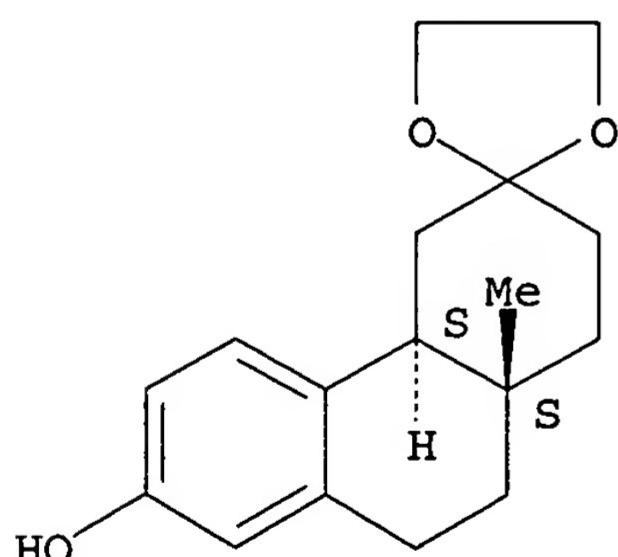
Relative stereochemistry.



RN 441331-21-1 CAPLUS

CN Spiro[1,3-dioxolane-2,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-, (4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

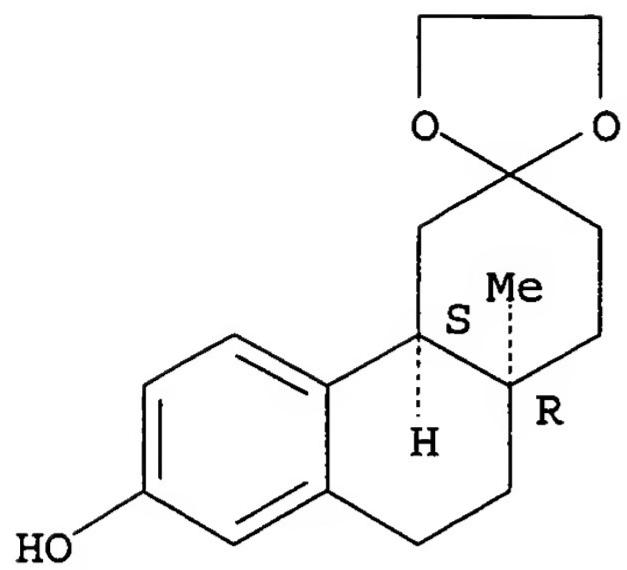


RN 441331-22-2 CAPLUS

CN Spiro[1,3-dioxolane-2,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-, (4'aR,10'aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

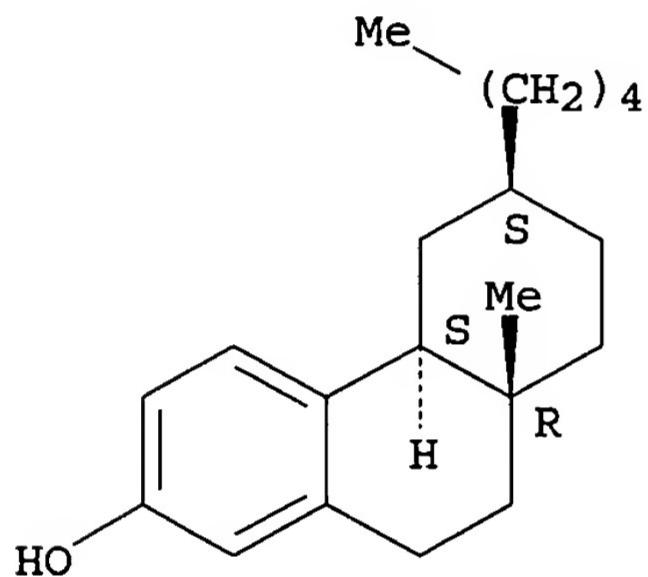
10458286



RN 441331-23-3 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-pentyl-,  
(4bR,6R,8aS)-rel- (9CI) (CA INDEX NAME)

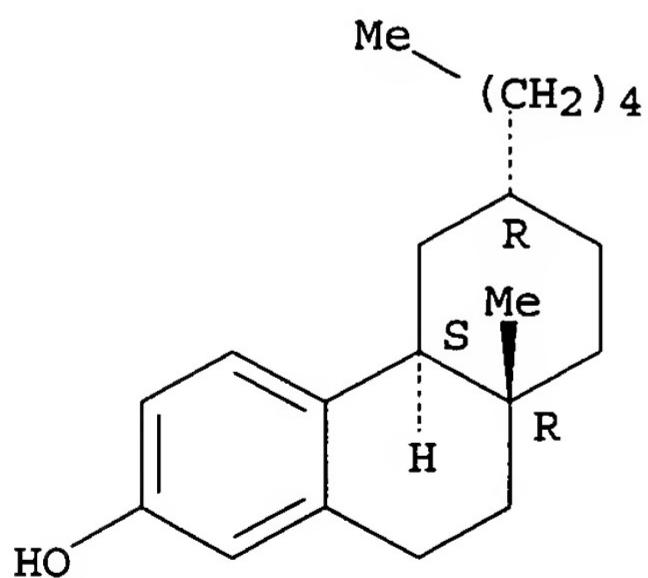
Relative stereochemistry.



RN 441331-24-4 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-pentyl-,  
(4bR,6S,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

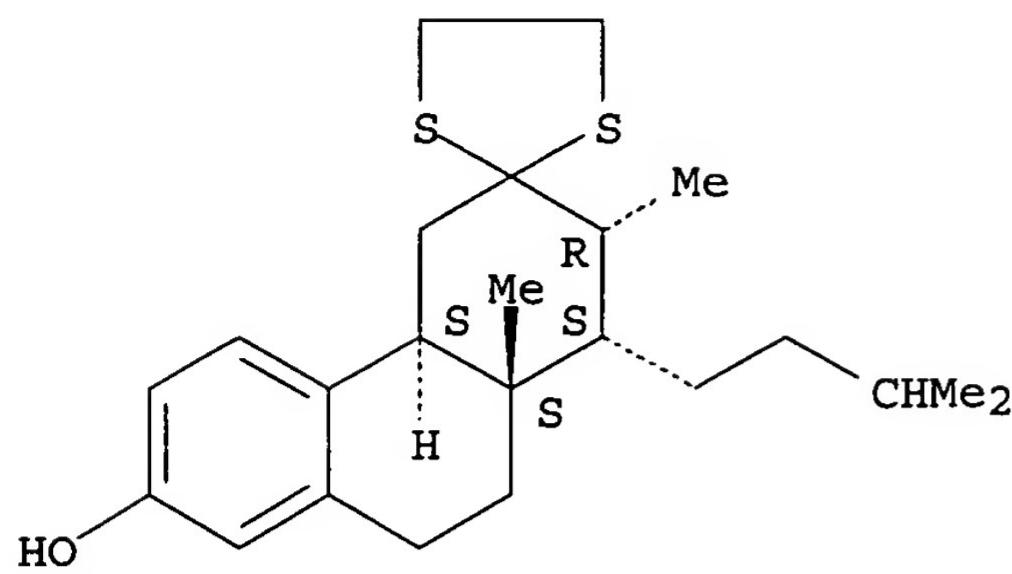


RN 441331-25-5 CAPLUS

CN Spiro[1,3-dithiolane-2,3'-(2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-2',10'a-dimethyl-1'-(3-methylbutyl)-, (1'R,2'S,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

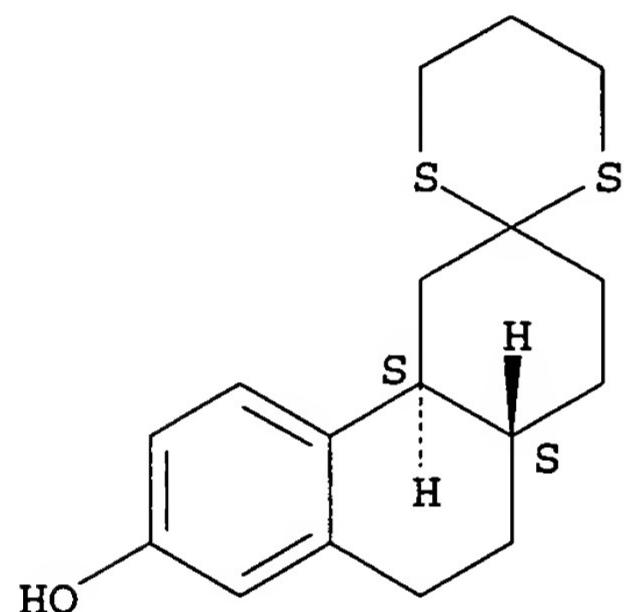
10458286



RN 441331-27-7 CAPLUS

CN Spiro[1,3-dithiane-2,3'(2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-, (4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

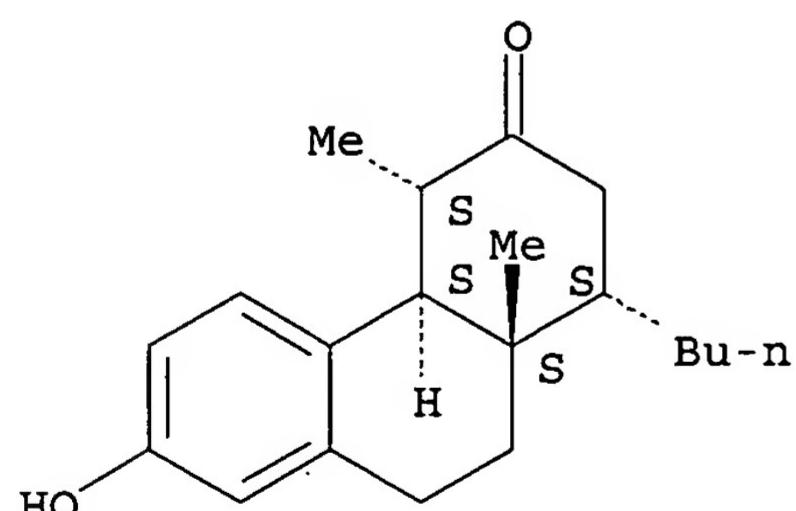
Relative stereochemistry.



RN 441331-28-8 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-hydroxy-4,10a-dimethyl-, (1R,4R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

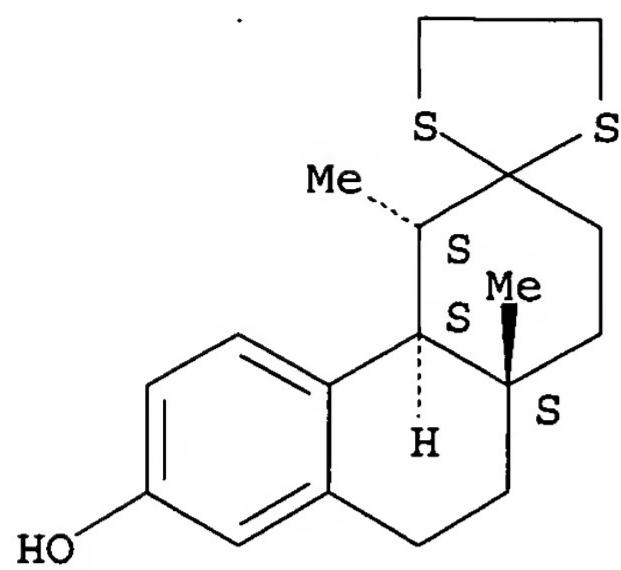


RN 441331-29-9 CAPLUS

CN Spiro[1,3-dithiolane-2,3'(2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-4',10'a-dimethyl-, (4'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

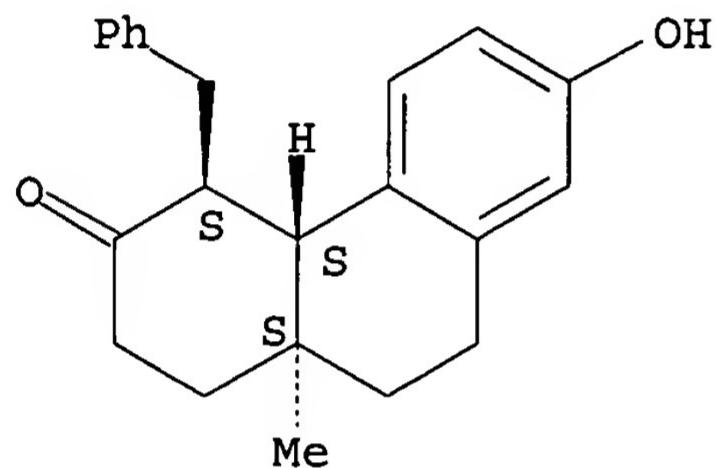
10458286



RN 441331-30-2 CAPLUS

CN 3 (2H) -Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-4- (phenylmethyl) -, (4R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

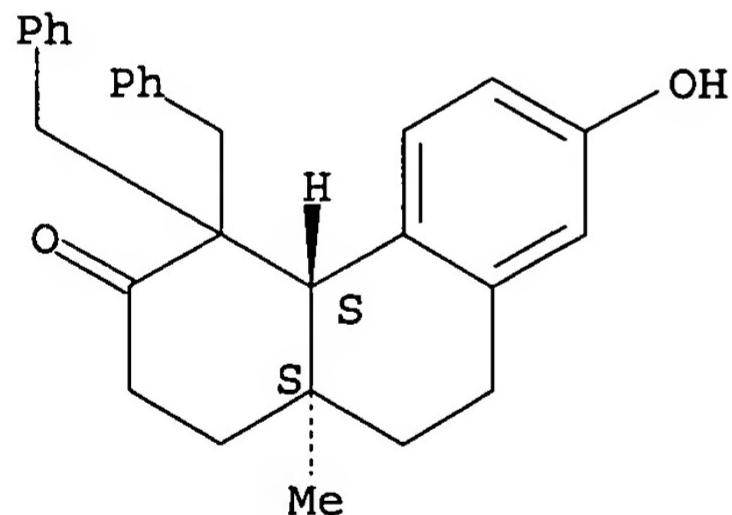
Relative stereochemistry.



RN 441331-31-3 CAPLUS

CN 3 (2H) -Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-4,4- bis (phenylmethyl) -, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

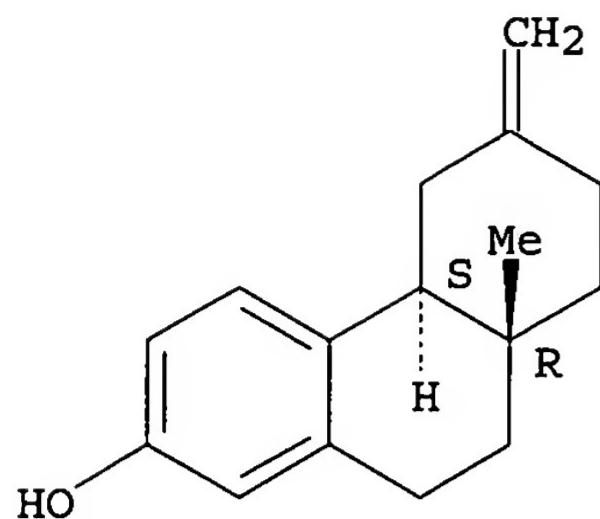


RN 441331-32-4 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-methylene-, (4bR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

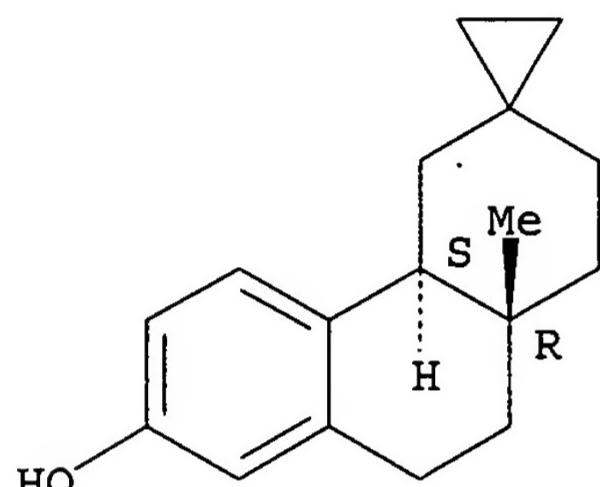
10458286



RN 441331-33-5 CAPLUS

CN Spiro[cyclopropane-1,3' (2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-, (4'aR,10'aS)-rel- (9CI) (CA INDEX NAME)

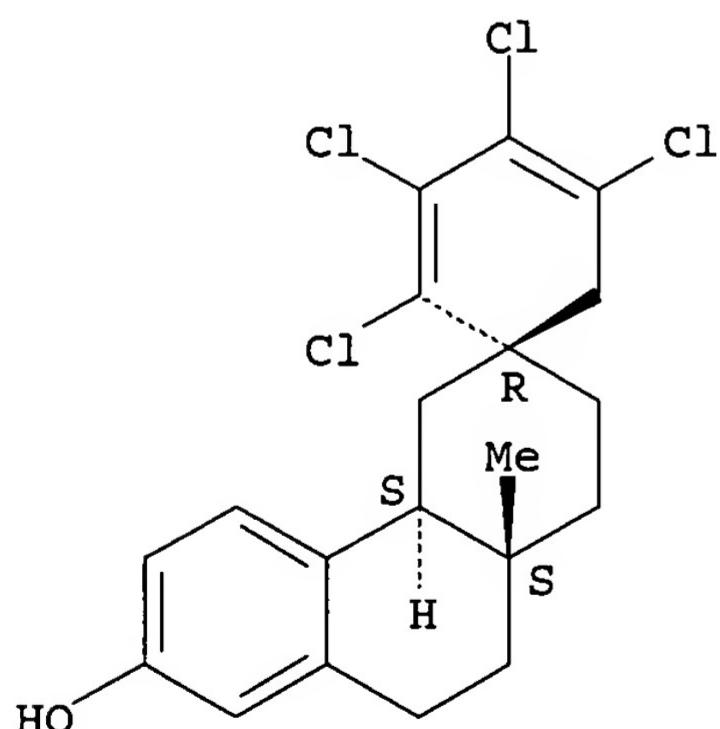
Relative stereochemistry.



RN 441331-34-6 CAPLUS

CN Spiro[2,4-cyclohexadiene-1,3' (2'H)-phenanthren]-7'-ol, 2,3,4,5-tetrachloro-1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-, (1R,4'aS,10'aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

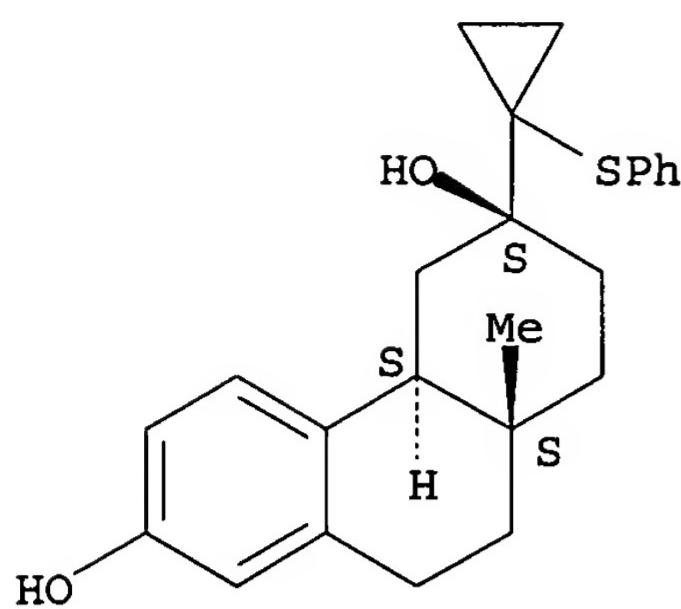


RN 441331-35-7 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-[1-(phenylthio)cyclopropyl]-, (4bR,6R,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

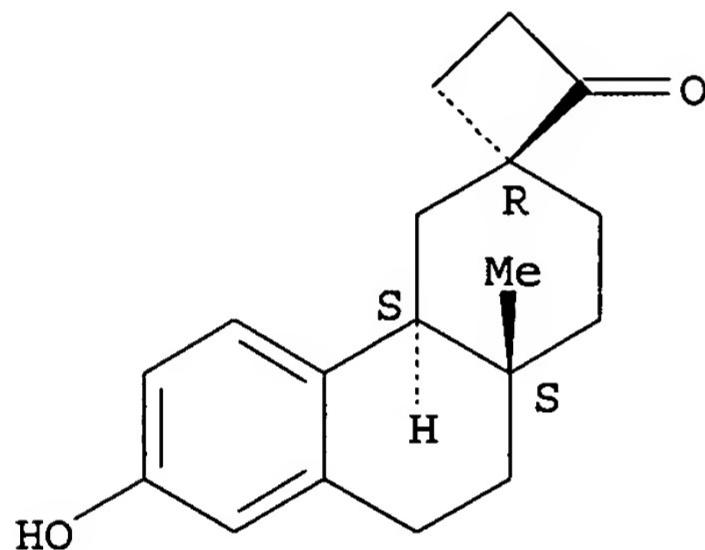
10458286



RN 441331-37-9 CAPLUS

CN Spiro[cyclobutane-1,3'(2'H)-phenanthren]-2-one, 1',4',4'a,9',10',10'a-hexahydro-7'-hydroxy-10'a-methyl-, (1R,4'aS,10'aS)-rel- (9CI) (CA INDEX NAME)

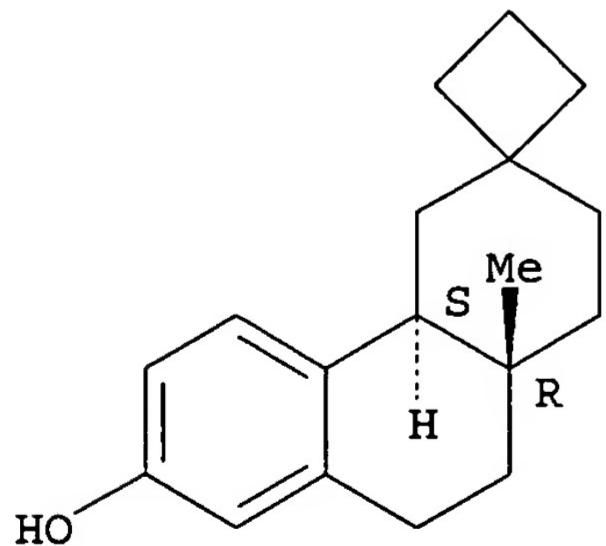
Relative stereochemistry.



RN 441331-39-1 CAPLUS

CN Spiro[cyclobutane-1,3'(2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-, (4'aR,10'aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

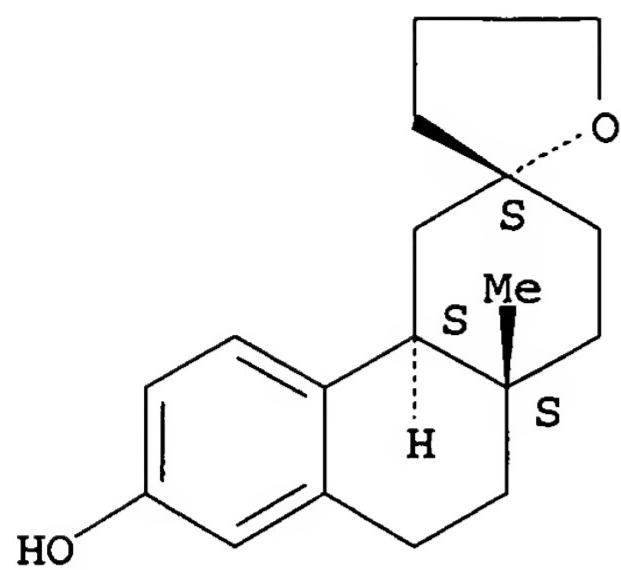


RN 441331-41-5 CAPLUS

CN Spiro[furan-2(3H),3'(2'H)-phenanthren]-7'-ol, 1',4,4',4'a,5,9',10',10'a-octahydro-10'a-methyl-, (2R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

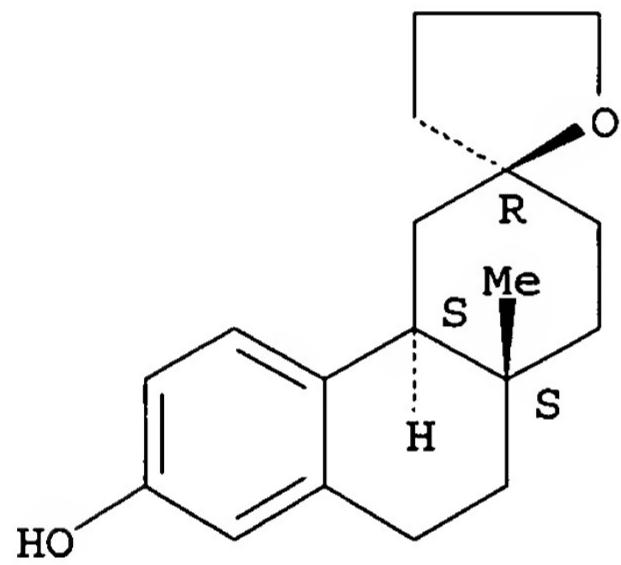
10458286



RN 441331-42-6 CAPLUS

CN Spiro[furan-2(3H),3'(2'H)-phenanthren]-7'-ol, 1',4,4',4'a,5,9',10',10'a-octahydro-10'a-methyl-, (2R,4'aS,10'aS)-rel- (9CI) (CA INDEX NAME)

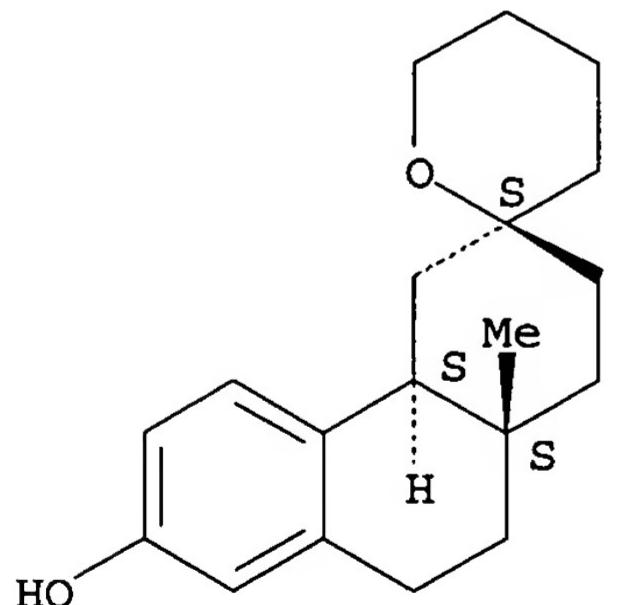
Relative stereochemistry.



RN 441331-43-7 CAPLUS

CN Spiro[phenanthrene-3(2H),2'-(2H)pyran]-7-ol, 1,3',4,4',4a,5',6',9,10,10a-decahydro-10a-methyl-, (2'R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

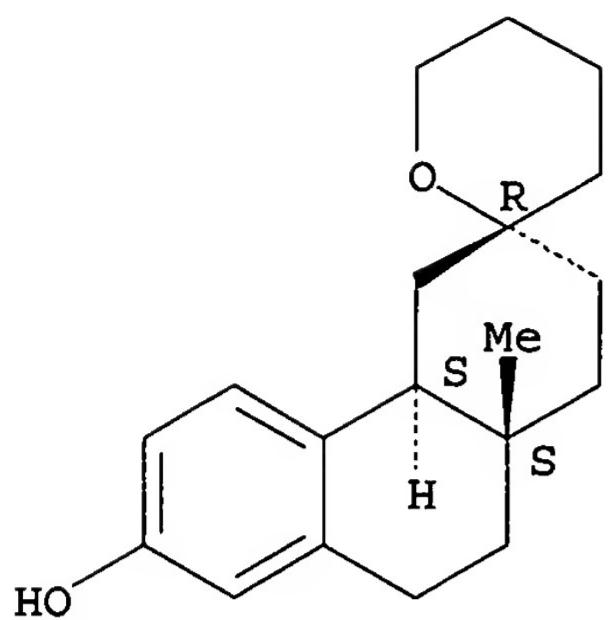


RN 441331-44-8 CAPLUS

CN Spiro[phenanthrene-3(2H),2'-(2H)pyran]-7-ol, 1,3',4,4',4a,5',6',9,10,10a-decahydro-10a-methyl-, (2'R,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

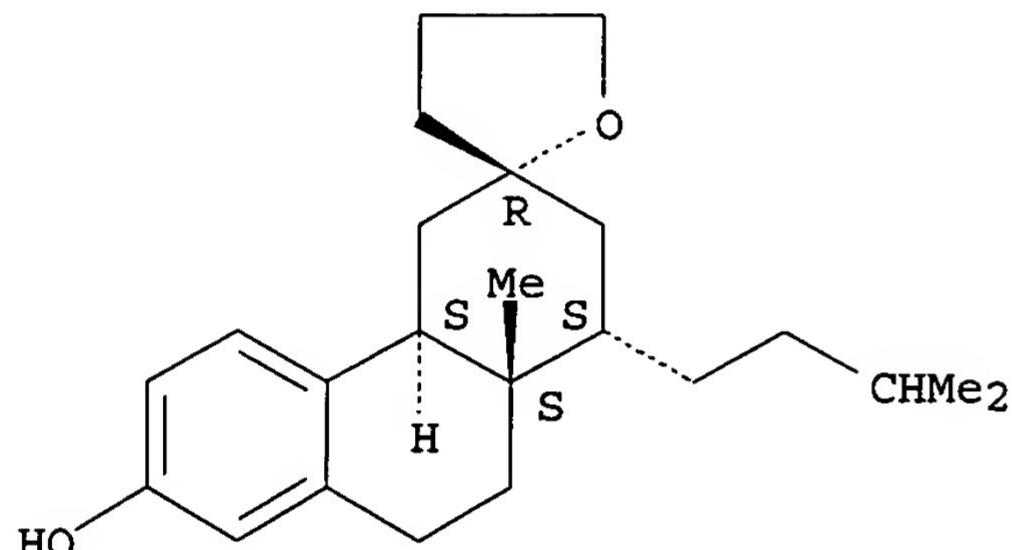
10458286



RN 441331-45-9 CAPLUS

CN Spiro[furan-2(3H),3'(2'H)-phenanthren]-7'-ol, 1',4,4',4'a,5,9',10',10'a-octahydro-10'a-methyl-1'-(3-methylbutyl)-, (1'R,2S,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

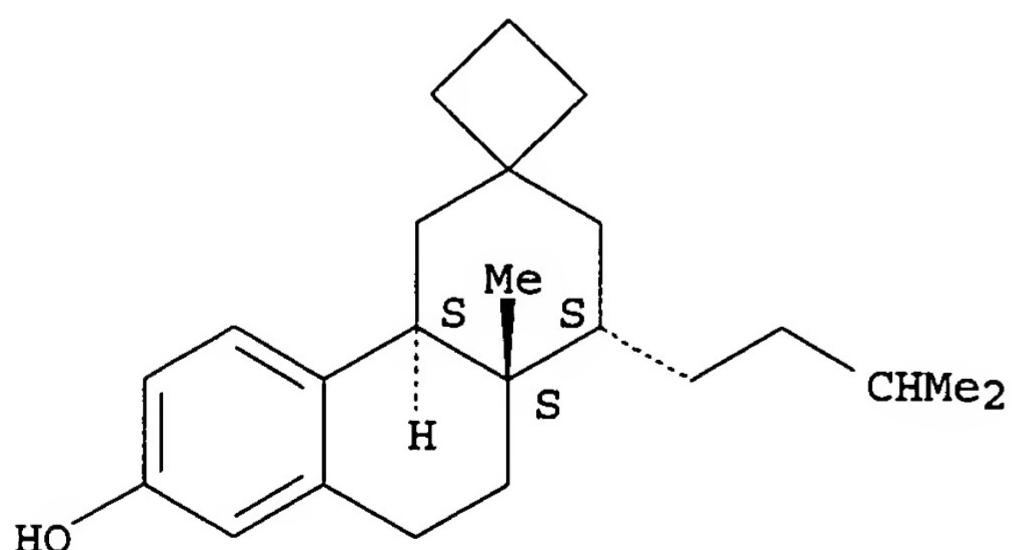
Relative stereochemistry.



RN 441331-46-0 CAPLUS

CN Spiro[cyclobutane-1,3'(2'H)-phenanthren]-7'-ol, 1',4',4'a,9',10',10'a-hexahydro-10'a-methyl-1'-(3-methylbutyl)-, (1'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

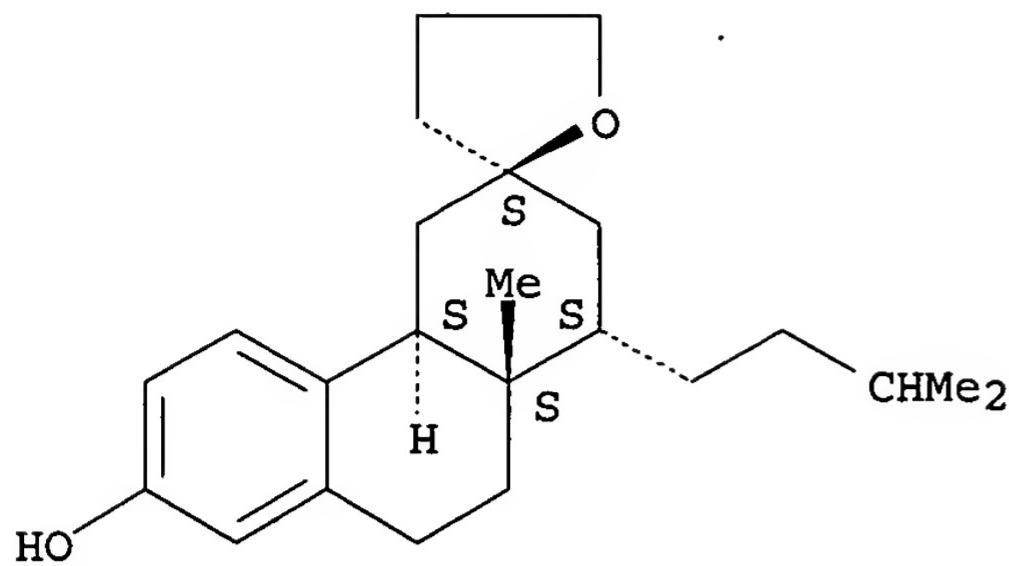


RN 441331-48-2 CAPLUS

CN Spiro[furan-2(3H),3'(2'H)-phenanthren]-7'-ol, 1',4,4',4'a,5,9',10',10'a-octahydro-10'a-methyl-1'-(3-methylbutyl)-, (1'R,2R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

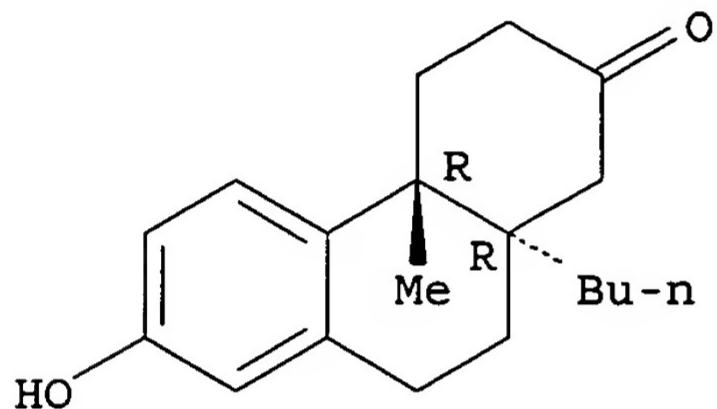
10458286



RN 441331-49-3 CAPLUS

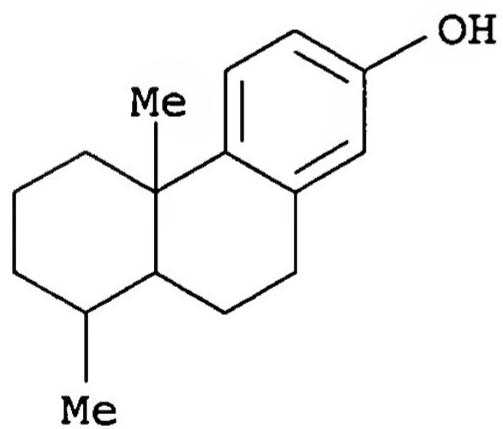
CN 2 (1H)-Phenanthrenone, 10a-butyl-3,4,4a,9,10,10a-hexahydro-7-hydroxy-4a-methyl-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441331-55-1 CAPLUS

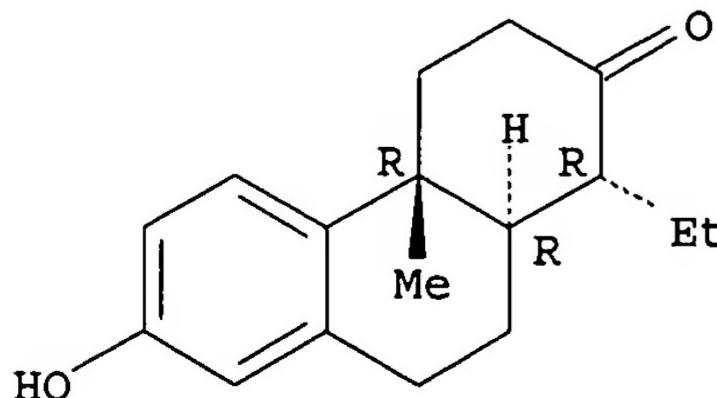
CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-4b,8-dimethyl- (9CI) (CA INDEX NAME)



RN 441331-62-0 CAPLUS

CN 2 (1H)-Phenanthrenone, 1-ethyl-3,4,4a,9,10,10a-hexahydro-7-hydroxy-4a-methyl-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



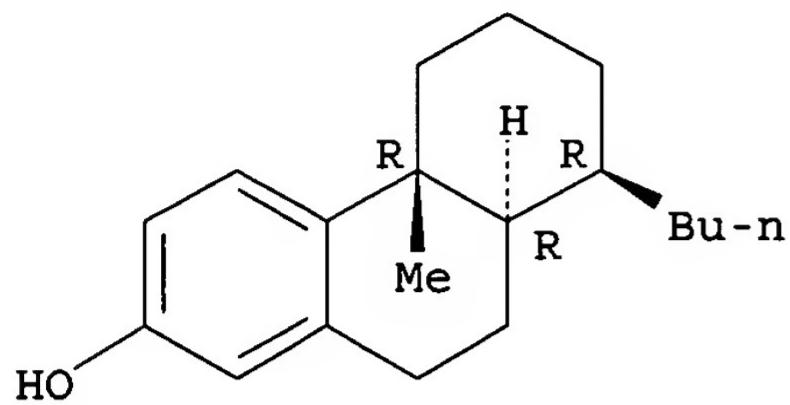
RN 441331-65-3 CAPLUS

CN 2-Phenanthrenol, 8-butyl-4b,5,6,7,8,8a,9,10-octahydro-4b-methyl-,

10458286

(4bR,8R,8aR)-rel- (9CI) (CA INDEX NAME)

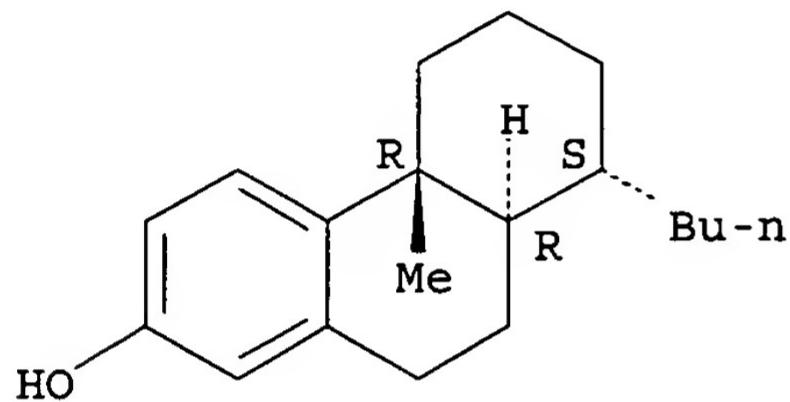
Relative stereochemistry.



RN 441331-66-4 CAPLUS

CN 2-Phenanthrenol, 8-butyl-4b,5,6,7,8,8a,9,10-octahydro-4b-methyl-,  
(4bR,8S,8aR)-rel- (9CI) (CA INDEX NAME)

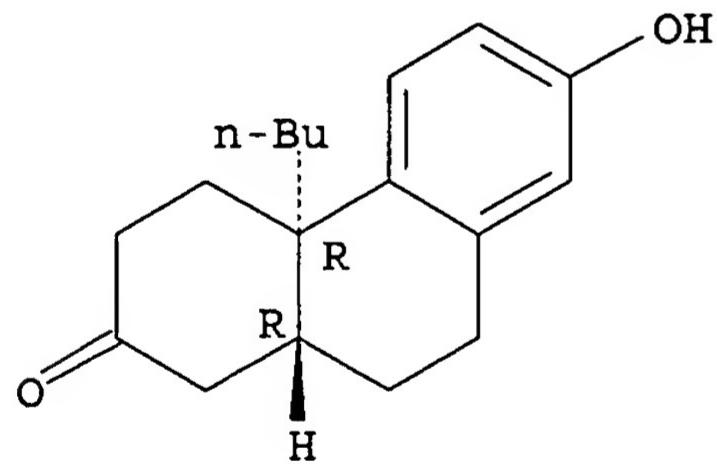
Relative stereochemistry.



RN 441331-69-7 CAPLUS

CN 2(1H)-Phenanthrenone, 4a-butyl-3,4,4a,9,10,10a-hexahydro-7-hydroxy-,  
(4aR,10aR)-rel- (9CI) (CA INDEX NAME)

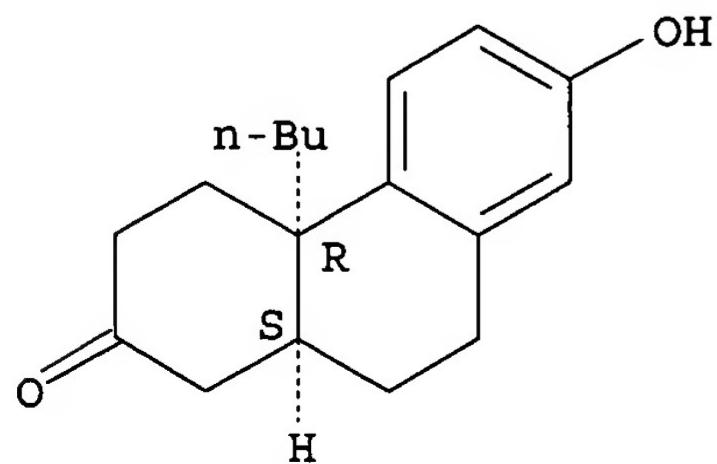
Relative stereochemistry.



RN 441331-70-0 CAPLUS

CN 2(1H)-Phenanthrenone, 4a-butyl-3,4,4a,9,10,10a-hexahydro-7-hydroxy-,  
(4aR,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

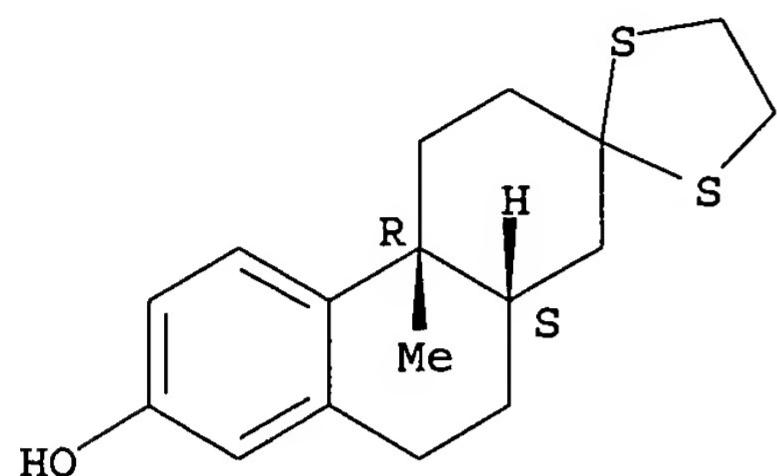


10458286

RN 441331-72-2 CAPLUS

CN Spiro[1,3-dithiolane-2,2' (1'H)-phenanthren]-7'-ol, 3',4',4'a,9',10',10'a-hexahydro-4'a-methyl-, (4'aR,10'aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

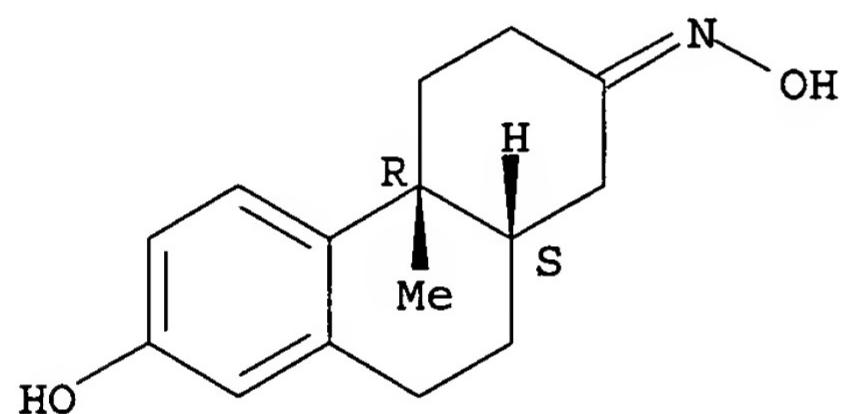


RN 441331-73-3 CAPLUS

CN 2(1H)-Phenanthrenone, 3,4,4a,9,10,10a-hexahydro-7-hydroxy-4a-methyl-, oxime, (4aR,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

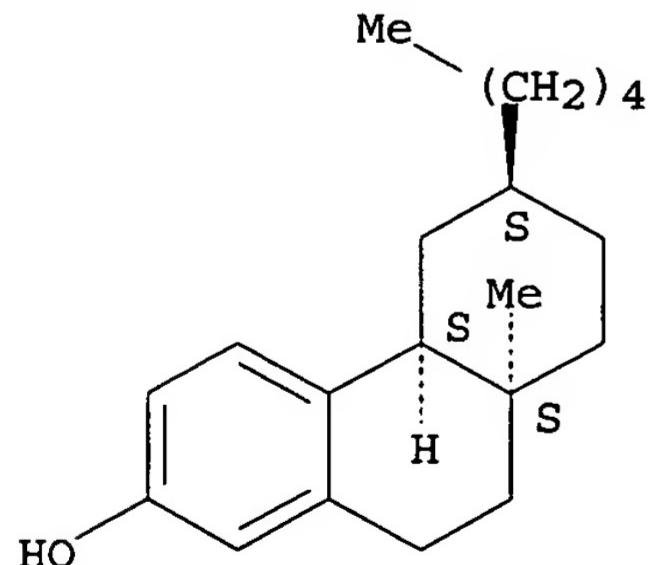
Double bond geometry unknown.



RN 441331-74-4 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-pentyl-, (4bR,6R,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

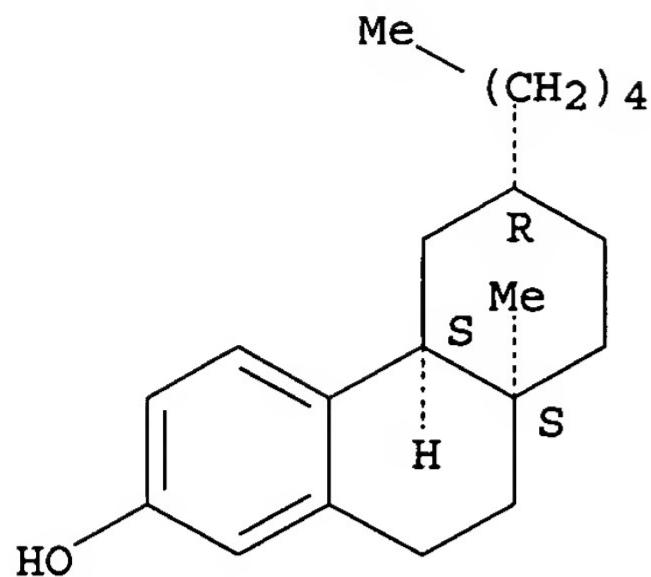


RN 441331-75-5 CAPLUS

CN 2-Phenanthrenol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-pentyl-, (4bR,6S,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

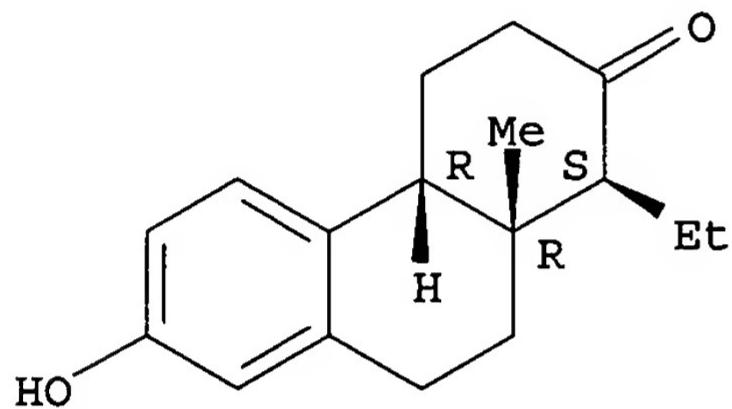
10458286



RN 441331-76-6 CAPLUS

CN 2(1H)-Phenanthrenone, 1-ethyl-3,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-, (1R,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

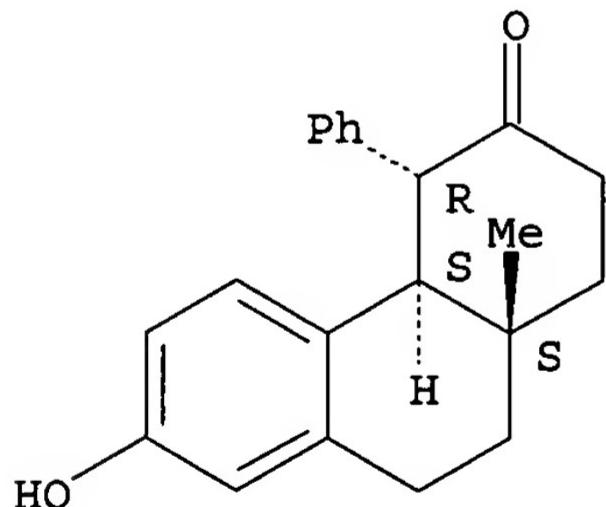
Relative stereochemistry.



RN 441348-21-6 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-4-phenyl-, (4R,4aS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



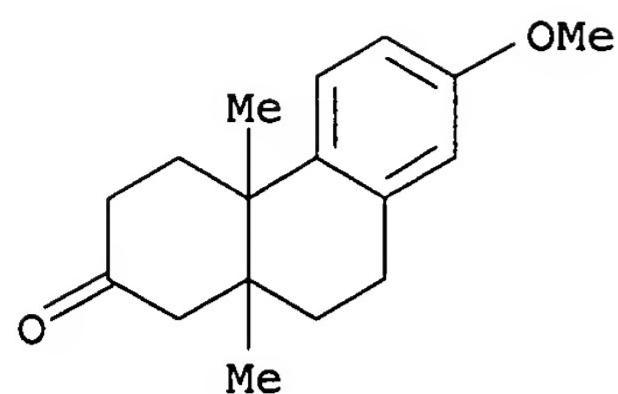
IT 441332-20-3 441332-23-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of phenanthrenes for therapeutic use as selective estrogen receptor modulators)

RN 441332-20-3 CAPLUS

CN 2(1H)-Phenanthrenone, 3,4,4a,9,10,10a-hexahydro-7-methoxy-4a,10a-dimethyl- (9CI) (CA INDEX NAME)

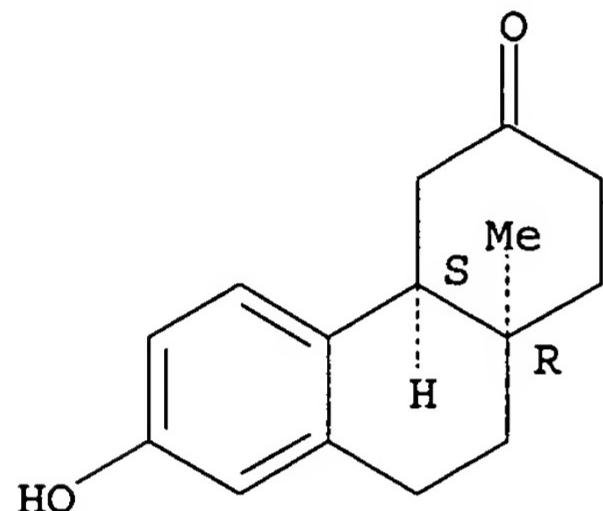
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RN 441332-23-6 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-10a-methyl-, (4aR,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 441331-50-6P 441331-83-5P 441331-84-6P

441331-86-8P 441331-96-0P 441331-97-1P

441331-98-2P 441332-10-1P 441332-12-3P

441332-14-5P 441332-18-9P 441332-19-0P

441332-24-7P 441332-25-8P 441332-26-9P

441332-27-0P 441332-31-6P 441332-32-7P

441332-34-9P 441332-35-0P 441332-36-1P

441332-37-2P 441332-38-3P 441332-39-4P

441332-40-7P 441332-41-8P 441332-42-9P

441332-43-0P 441332-44-1P 441332-45-2P

441332-46-3P 441332-47-4P 441332-48-5P

441332-49-6P 441348-22-7P 441348-23-8P

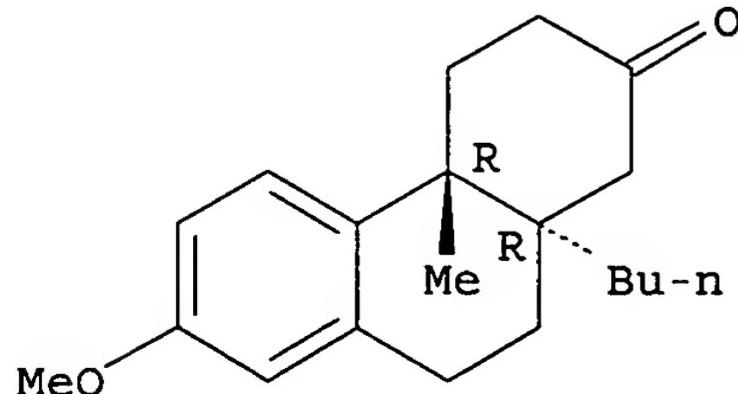
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenanthrenes for therapeutic use as selective estrogen receptor modulators)

RN 441331-50-6 CAPLUS

CN 2(1H)-Phenanthrenone, 10a-butyl-3,4,4a,9,10,10a-hexahydro-7-methoxy-4a-methyl-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



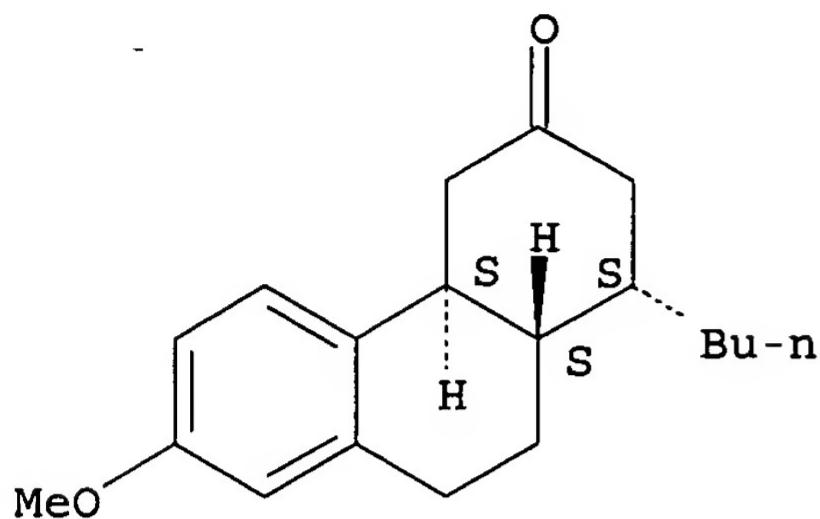
RN 441331-83-5 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-methoxy-,

10458286

(1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

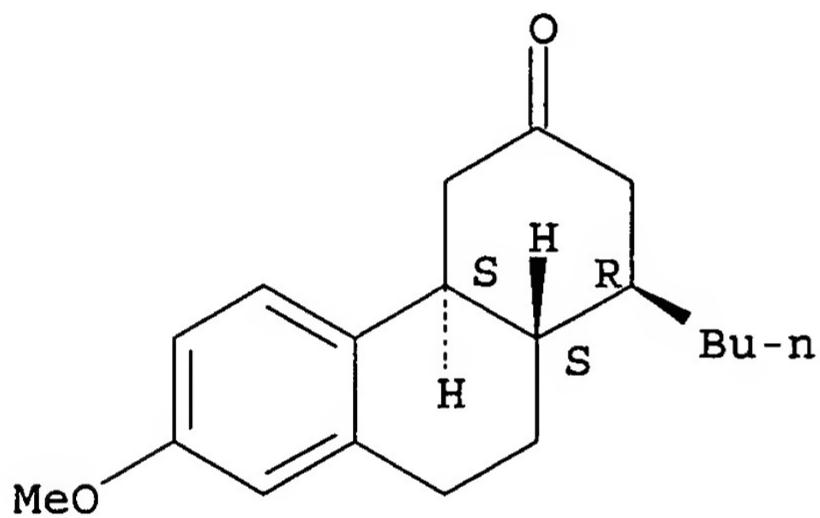
Relative stereochemistry.



RN 441331-84-6 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-methoxy-,  
(1R,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

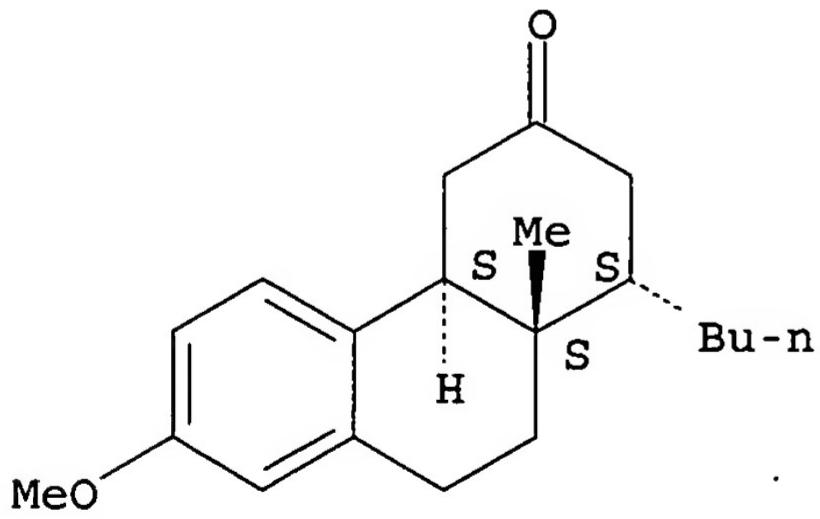
Relative stereochemistry.



RN 441331-86-8 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-methoxy-10a-methyl-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

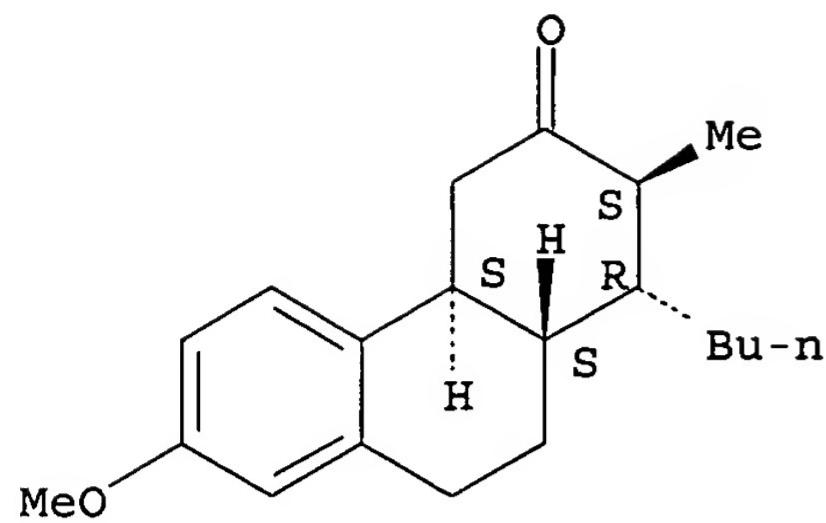


RN 441331-96-0 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-methoxy-2-methyl-, (1R,2S,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

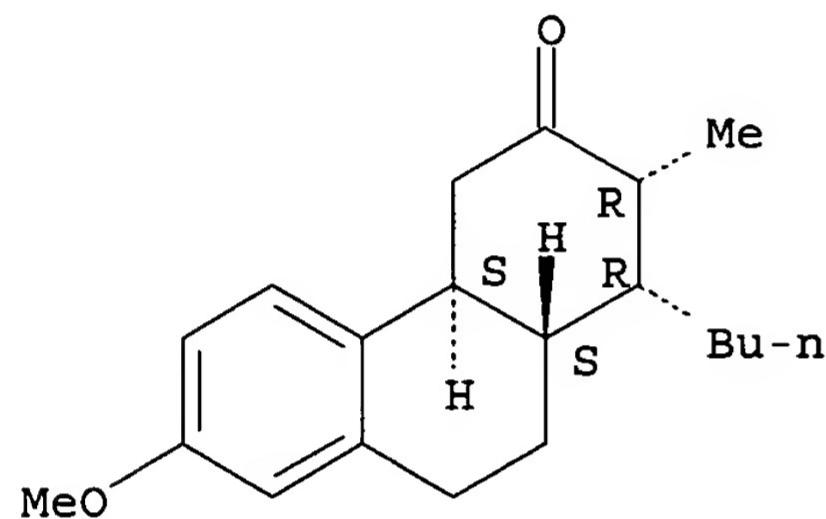
10458286



RN 441331-97-1 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-methoxy-2-methyl-, (1R,2R,4aS,10aS)-rel- (9CI) (CA INDEX NAME)

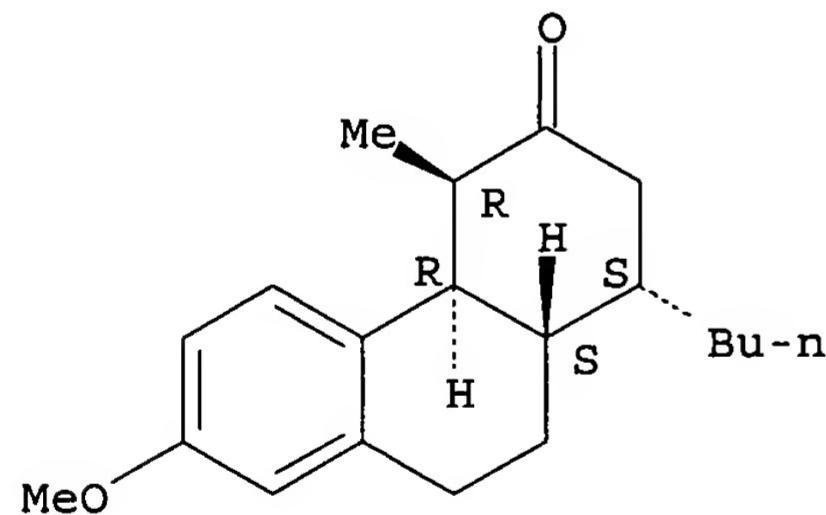
Relative stereochemistry.



RN 441331-98-2 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-7-methoxy-4-methyl-, (1R,4S,4aS,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

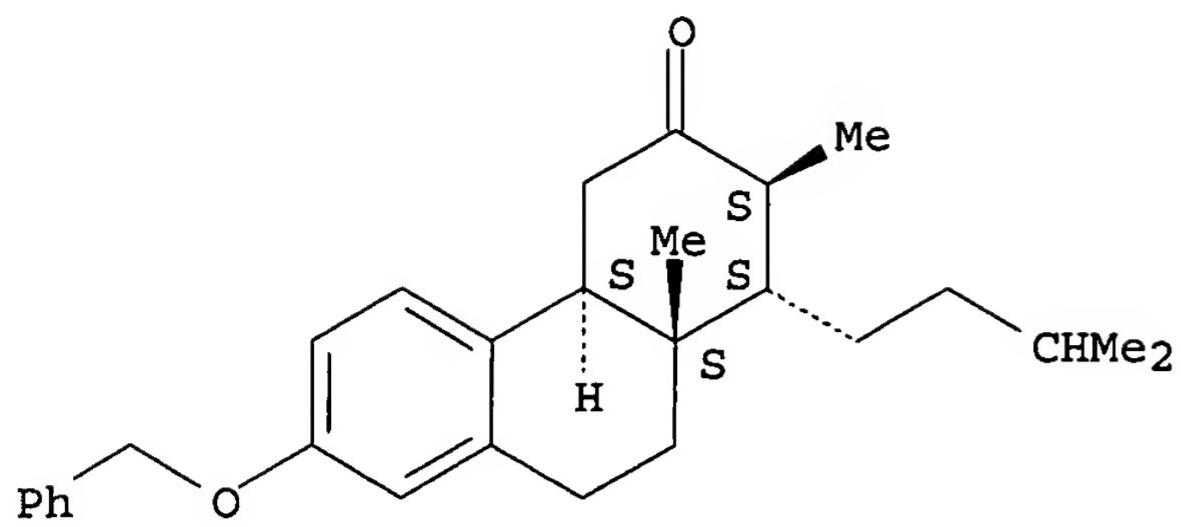


RN 441332-10-1 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-2,10a-dimethyl-1-(3-methylbutyl)-7-(phenylmethoxy)-, (1R,2R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

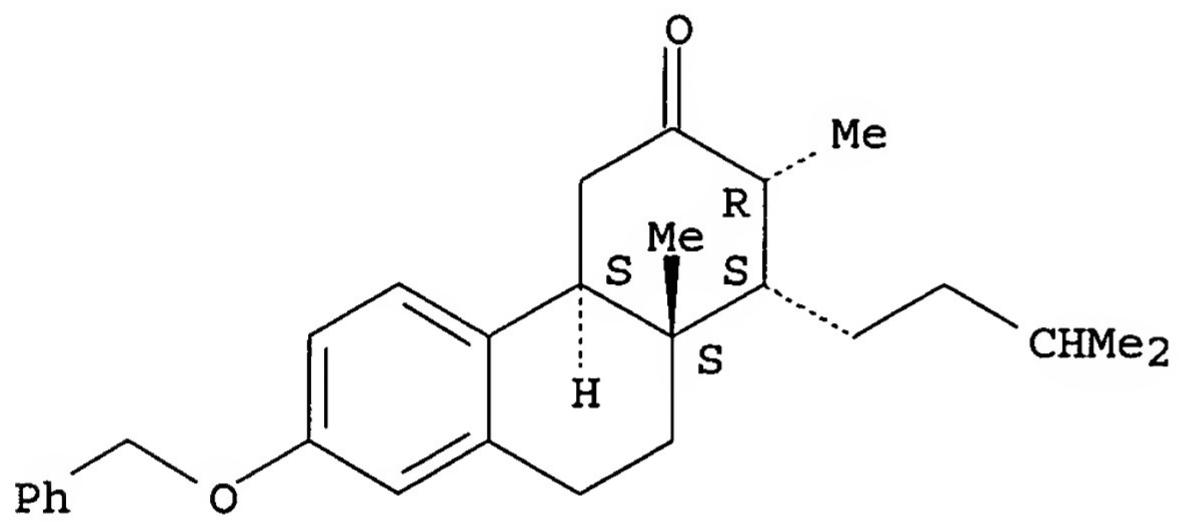
10458286



RN 441332-12-3 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-2,10a-dimethyl-1-(3-methylbutyl)-7-(phenylmethoxy)-, (1R,2S,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

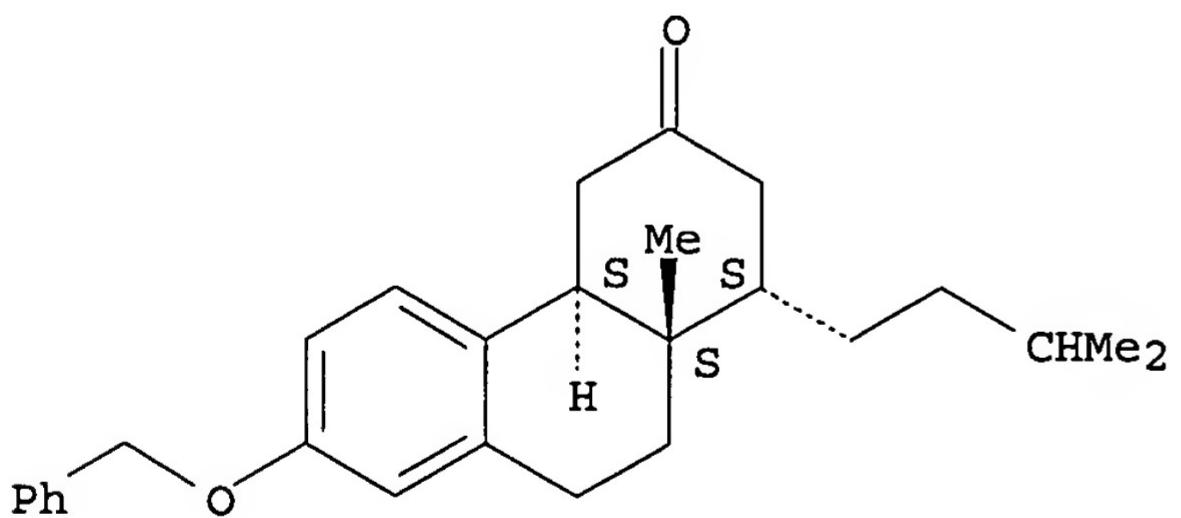
Relative stereochemistry.



RN 441332-14-5 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-10a-methyl-1-(3-methylbutyl)-7-(phenylmethoxy)-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

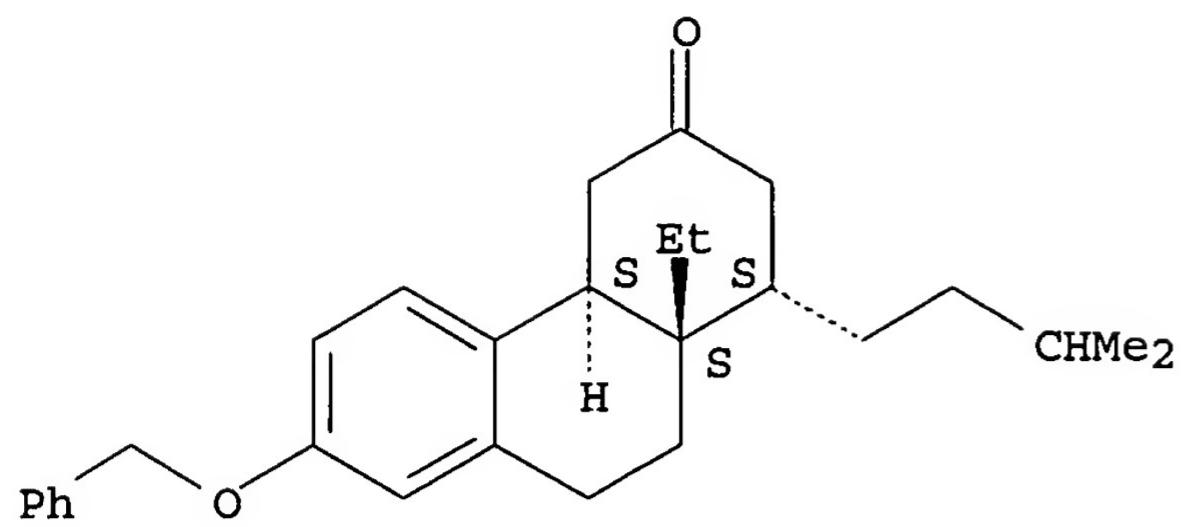


RN 441332-18-9 CAPLUS

CN 3(2H)-Phenanthrenone, 10a-ethyl-1,4,4a,9,10,10a-hexahydro-1-(3-methylbutyl)-7-(phenylmethoxy)-, (1R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

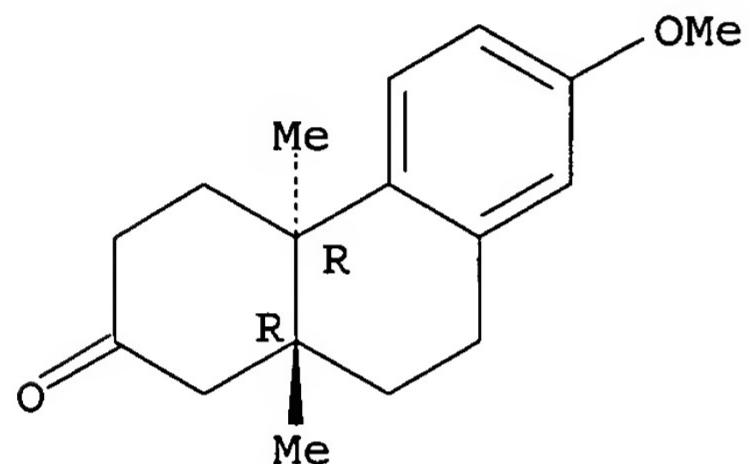
10458286



RN 441332-19-0 CAPLUS

CN 2(1H)-Phenanthrenone, 3,4,4a,9,10,10a-hexahydro-7-methoxy-4a,10a-dimethyl-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

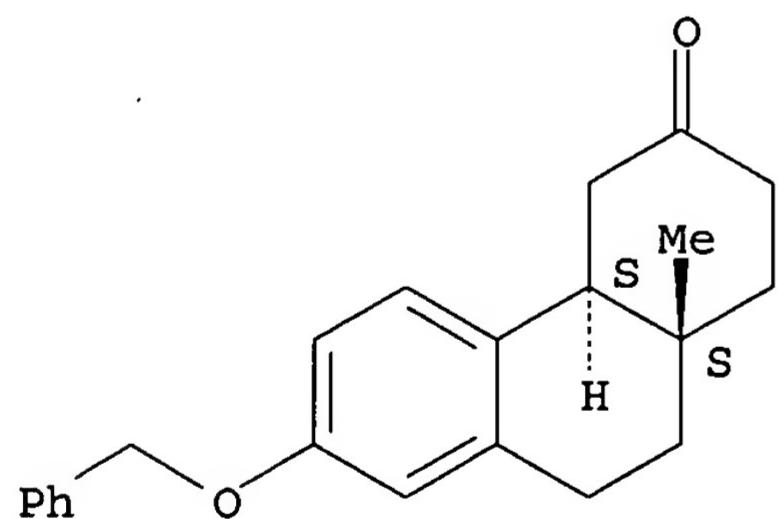
Relative stereochemistry.



RN 441332-24-7 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-10a-methyl-7-(phenylmethoxy)-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

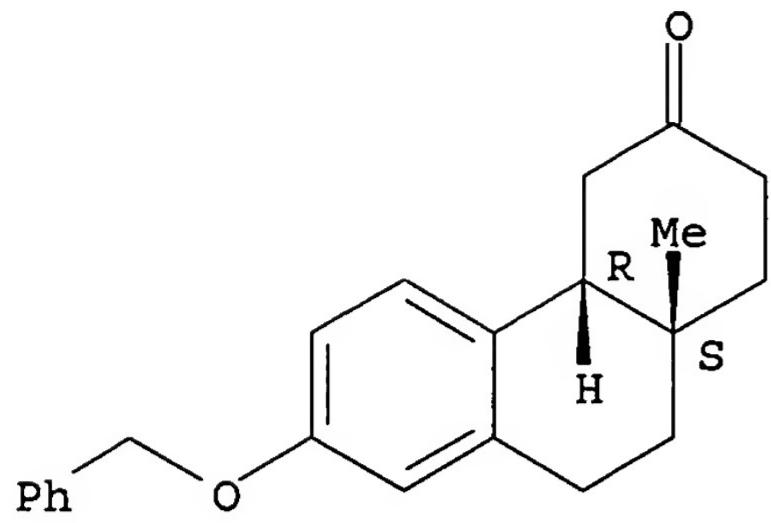


RN 441332-25-8 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-10a-methyl-7-(phenylmethoxy)-, (4aR,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

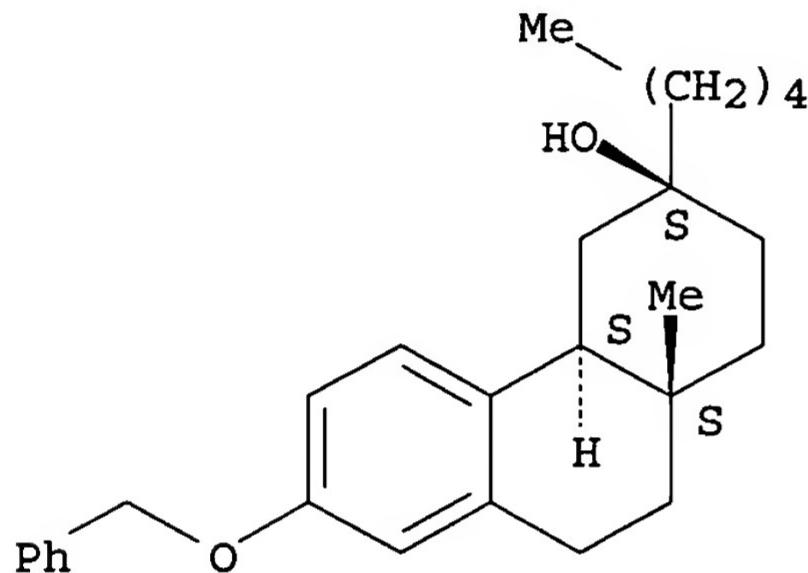
10458286



RN 441332-26-9 CAPLUS

CN 3-Phenanthrenol, 1,2,3,4,4a,9,10,10a-octahydro-10a-methyl-3-pentyl-7-(phenylmethoxy)-, (3R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

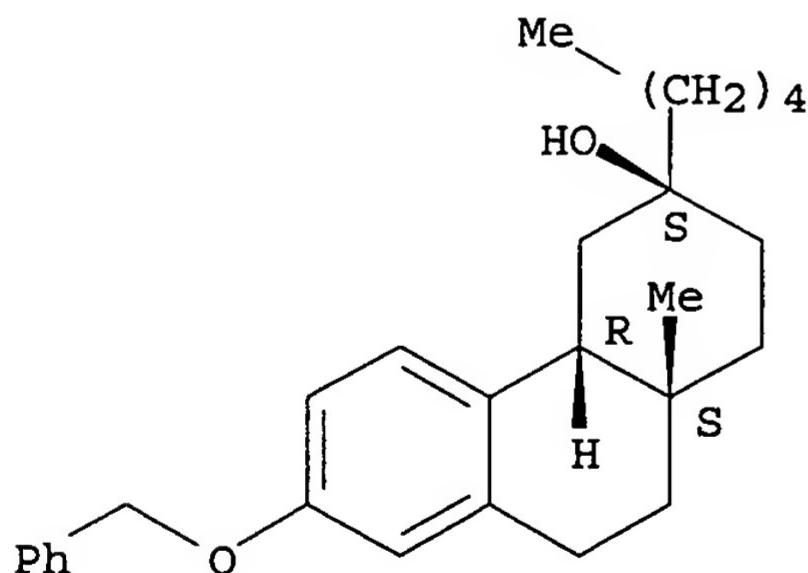
Relative stereochemistry.



RN 441332-27-0 CAPLUS

CN 3-Phenanthrenol, 1,2,3,4,4a,9,10,10a-octahydro-10a-methyl-3-pentyl-7-(phenylmethoxy)-, (3R,4aS,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

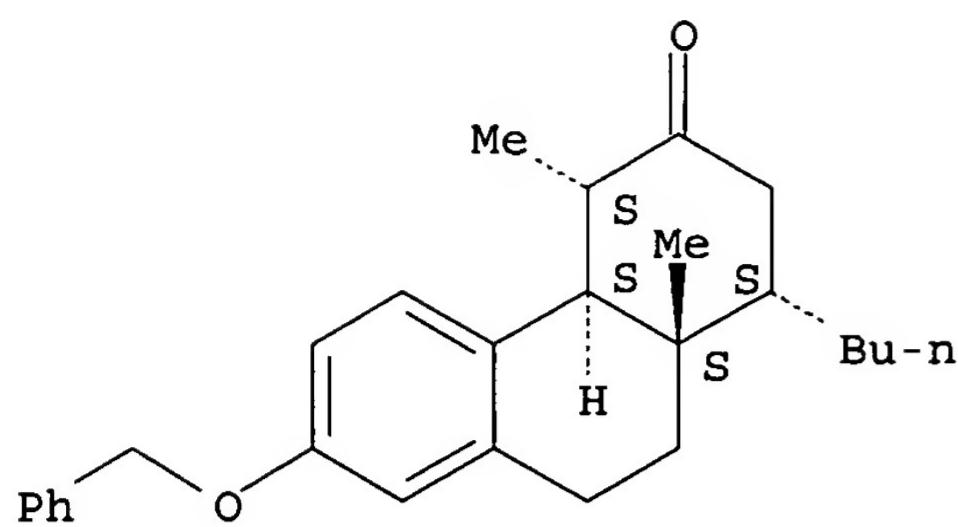


RN 441332-31-6 CAPLUS

CN 3(2H)-Phenanthrenone, 1-butyl-1,4,4a,9,10,10a-hexahydro-4,10a-dimethyl-7-(phenylmethoxy)-, (1R,4R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

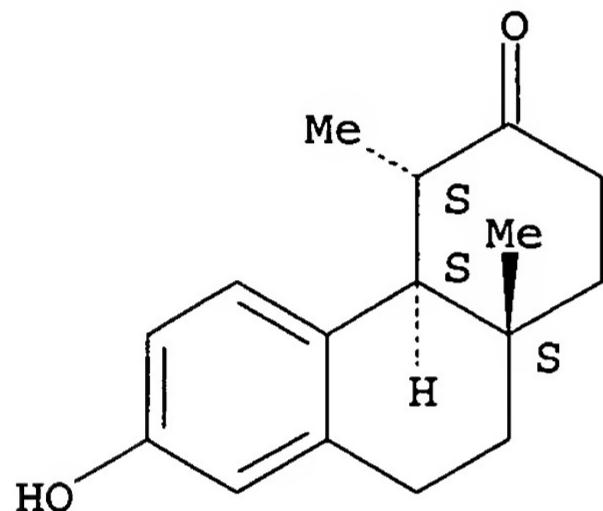
10458286



RN 441332-32-7 CAPLUS

CN 3 (2H) -Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-7-hydroxy-4,10a-dimethyl-,  
(4R,4aR,10aR)-rel- (9CI) (CA INDEX NAME)

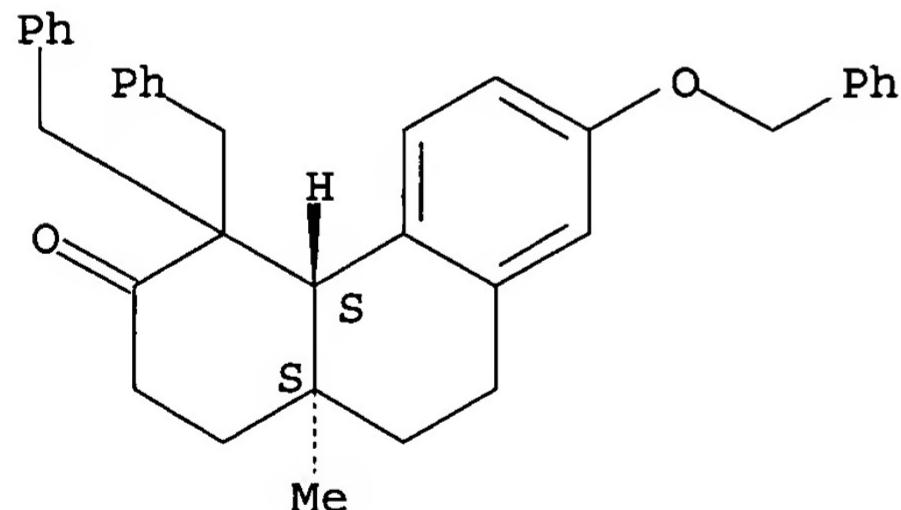
## Relative stereochemistry.



RN 441332-34-9 CAPLUS

CN 3 (2H) -Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-10a-methyl-7-(phenylmethoxy)-4,4-bis(phenylmethyl)-, (4aR,10aR)-rel- (9CI) (CA INDEX NAME)

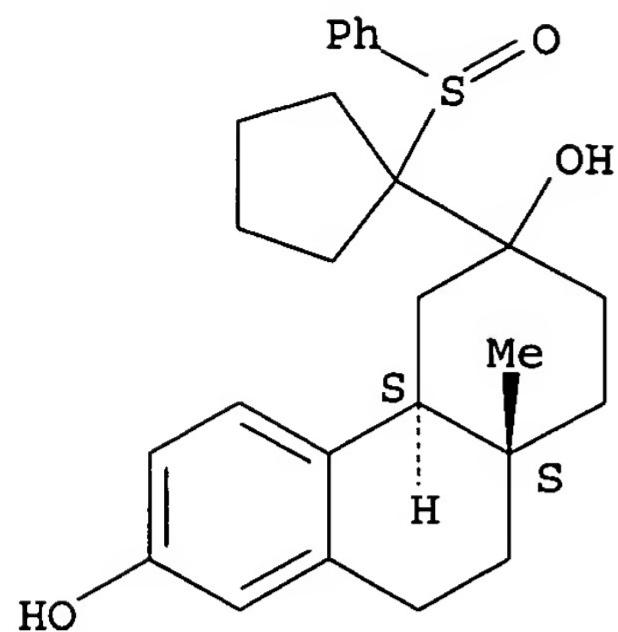
## Relative stereochemistry.



RN 441332-35-0 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-[1-(phenylsulfinyl)cyclopentyl]-, (4bR,8aR)-rel- (9CI) (CA INDEX NAME)

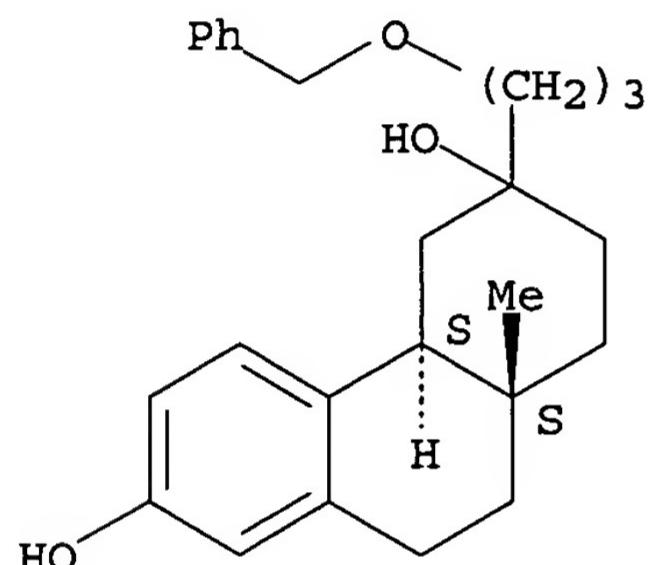
10458286



RN 441332-36-1 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-[3-(phenylmethoxy)propyl]-, (4bR,8aR)-rel- (9CI) (CA INDEX NAME)

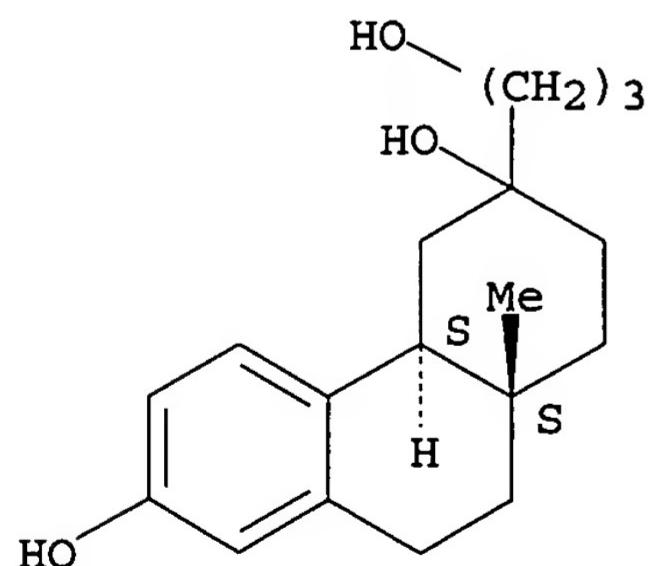
Relative stereochemistry.



RN 441332-37-2 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-6-(3-hydroxypropyl)-8a-methyl-, (4bR,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

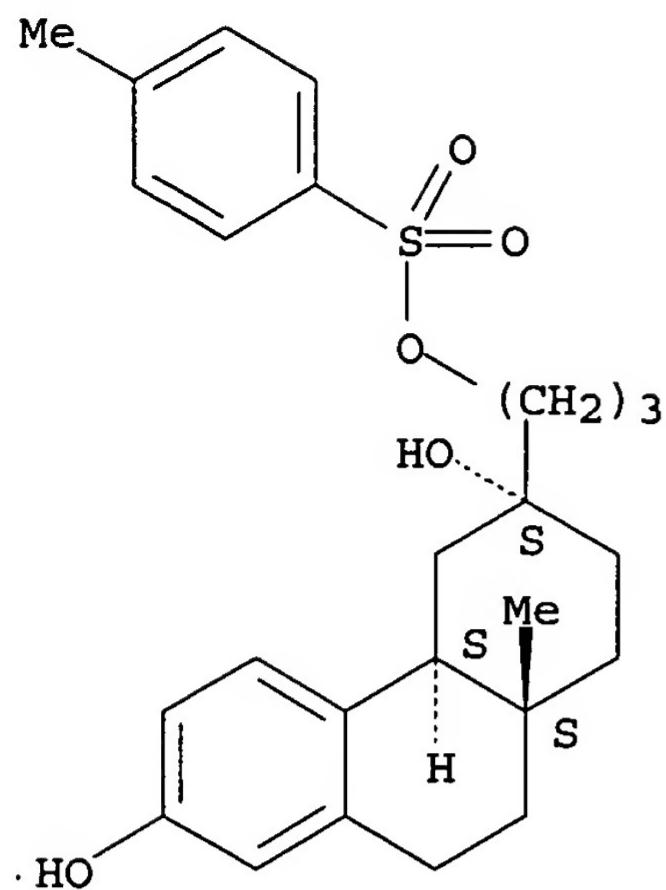


RN 441332-38-3 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-[3-[(4-methylphenyl)sulfonyl]oxy]propyl-, (4bR,6R,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

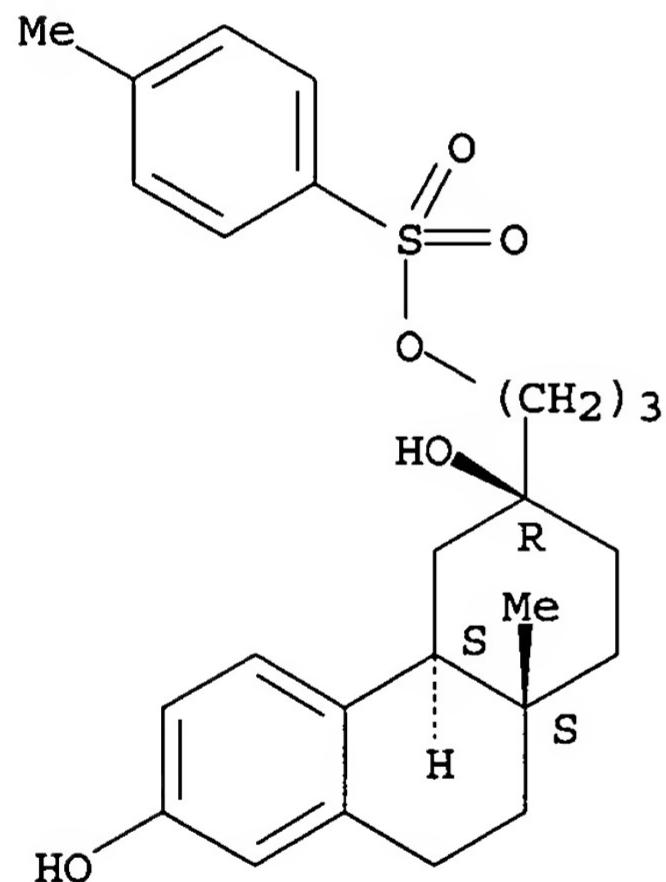
10458286



RN 441332-39-4 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-[3-[(4-methylphenyl)sulfonyl]oxy]propyl]-, (4bR,6S,8aR)-rel- (9CI) (CA INDEX NAME)

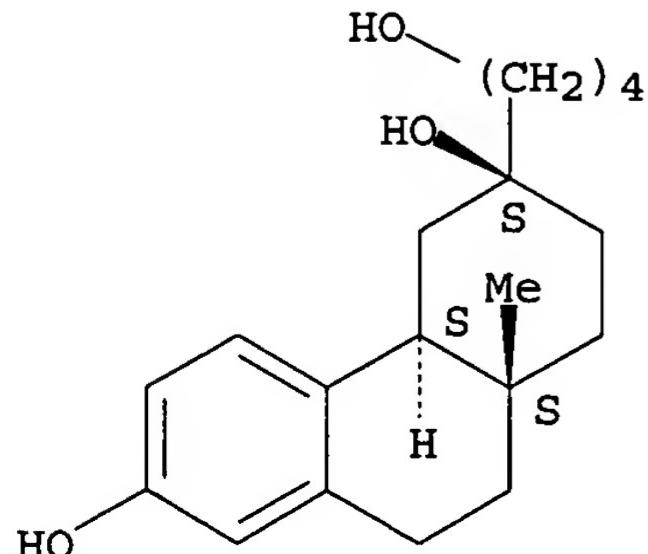
Relative stereochemistry.



RN 441332-40-7 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-6-(4-hydroxybutyl)-8a-methyl-, (4bR,6R,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

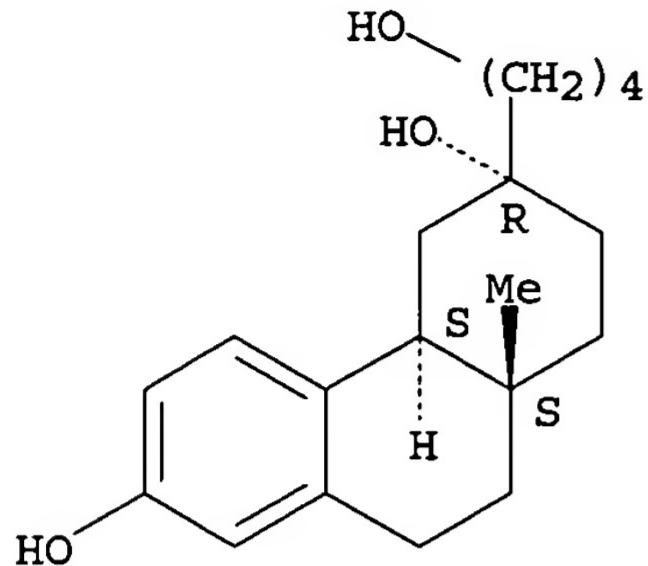


10458286

RN 441332-41-8 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-6-(4-hydroxybutyl)-8a-methyl-, (4bR,6S,8aR)-rel- (9CI) (CA INDEX NAME)

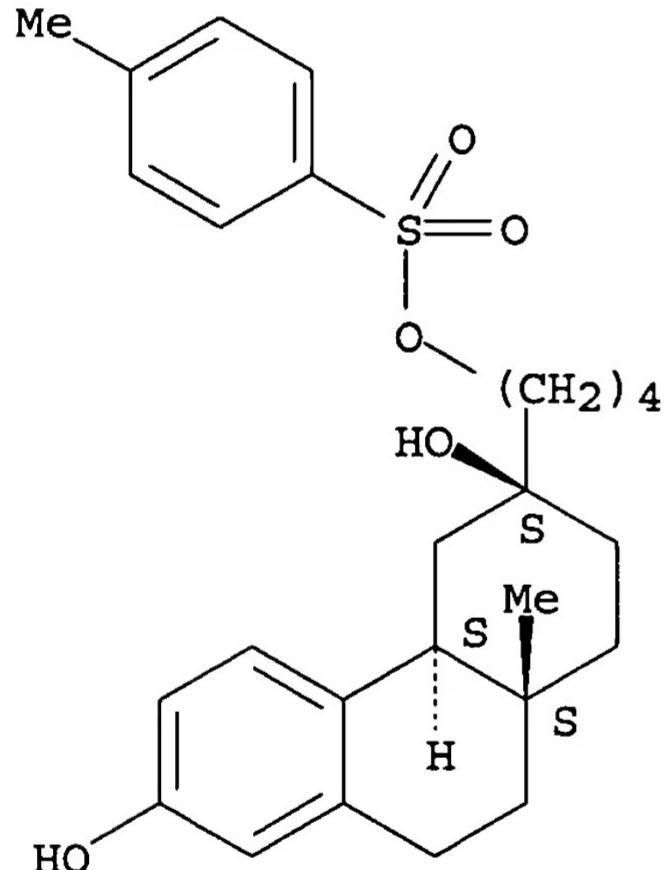
Relative stereochemistry.



RN 441332-42-9 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-[4-[(4-methylphenyl)sulfonyl]oxy]butyl-, (4bR,6R,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

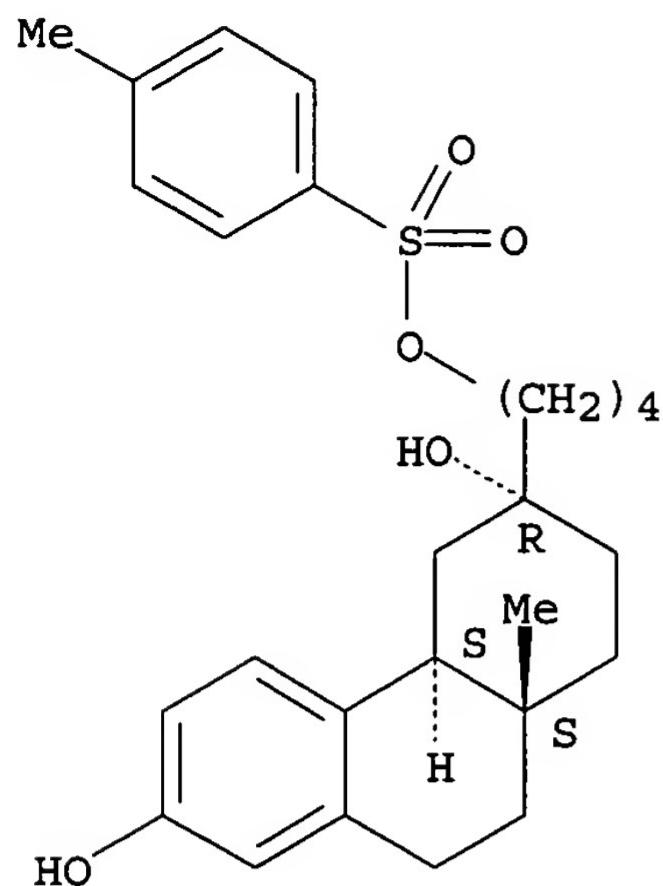


RN 441332-43-0 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-6-[4-[(4-methylphenyl)sulfonyl]oxy]butyl-, (4bR,6S,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

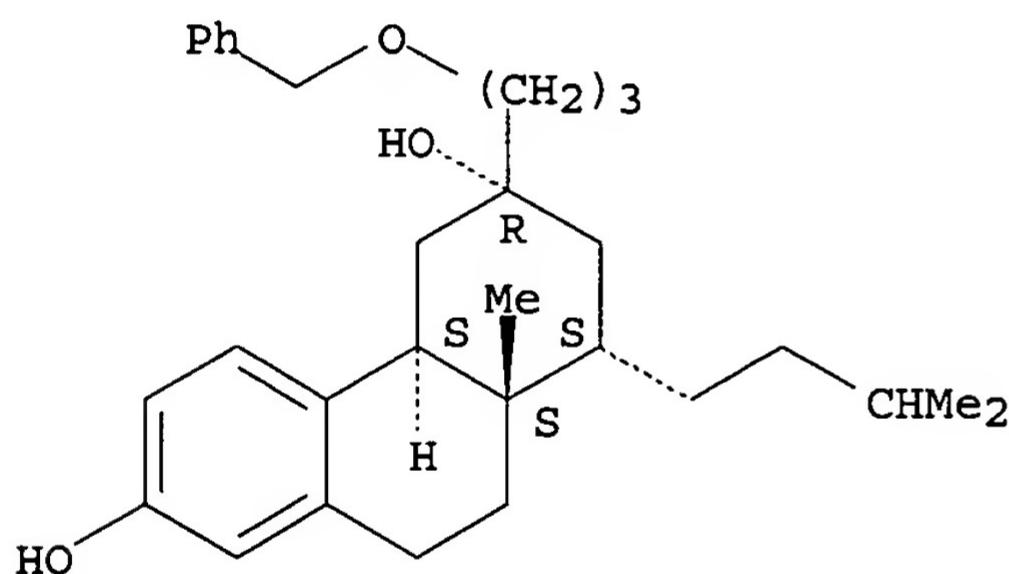
10458286



RN 441332-44-1 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-8-(3-methylbutyl)-6-[3-(phenylmethoxy)propyl]-, (4bR,6S,8R,8aR)-rel- (9CI) (CA INDEX NAME)

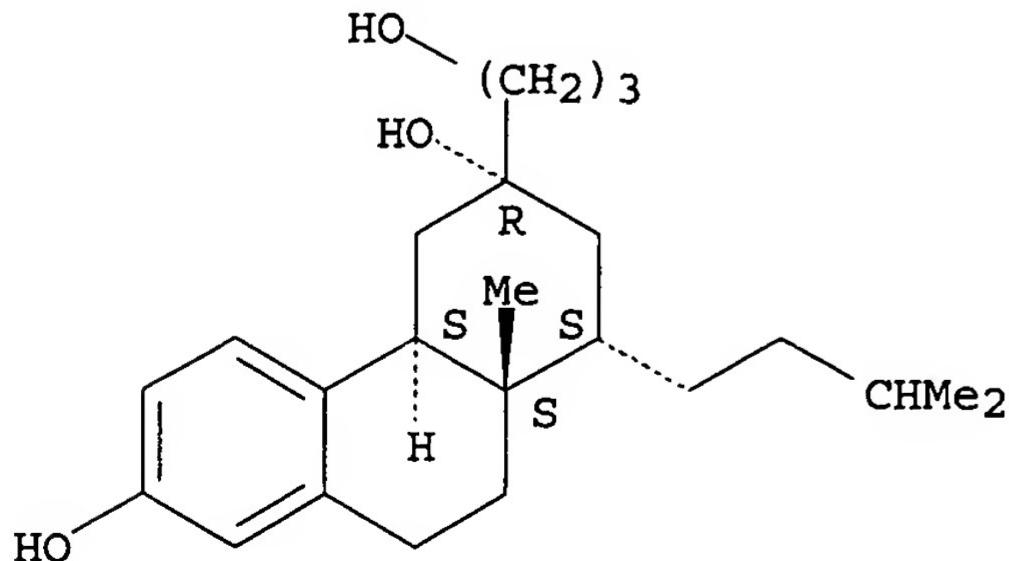
Relative stereochemistry.



RN 441332-45-2 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-6-(3-hydroxypropyl)-8a-methyl-8-(3-methylbutyl)-, (4bR,6S,8R,8aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

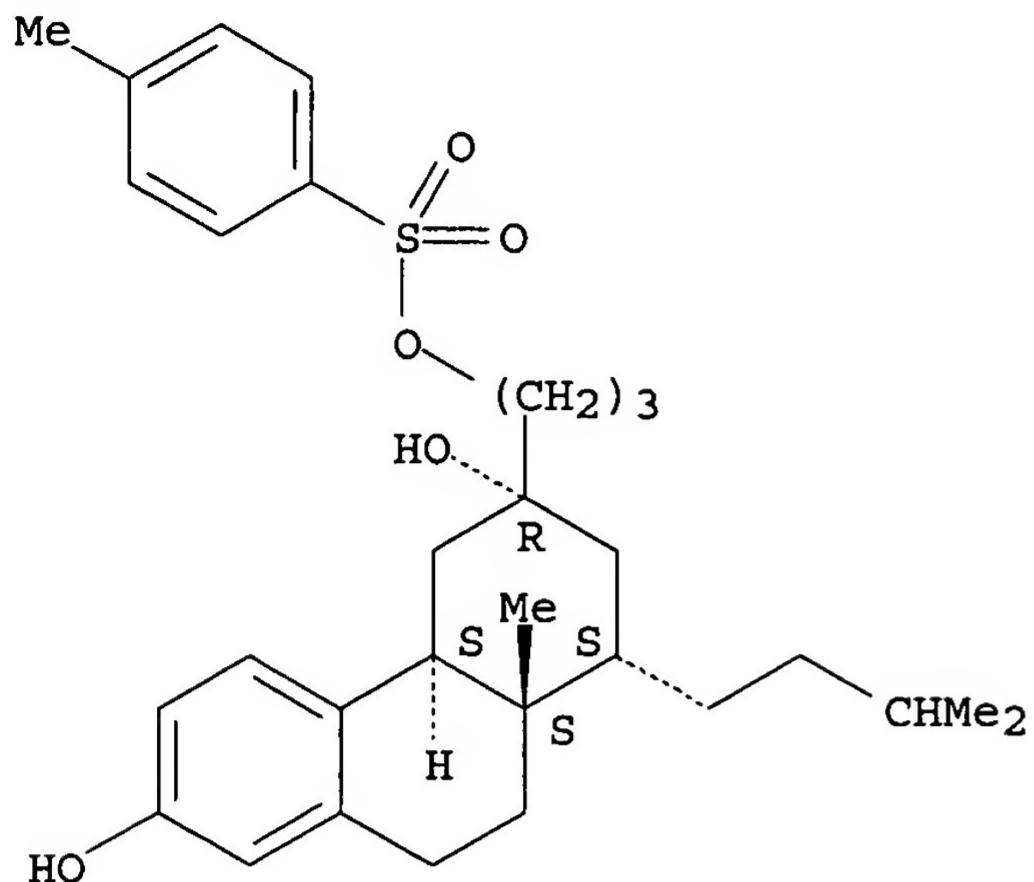


RN 441332-46-3 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-8-(3-methylbutyl)-6-[3-[(4-methylphenyl)sulfonyl]oxy]propyl-, (4bR,6S,8R,8aR)-rel- (9CI) (CA INDEX NAME)

10458286

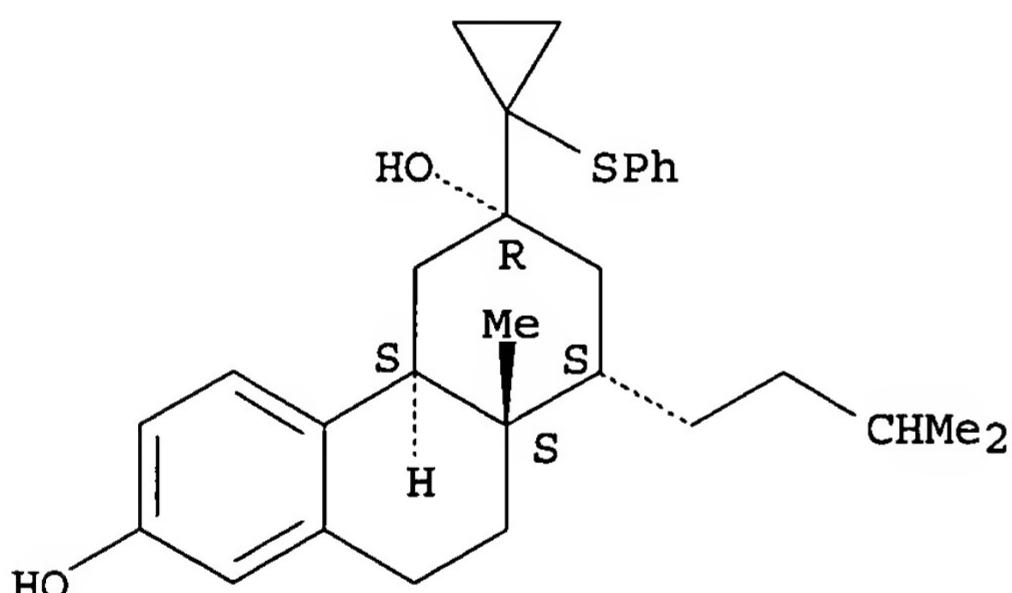
Relative stereochemistry.



RN 441332-47-4 CAPLUS

CN 2,6-Phenanthrenediol, 4b,5,6,7,8,8a,9,10-octahydro-8a-methyl-8-(3-methylbutyl)-6-[1-(phenylthio)cyclopropyl]-, (4bR,6S,8R,8aR)-rel- (9CI)  
(CA INDEX NAME)

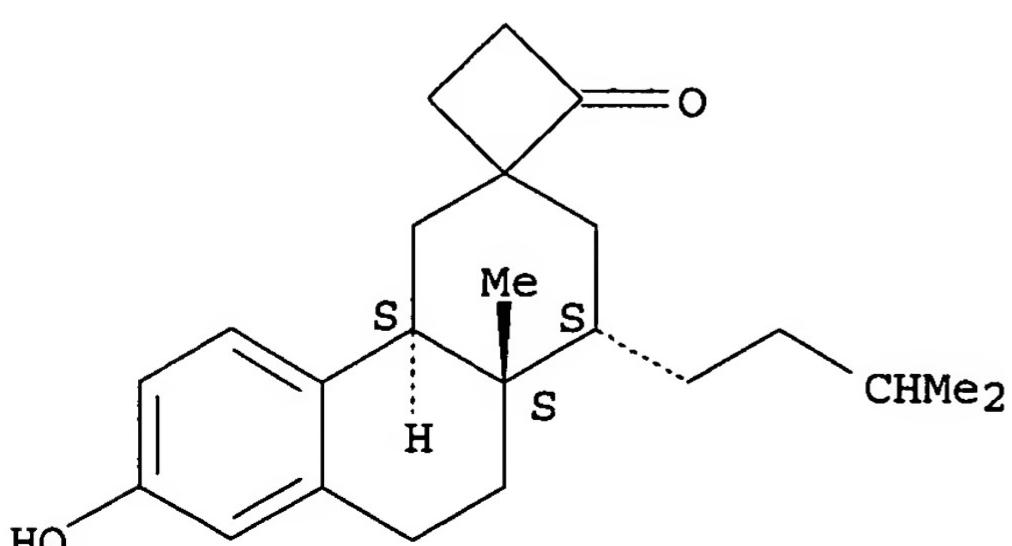
Relative stereochemistry.



RN 441332-48-5 CAPLUS

CN Spiro[cyclobutane-1,3'(2'H)-phenanthren]-2-one, 1',4',4'a,9',10',10'a-hexahydro-7'-hydroxy-10'a-methyl-1'-(3-methylbutyl)-, (1'R,4'aR,10'aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

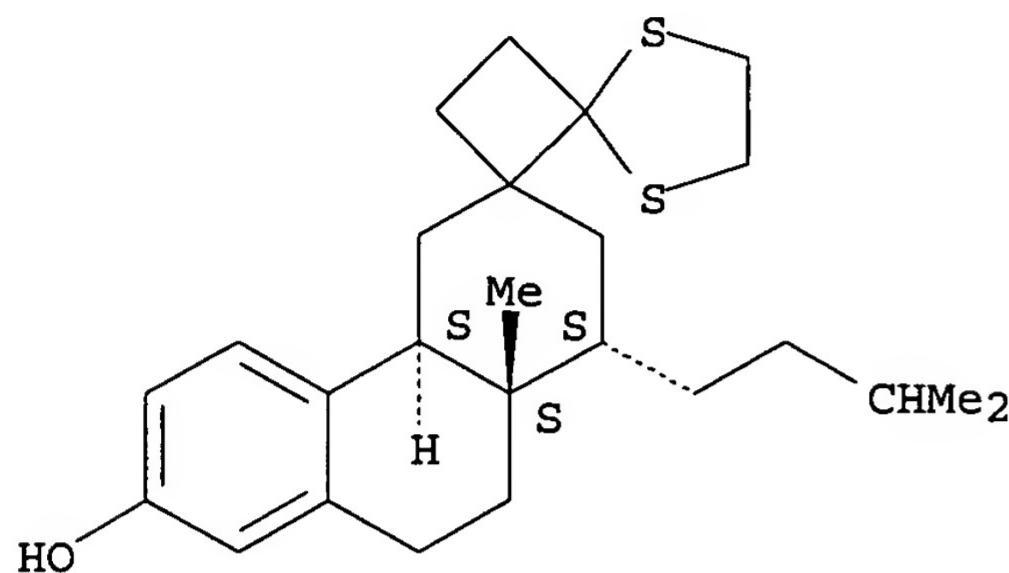


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RN 441332-49-6 CAPLUS

CN Dispiro[1,3-dithiolane-2,1'-cyclobutane-2',3''(2''H)-phenanthren]-7'''-ol,  
1''',4''',4''a,9'',10'',10''a-hexahydro-10''a-methyl-1'''-(3-methylbutyl)-,  
(1'''R,4'''aR,10''aR)-rel- (9CI) (CA INDEX NAME)

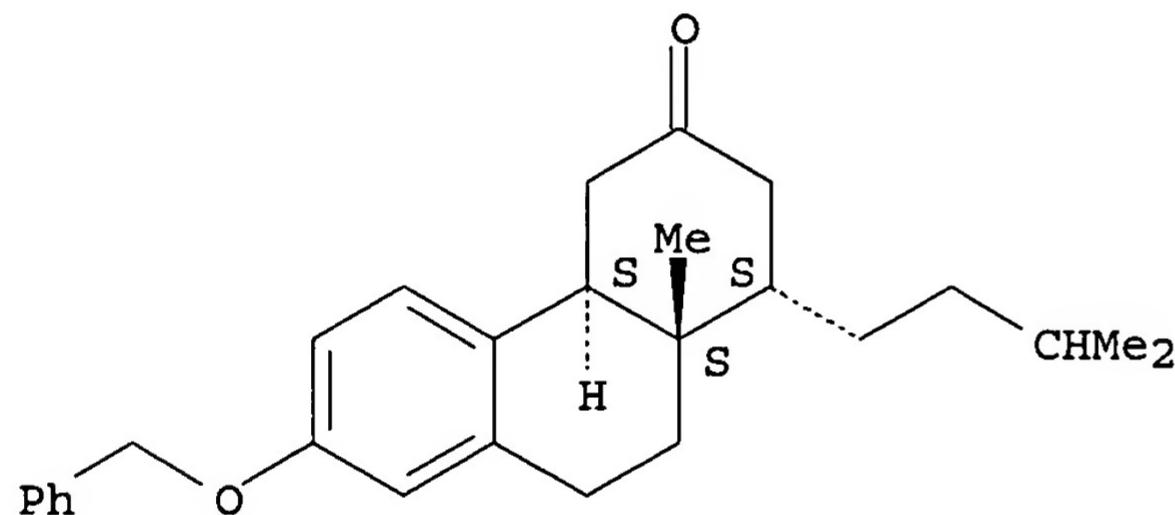
Relative stereochemistry.



RN 441348-22-7 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-10a-methyl-1-(3-methylbutyl)-7-(phenylmethoxy)-, (1S,4aS,10aS)- (9CI) (CA INDEX NAME)

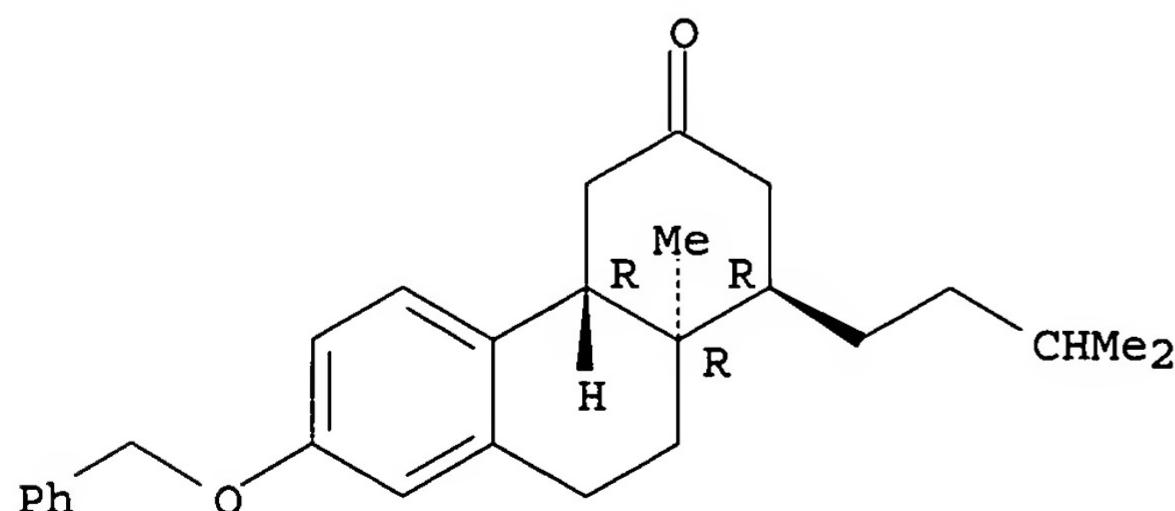
Absolute stereochemistry.



RN 441348-23-8 CAPLUS

CN 3(2H)-Phenanthrenone, 1,4,4a,9,10,10a-hexahydro-10a-methyl-1-(3-methylbutyl)-7-(phenylmethoxy)-, (1R,4aR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:122822 CAPLUS

DN 136:161698

TI Combination preparation with an ER $\beta$  selective estrogen and a SERM or antiestrogen

10458286

IN Fritzemeier, Karl-Heinrich; Kollenkirchen, Uwe; Hegele-Hartung, Christa  
PA Schering Aktiengesellschaft, Germany  
SO PCT Int. Appl., 33 pp.  
CODEN: PIXXD2

DT Patent  
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002011765	A1	20020214	WO 2001-EP9008	20010803
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10039199	A1	20020221	DE 2000-10039199	20000810
	AU 2001093720	A5	20020218	AU 2001-93720	20010803
	EP 1307229	A1	20030507	EP 2001-974107	20010803
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004505929	T2	20040226	JP 2002-517099	20010803
	US 2004053898	A1	20040318	US 2003-344161	20030926
PRAI	DE 2000-10039199	A	20000810		
	WO 2001-EP9008	W	20010803		

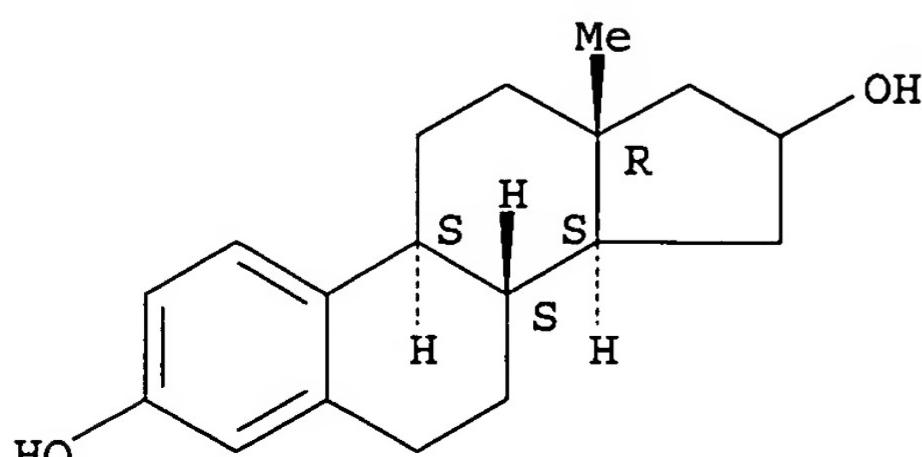
AB A novel medicament for the treatment of estrogen-deficient disease states is disclosed. Said medicament is a combination preparation comprising an ER $\beta$ -selective estrogen and an ER $\alpha$ -selective antiestrogen or SERM (Selective Estrogen Receptor Modulator). The antiestrogen or SERM which is a component of the combination preparation is preferably selective for the periphery. The preparation is suitable for an organ-specific estrogen therapy and has clear advantages over conventional therapies. Due to the combination of ER $\alpha$ -selective SERM and ER $\beta$ -estrogen the preparation permits a complete protection against bone loss caused by estrogen deficiency. The components of the medicament also have a synergistic effect with respect to the inhibition of inflammation inducing genes, in particular in inflammatory disorders such as atherosclerosis and arthritis, or neurodegenerative diseases such as Alzheimers and multiple sclerosis. Furthermore, pos. effects on cognition and mood may be expected. The protective estrogen-like effects are achieved, with no expectation of proliferation effects on breasts or uterus.

IT 397872-24-1D, Estra-1,3,5(10)-triene-3,16-diol, derivs.  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combination preparation with an ER $\beta$  selective estrogen and a SERM or antiestrogen)

RN 397872-24-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,16-diol (9CI) (CA INDEX NAME)

Absolute stereochemistry.



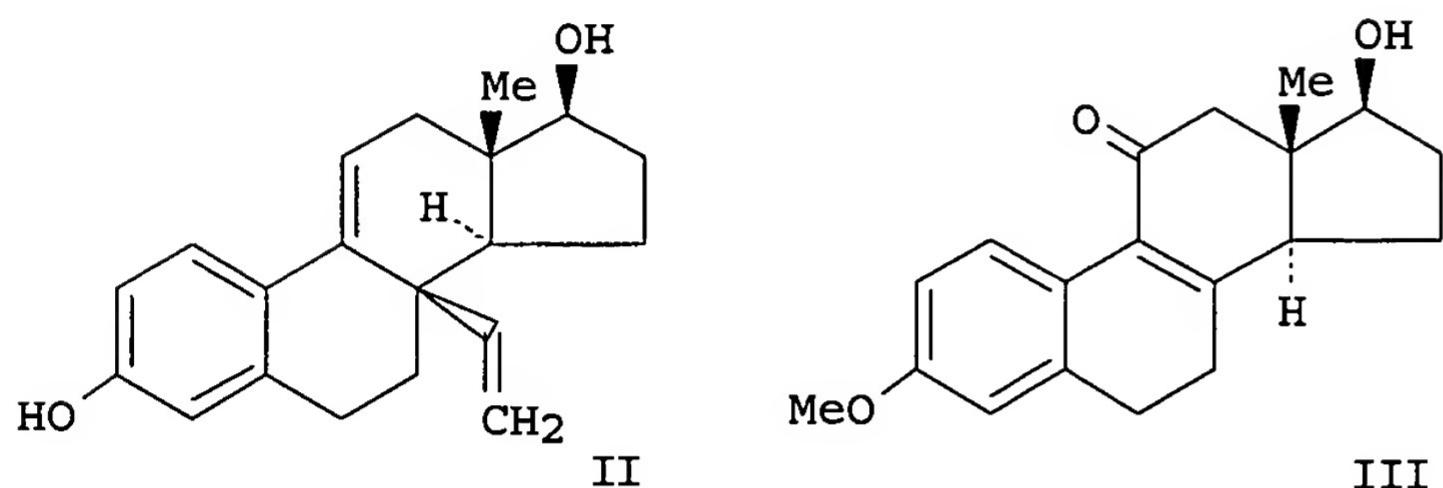
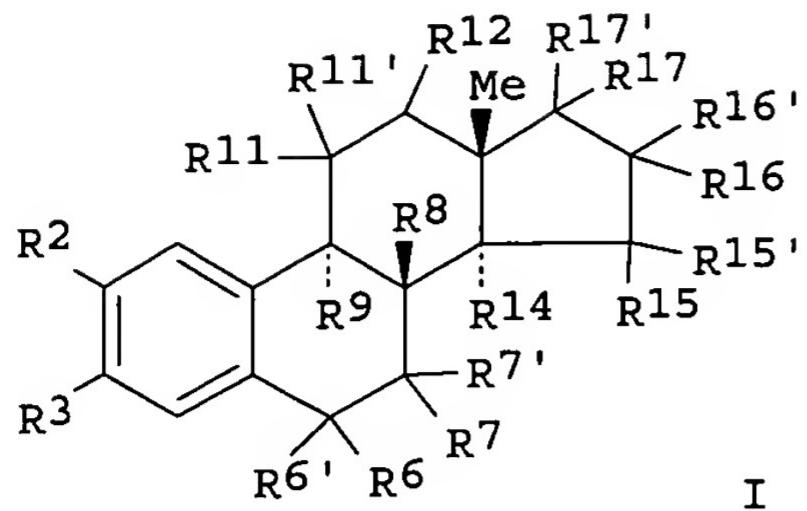
10458286

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2001:763027 CAPLUS  
DN 135:318608  
TI Preparation of 8 $\beta$ -hydrocarbyl-substituted estratrienes for use as selective estrogens  
IN Peters, Olaf; Hillisch, Alexander; Thieme, Ina; Elger, Walter;  
Hegele-Hartung, Christa; Kollenkirchen, Uwe; Fritzemeier, Karl-Heinrich;  
Patchev, Vladimir  
PA Schering Aktiengesellschaft, Germany  
SO PCT Int. Appl., 90 pp.  
CODEN: PIXXD2  
DT Patent  
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001077139	A1	20011018	WO 2001-EP4290	20010412
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10019167	A1	20011018	DE 2000-10019167	20000412
	CA 2406177	AA	20011018	CA 2001-2406177	20010412
	EP 1272504	A1	20030108	EP 2001-931609	20010412
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001009983	A	20030225	BR 2001-9983	20010412
	JP 2003534248	T2	20031118	JP 2001-575609	20010412
	EE 200200589	A	20040415	EE 2002-589	20010412
	BG 107173	A	20030530	BG 2002-107173	20021008
	NO 2002004908	A	20021113	NO 2002-4908	20021011
	US 2003176405	A1	20030918	US 2003-257288	20030401
PRAI	DE 2000-10019167	A	20000412		
	US 2000-207370P	P	20000526		
	WO 2001-EP4290	W	20010412		
OS	MARPAT	135:318608			
GI					



AB The invention relates to novel  $8\beta$ -substituted estratrienes I [R<sub>2</sub> = H, halogen, straight or branched (un)saturated C<sub>1</sub>-6-alkyl, alkoxy, CF<sub>3</sub>, sulfonamide; R<sub>3</sub> = alkoxy, sulfonamide, acyloxy; R<sub>6</sub>, R<sub>7</sub> = H; R<sub>6</sub>R<sub>7</sub> = bond; R<sub>6'</sub>, R<sub>7'</sub> = H, halogen, alkoxy, sulfonamide; R<sub>8</sub> = a straight- or branched-chained, optionally partially or completely halogenated C<sub>1</sub>-5-alkyl, alkenyl, ethynyl, prop-1-ynyl; R<sub>9</sub> = H, straight or branched (un)saturated C<sub>1</sub>-5-alkyl; R<sub>9</sub>R<sub>11</sub> = bond; R<sub>11</sub> = H; R<sub>11</sub>R<sub>12</sub> = bond; R<sub>11'</sub> = H, halogen, a straight- or branched-chained, optionally partially or completely fluoro- or chloro-C<sub>1</sub>-4-alkyl, alkoxy, alkylthio; R<sub>12</sub> = H; R<sub>14</sub> = H; R<sub>14</sub>R<sub>15</sub> = bond; R<sub>15</sub> = H; R<sub>15</sub>R<sub>16</sub> = bond; R<sub>15'</sub>, R<sub>16'</sub> = H, halogen, alkoxy, sulfonamid; R<sub>16</sub> = H; R<sub>17</sub>, R<sub>17'</sub> = H, H and halogen, H and OCH<sub>2</sub>Ph, H and sulfonamide, alkyl and acyl or acyloxy, alkoxy and alkyl, alkoxy and acyloxy; R<sub>17</sub>R<sub>17'</sub> = :CH<sub>2</sub>, :CR<sub>24</sub>R<sub>25</sub>; R<sub>24</sub>, R<sub>25</sub> = halogen; R<sub>24</sub>R<sub>25</sub> = O]. Thus, vinylestradiol II was prepared from estra-1,3,5(10)-tetraenone III in 8 steps. The inventive estratrienes are used as pharmaceutically active substances that have in vitro a higher affinity to estrogen receptor preps. of rat prostate than to estrogen receptor preps. of rat uterus and which in vivo preferably have a preferential effect on bone material as compared to uterus and/or a pronounced effect with respect to the stimulation of the expression of 5HT<sub>2a</sub> receptor and transporter. II showed a relative binding affinity for the estrogen receptor of 1 in rat uterus and of 83 in rat prostate. The invention further relates to the production of these novel compds., to their use in therapy and to the pharmaceutical forms of administration that contain said novel compds. The invention further describes the use of said compds. for treating estrogen-deficiency related diseases and conditions and to the use of an  $8\beta$ -substituted estratriene structural part in the overall structures of compds. that are characterized by a dissociation in favor of their estrogen effect on the bone as compared to the uterus.

IT  
 367264-79-7P 367264-81-1P 367264-83-3P  
 367264-92-4P 367929-02-0P 367929-03-1P  
 367929-08-6P,  $8\beta$ -Ethyl- $9\beta$ -estra-1,3,5(10)-triene-3,17 $\beta$ -ol 367929-16-6P 367929-17-7P  
 367929-18-8P 367929-19-9P 367929-20-2P  
 367929-21-3P 367929-22-4P 367929-23-5P  
 367929-24-6P 367929-25-7P 367929-26-8P  
 367929-27-9P 367929-28-0P 367929-29-1P

10458286

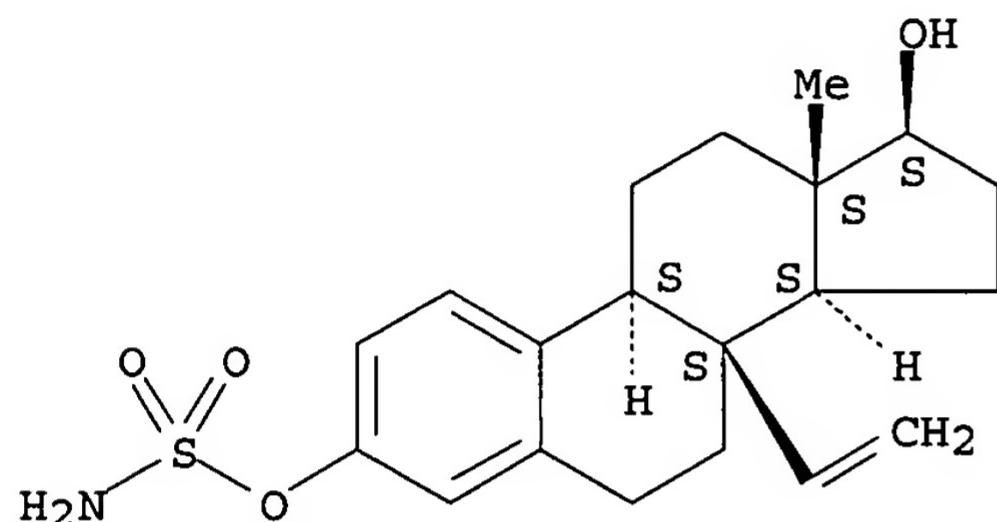
367929-30-4P 367929-31-5P 367929-32-6P  
367929-33-7P 367929-34-8P, 8 $\beta$ -Vinyl-9 $\beta$ -estra-  
1,3,5(10)-triene-3,17 $\beta$ -diol

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 8 $\beta$ -hydrocarbyl-substituted estratrienes for use as selective estrogens)

RN 367264-79-7 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, 3-sulfamate, (17 $\beta$ )-  
(9CI) (CA INDEX NAME)

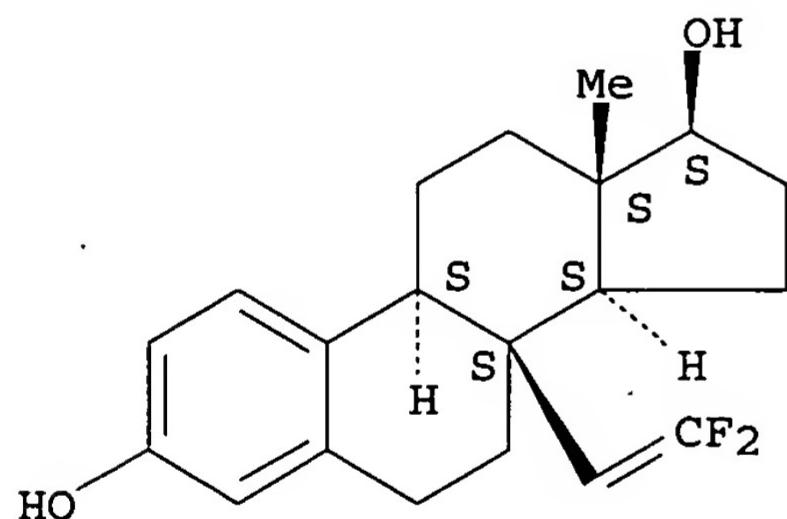
Absolute stereochemistry.



RN 367264-81-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-(2,2-difluoroethyl)-, (17 $\beta$ )-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



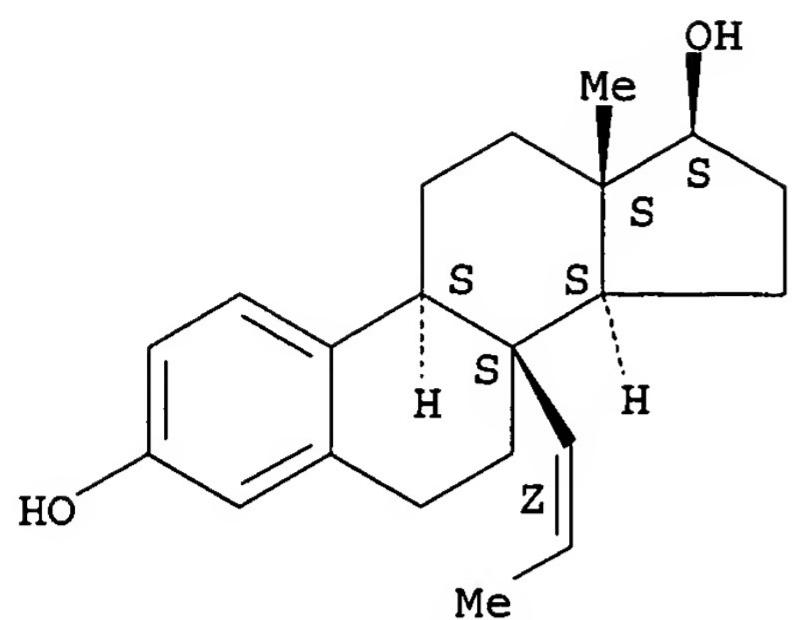
RN 367264-83-3 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-(1Z)-1-propenyl-, (17 $\beta$ )- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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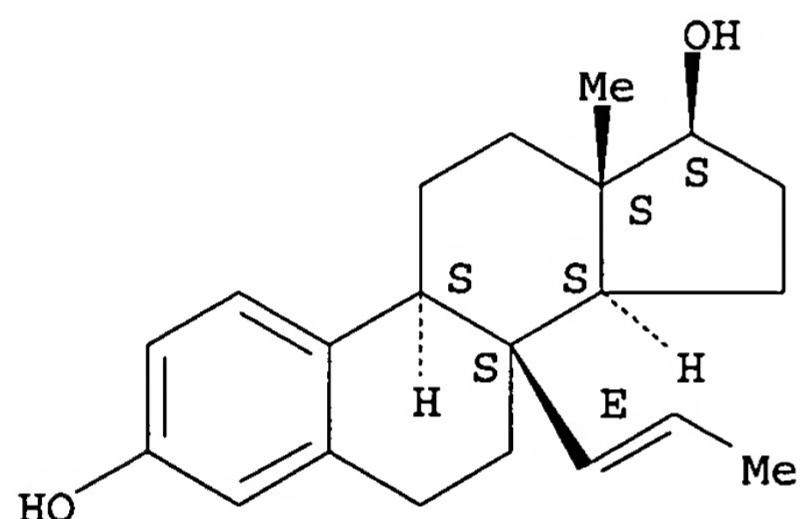


RN 367264-92-4 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-(1E)-1-propenyl-, (17β)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

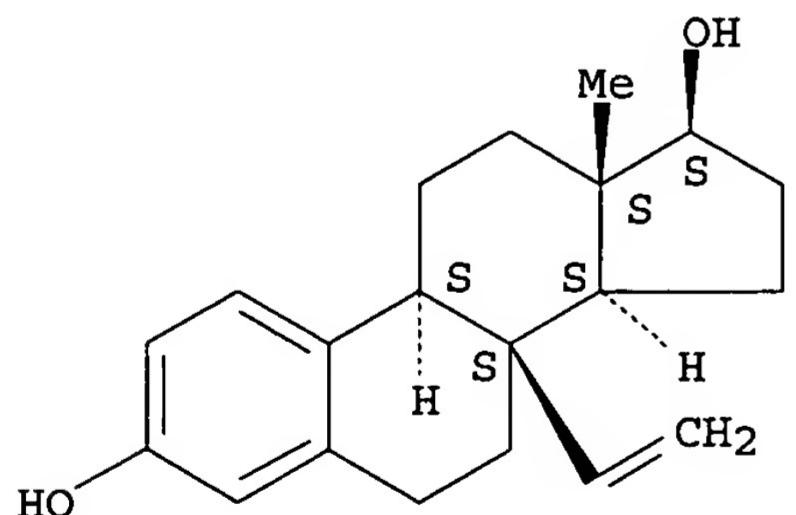
Double bond geometry as shown.



RN 367929-02-0 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, (17β)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

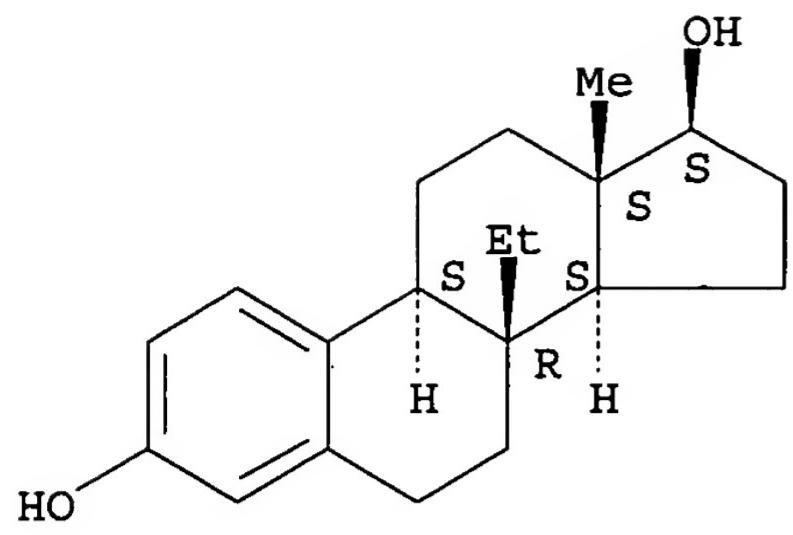


RN 367929-03-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethyl-, (17β)- (9CI) (CA INDEX  
NAME)

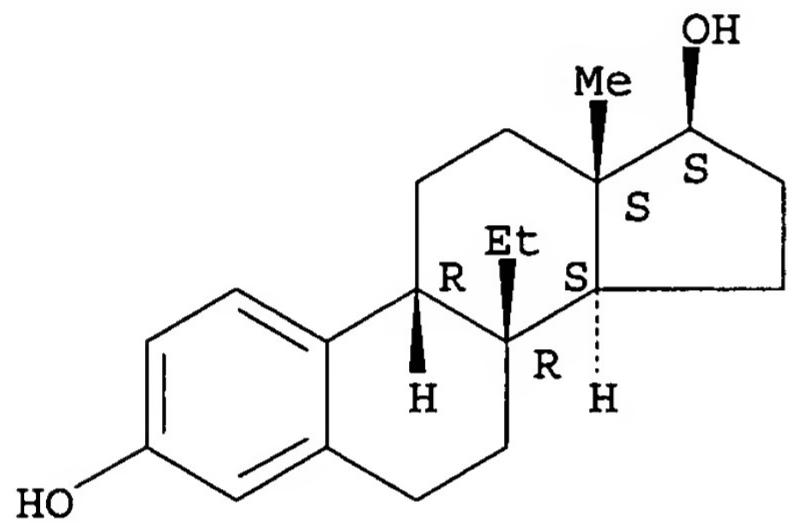
Absolute stereochemistry.

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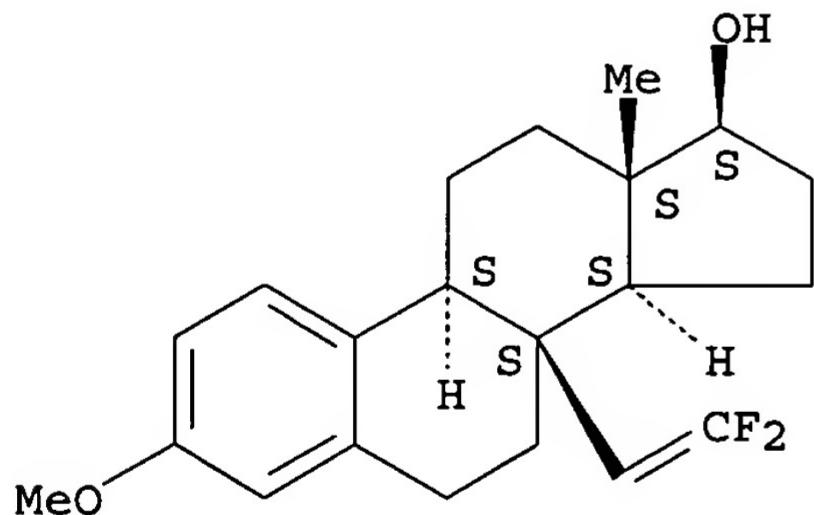
RN 367929-08-6 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethyl-, (9 $\beta$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 367929-16-6 CAPLUS  
CN Estra-1,3,5(10)-trien-17-ol, 8-(2,2-difluoroethenyl)-3-methoxy-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

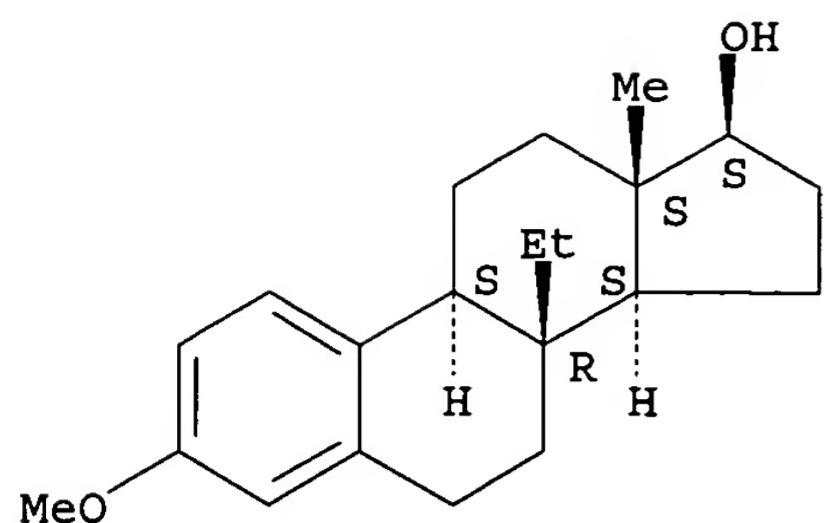
Absolute stereochemistry.



RN 367929-17-7 CAPLUS  
CN Estra-1,3,5(10)-trien-17-ol, 8-ethyl-3-methoxy-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

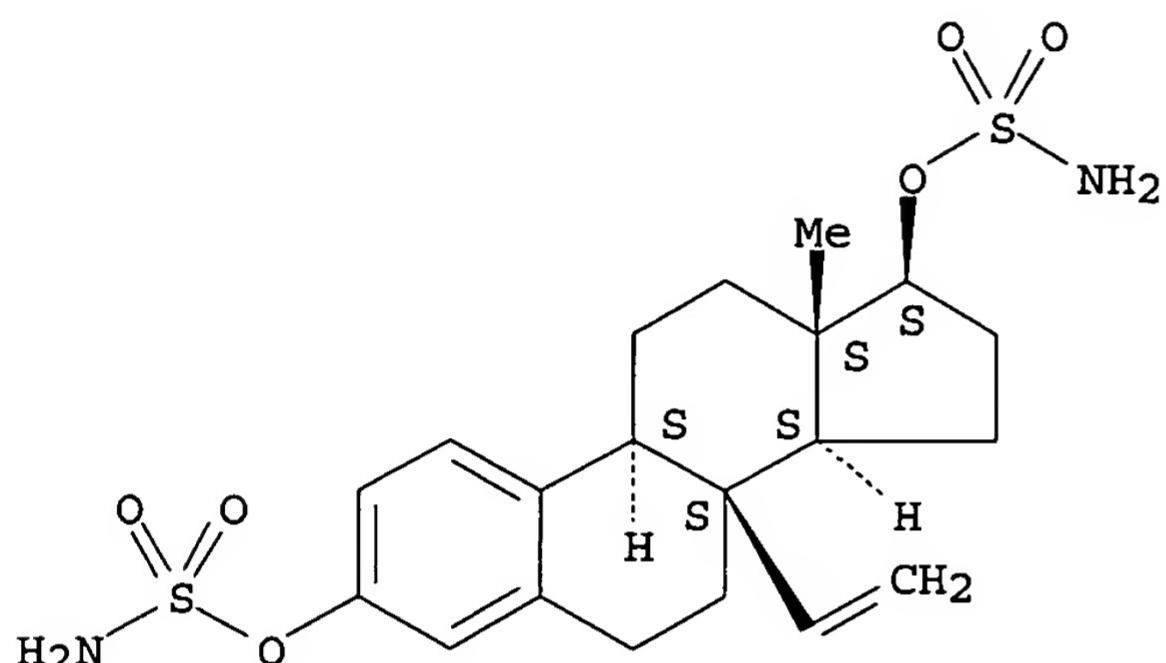
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RN 367929-18-8 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, disulfamate, (17 $\beta$ )- (9CI) (CA INDEX NAME)

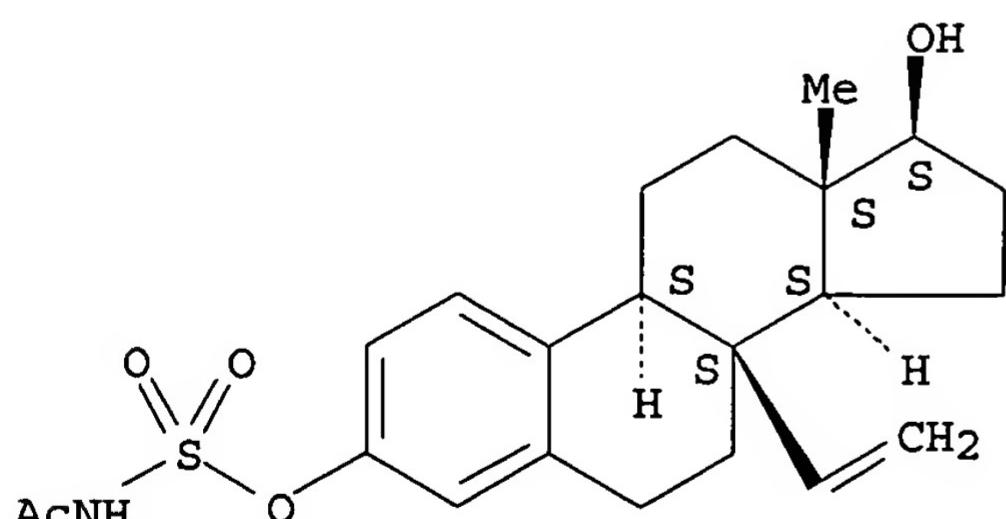
Absolute stereochemistry.



RN 367929-19-9 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, 3-(acetylsulfamoyloxy), (17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

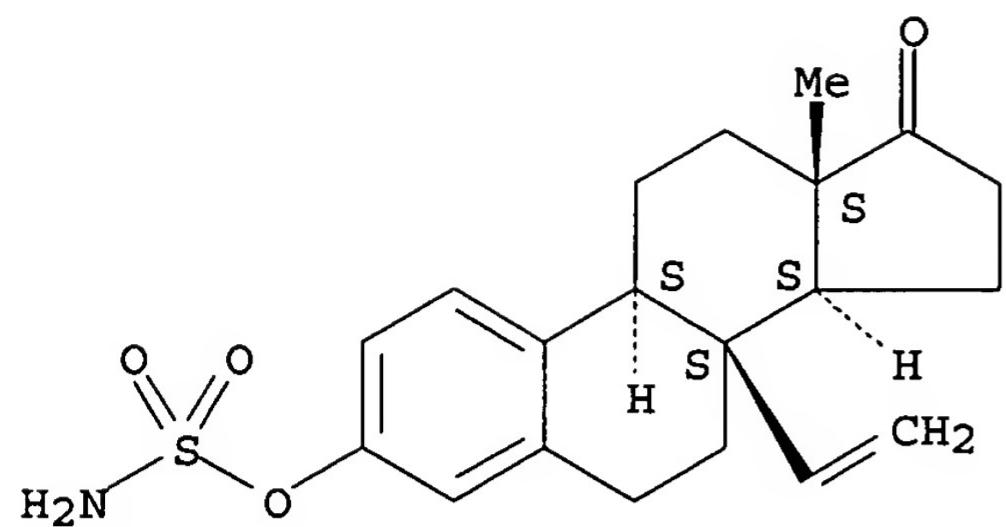


RN 367929-20-2 CAPLUS

CN Estra-1,3,5(10)-triene-17-one, 3-[(aminosulfonyl)oxy]-8-ethenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

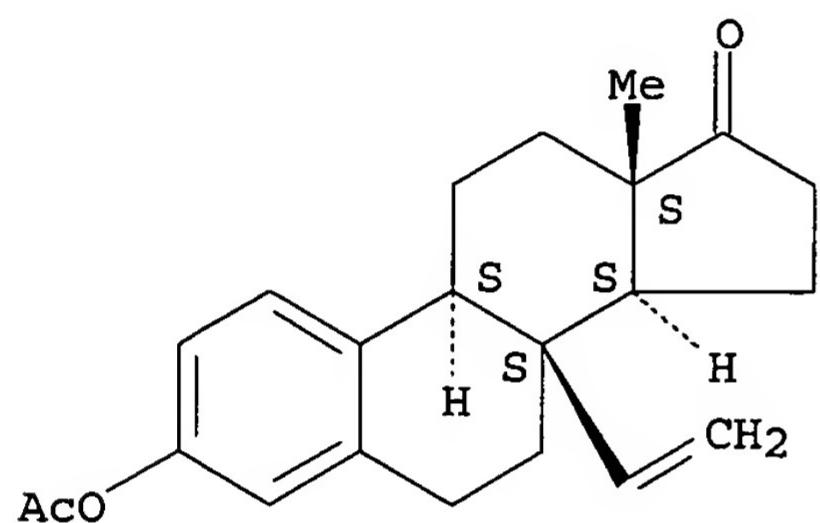
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RN 367929-21-3 CAPLUS

CN Estra-1,3,5(10)-triene-3,16,17-triol, 8-ethenyl-, (9CI) (CA INDEX NAME)

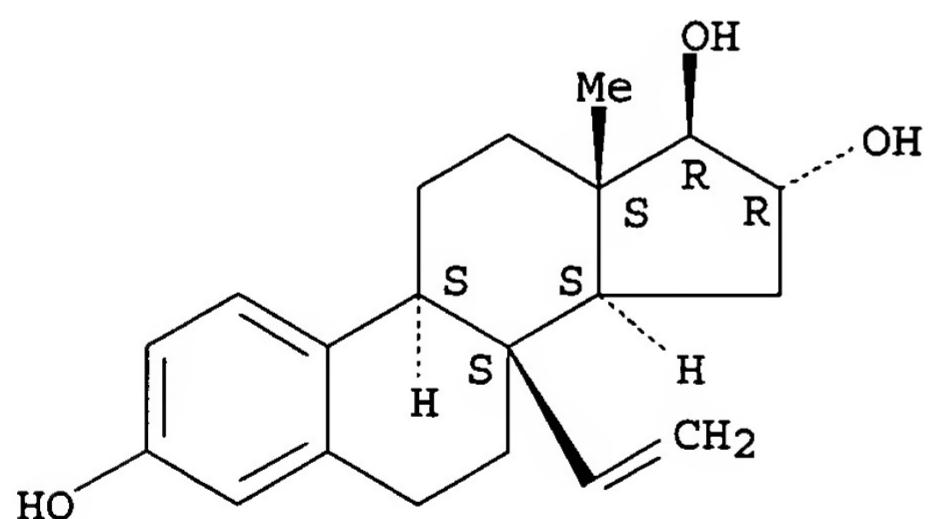
Absolute stereochemistry.



RN 367929-22-4 CAPLUS

CN Estra-1,3,5(10)-triene-3,16,17-triol, 8-ethenyl-, (16 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

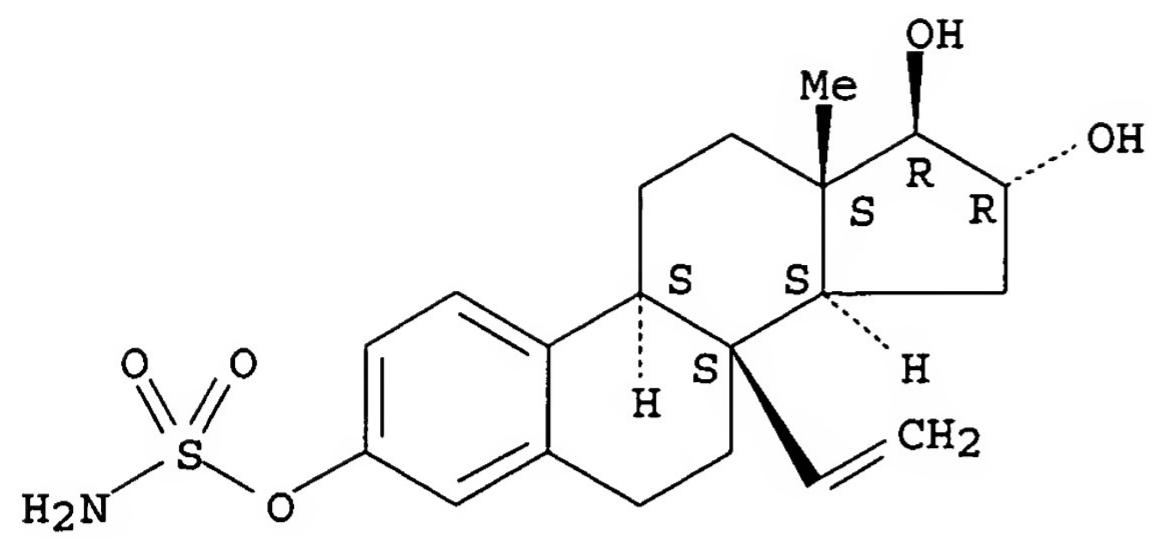


RN 367929-23-5 CAPLUS

CN Estra-1,3,5(10)-triene-3,16,17-triol, 8-ethenyl-, 3-sulfamate-, (16 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

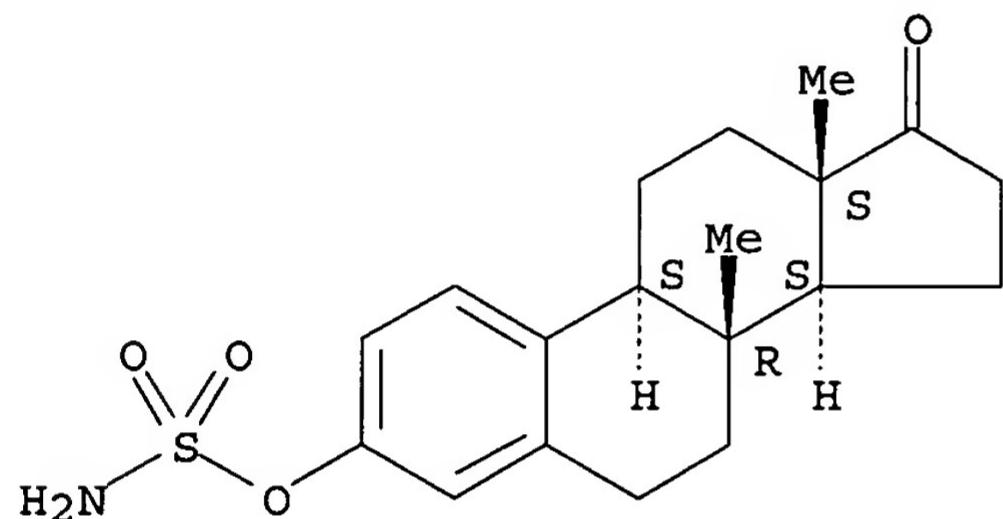
Absolute stereochemistry.

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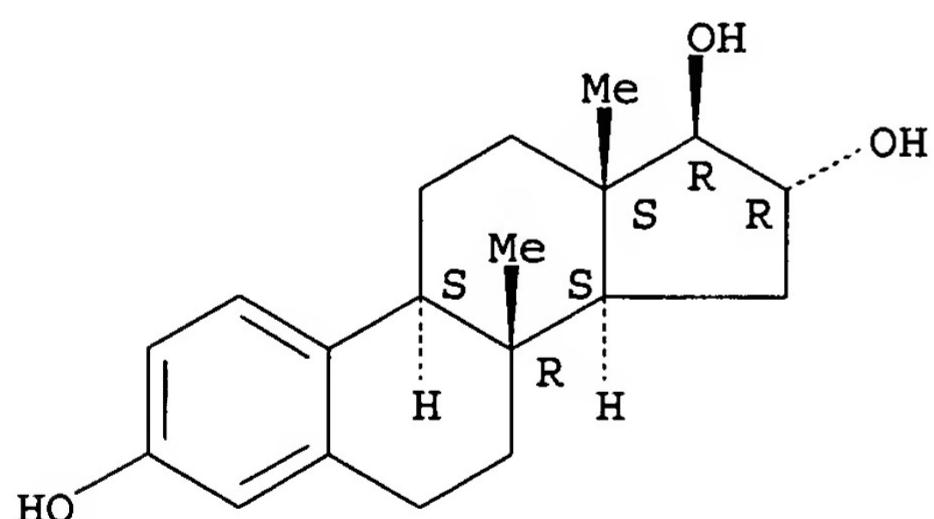
RN 367929-24-6 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 8-(aminosulfonyl)-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 367929-25-7 CAPLUS  
CN Estra-1,3,5(10)-triene-3,16,17-triol, 8-methyl-, (16 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

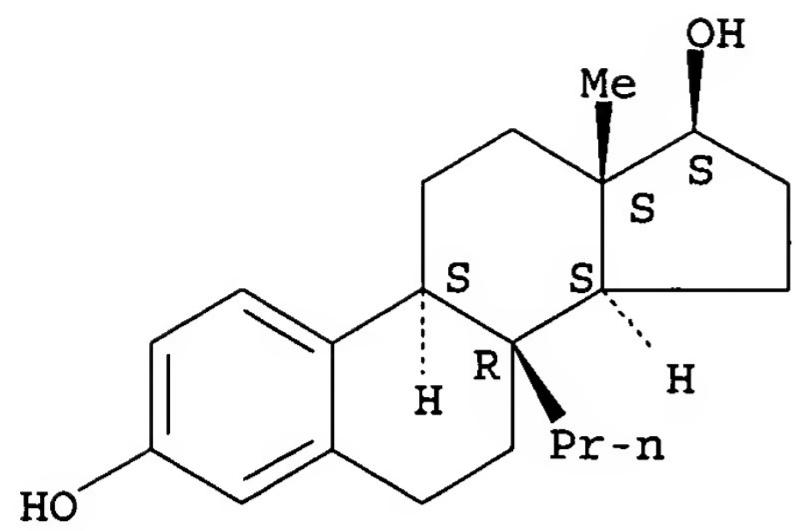
Absolute stereochemistry.



RN 367929-26-8 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 8-propyl-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

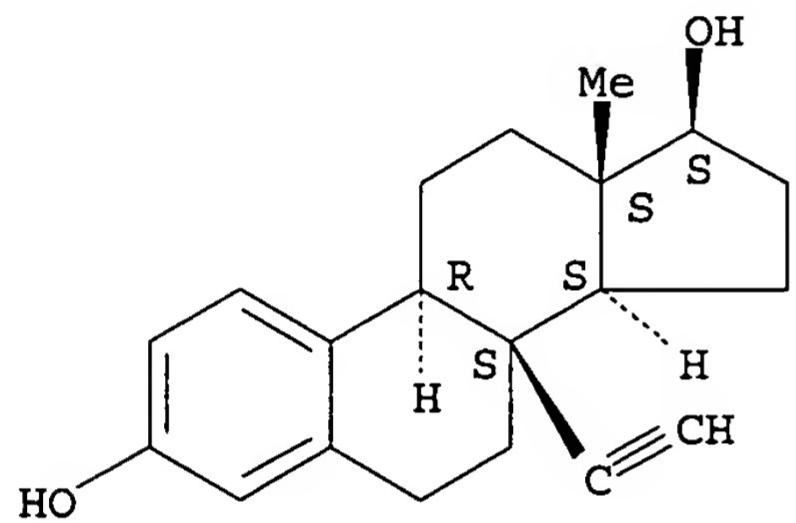
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RN 367929-27-9 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethynyl-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

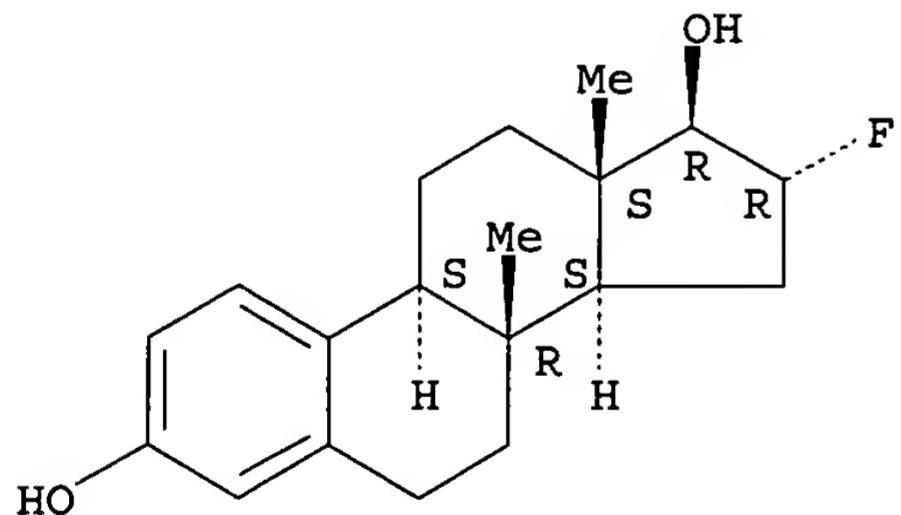
Absolute stereochemistry.



RN 367929-28-0 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-8-methyl-, (16 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

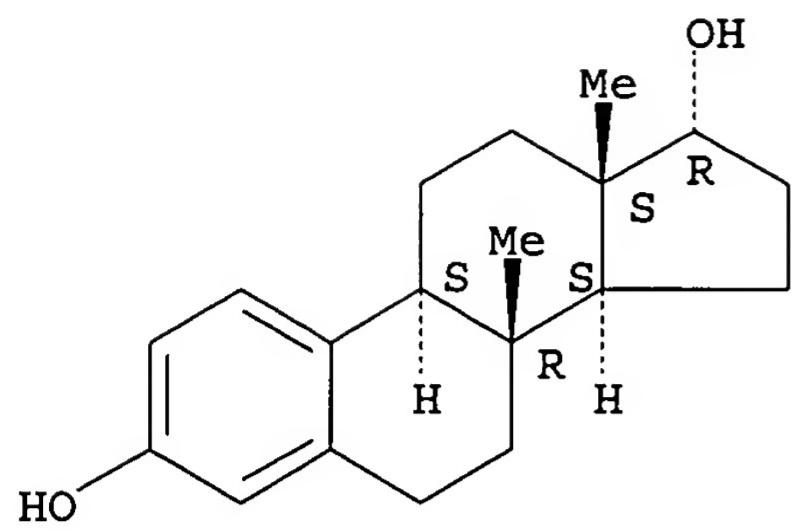


RN 367929-29-1 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-methyl-, (17 $\alpha$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

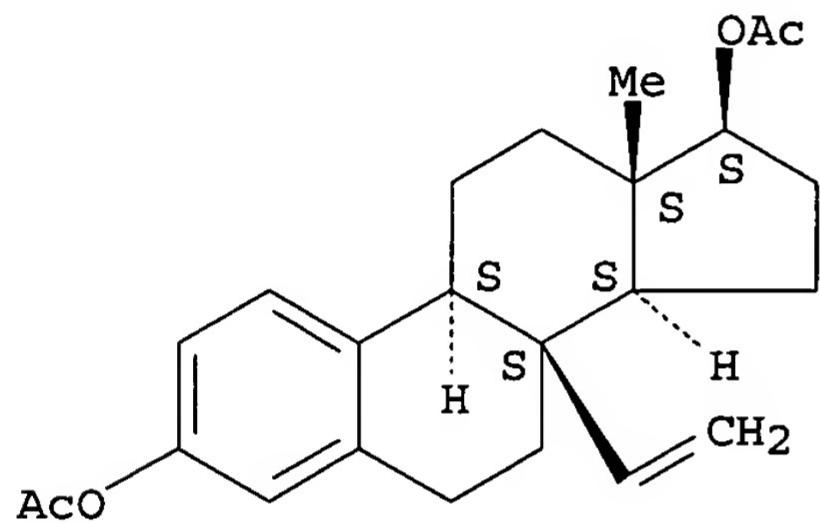
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RN 367929-30-4 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, diacetate, (17 $\beta$ ) - (9CI)  
(CA INDEX NAME)

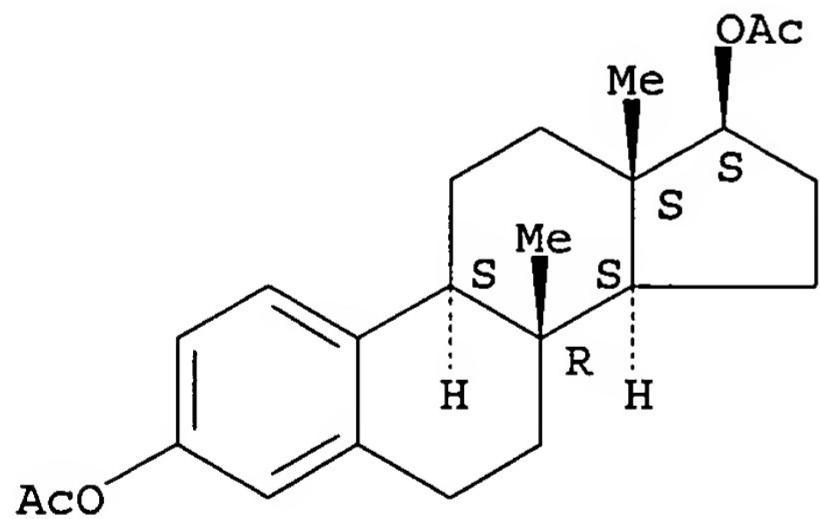
Absolute stereochemistry.



RN 367929-31-5 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-methyl-, diacetate, (17 $\beta$ ) - (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

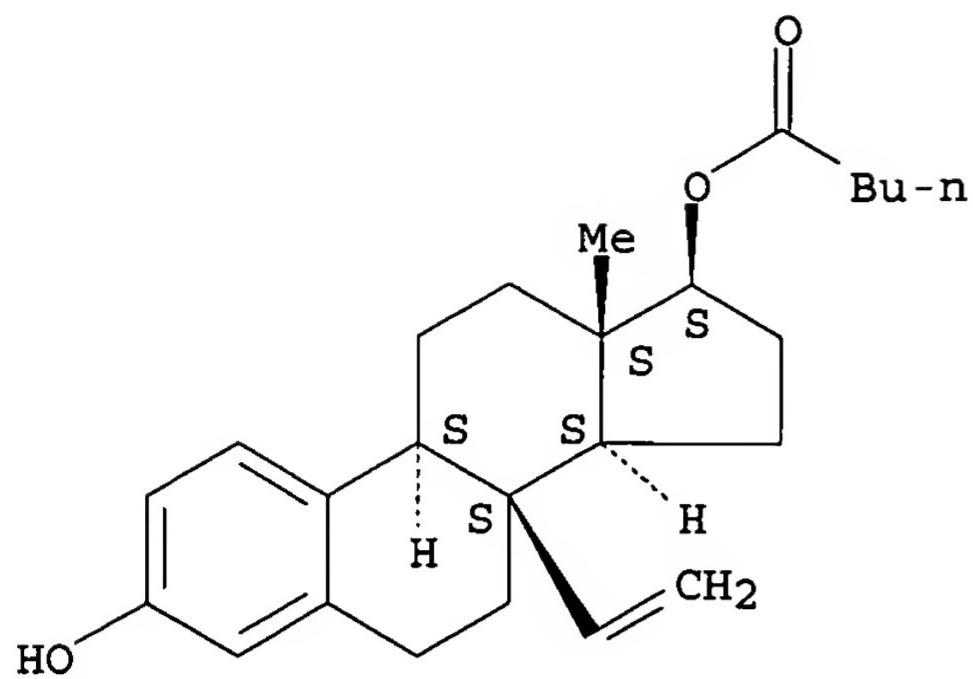


RN 367929-32-6 CAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, 17-pentanoate, (17 $\beta$ ) -  
(9CI) (CA INDEX NAME)

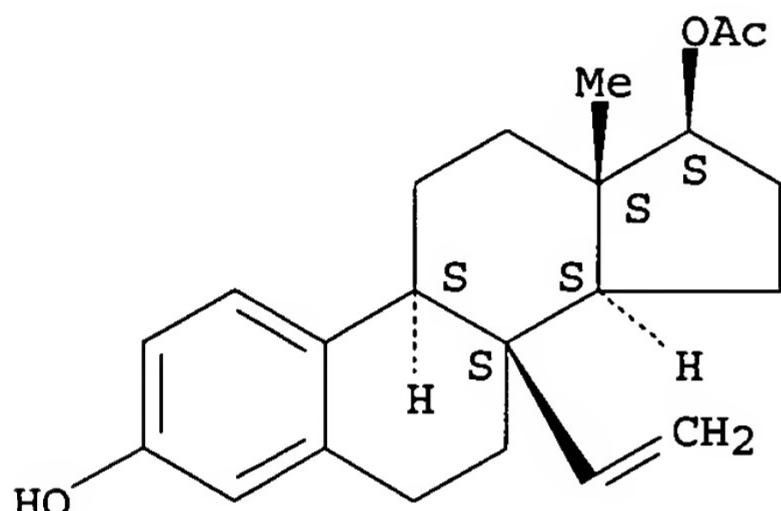
Absolute stereochemistry.

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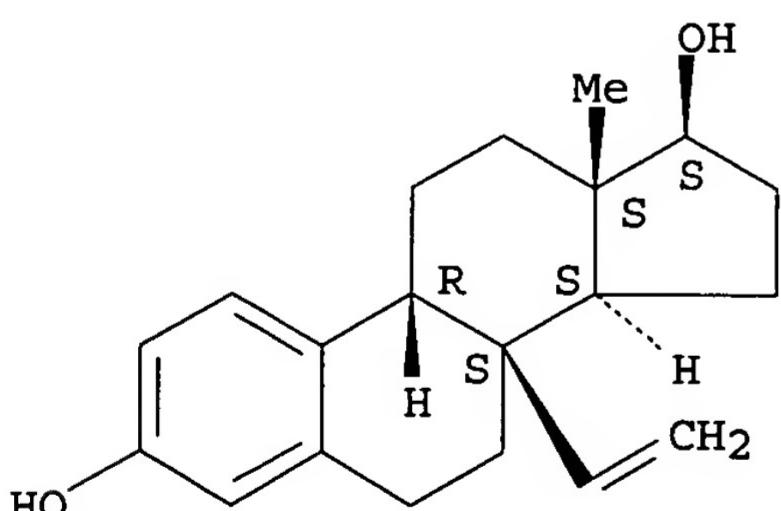
RN 367929-33-7 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, 17-acetate, (17 $\beta$ )-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 367929-34-8 CAPLUS  
CN Estra-1,3,5(10)-triene-3,17-diol, 8-ethenyl-, (9 $\beta$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

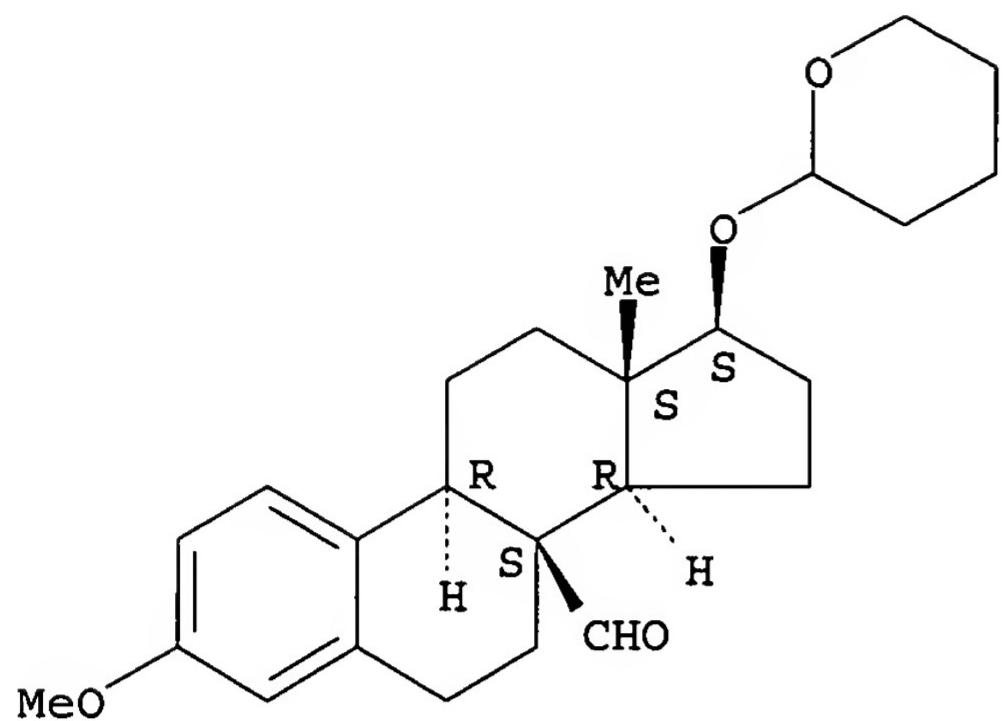
Absolute stereochemistry.



IT 367264-73-1P 367264-74-2P 367264-75-3P  
367264-80-0P 367264-82-2P 367264-91-3P  
367279-41-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of 8 $\beta$ -hydrocarbyl-substituted estratrienes for use as  
selective estrogens)  
RN 367264-73-1 CAPLUS  
CN Estra-1,3,5(10)-triene-8-carboxaldehyde, 3-methoxy-17-[(tetrahydro-2H-  
pyran-2-yl)oxy]-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

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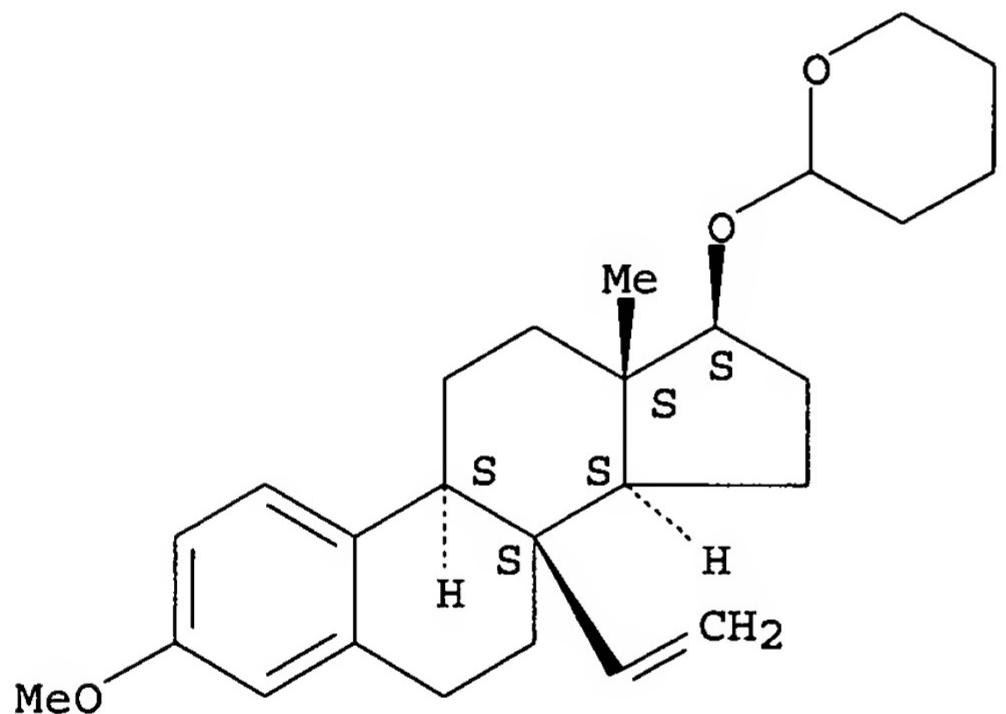
Absolute stereochemistry.



RN 367264-74-2 CAPLUS

CN 2H-Pyran, 2-[[17β]-8-ethenyl-3-methoxyestra-1,3,5(10)-trien-17-yloxy]tetrahydro- (9CI) (CA INDEX NAME)

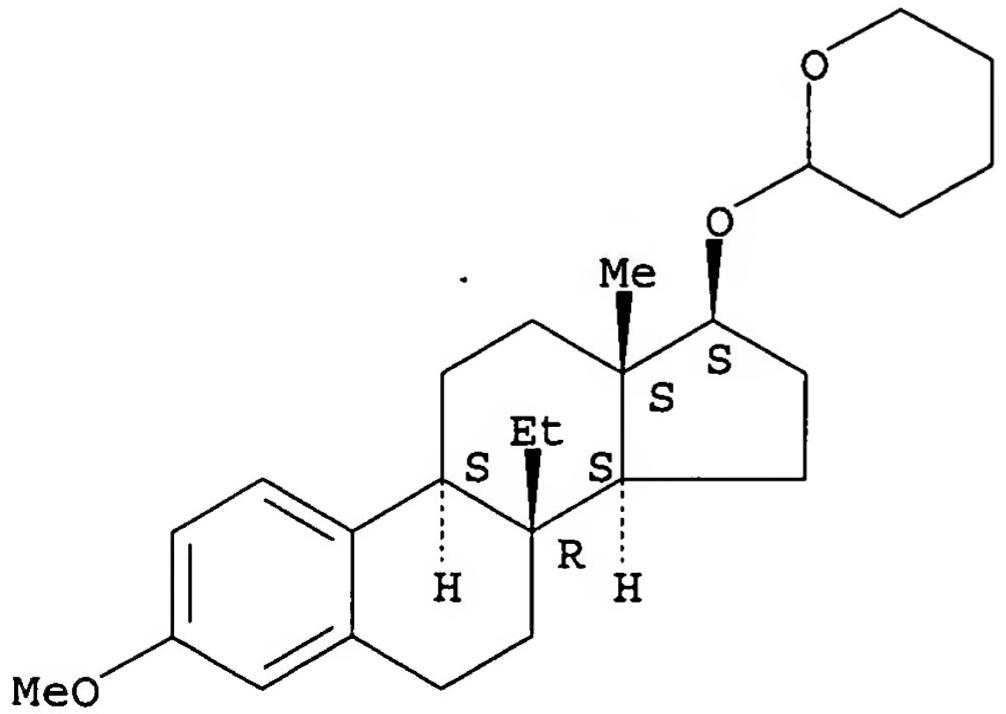
Absolute stereochemistry.



RN 367264-75-3 CAPLUS

CN 2H-Pyran, 2-[[17β]-8-ethyl-3-methoxyestra-1,3,5(10)-trien-17-yloxy]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

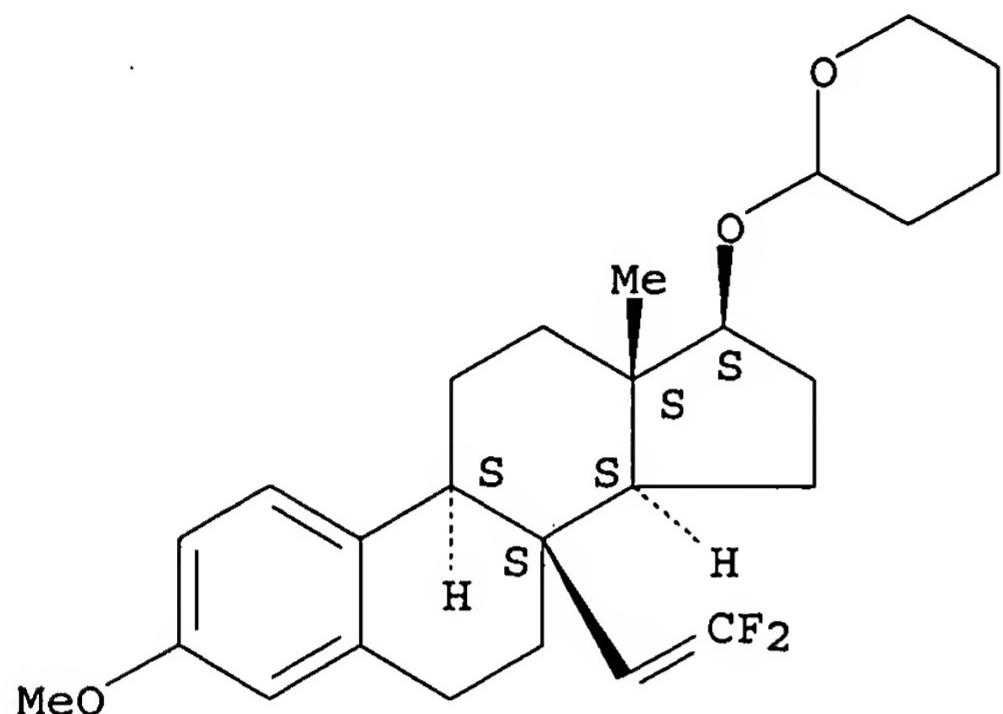


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RN 367264-80-0 CAPLUS

CN 2H-Pyran, 2-[[ $(17\beta)$ -8-(2,2-difluoroethyl)-3-methoxyestra-1,3,5(10)-trien-17-yl]oxy]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

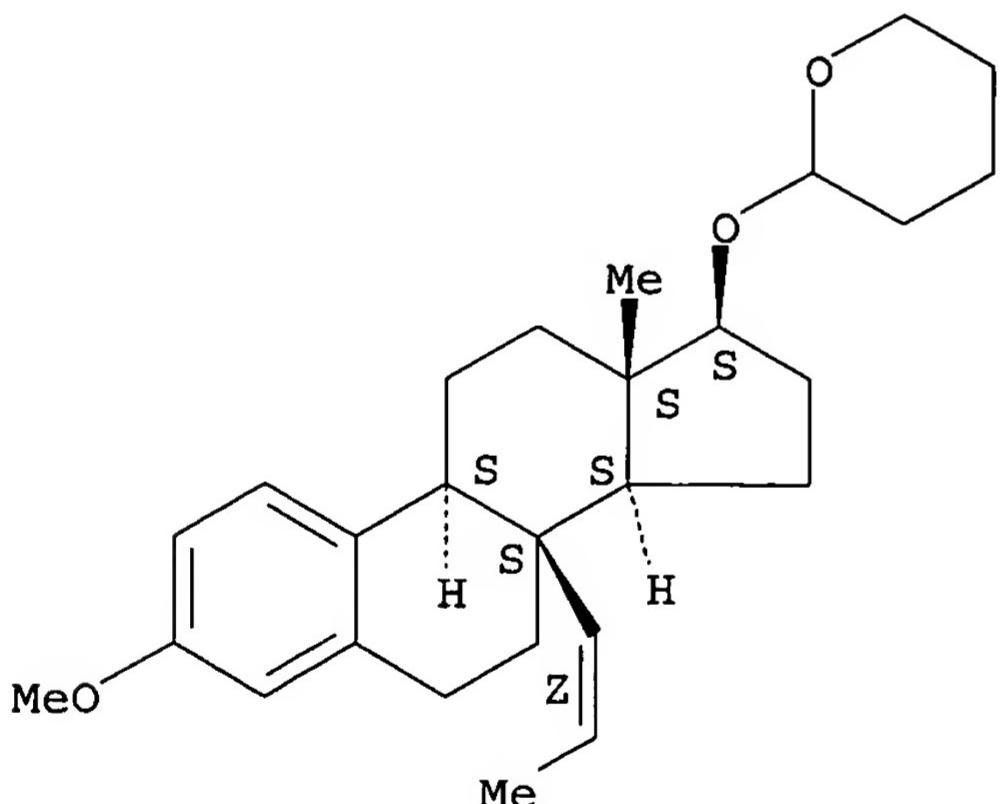


RN 367264-82-2 CAPLUS

CN 2H-Pyran, tetrahydro-2-[[ $(17\beta)$ -3-methoxy-8-(1Z)-1-propenylestra-1,3,5(10)-trien-17-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



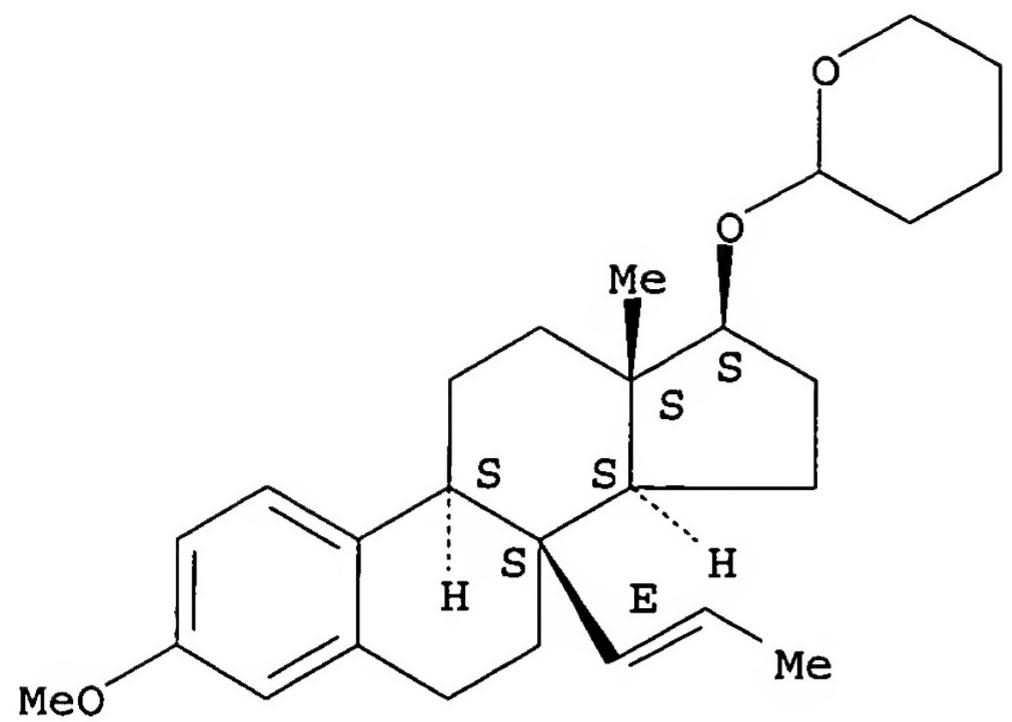
RN 367264-91-3 CAPLUS

CN 2H-Pyran, tetrahydro-2-[[ $(17\beta)$ -3-methoxy-8-(1E)-1-propenylestra-1,3,5(10)-trien-17-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

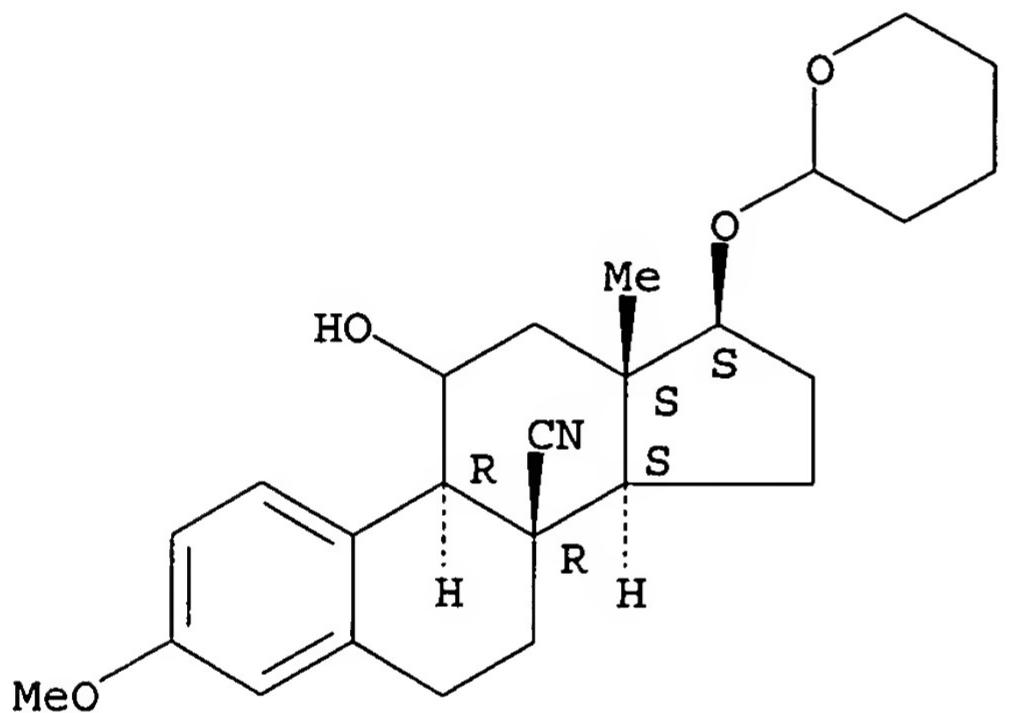
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RN 367279-41-2 CAPLUS

CN Estra-1,3,5(10)-triene-8-carbonitrile, 11-hydroxy-3-methoxy-17-[(tetrahydro-2H-pyran-2-yl)oxy]-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT